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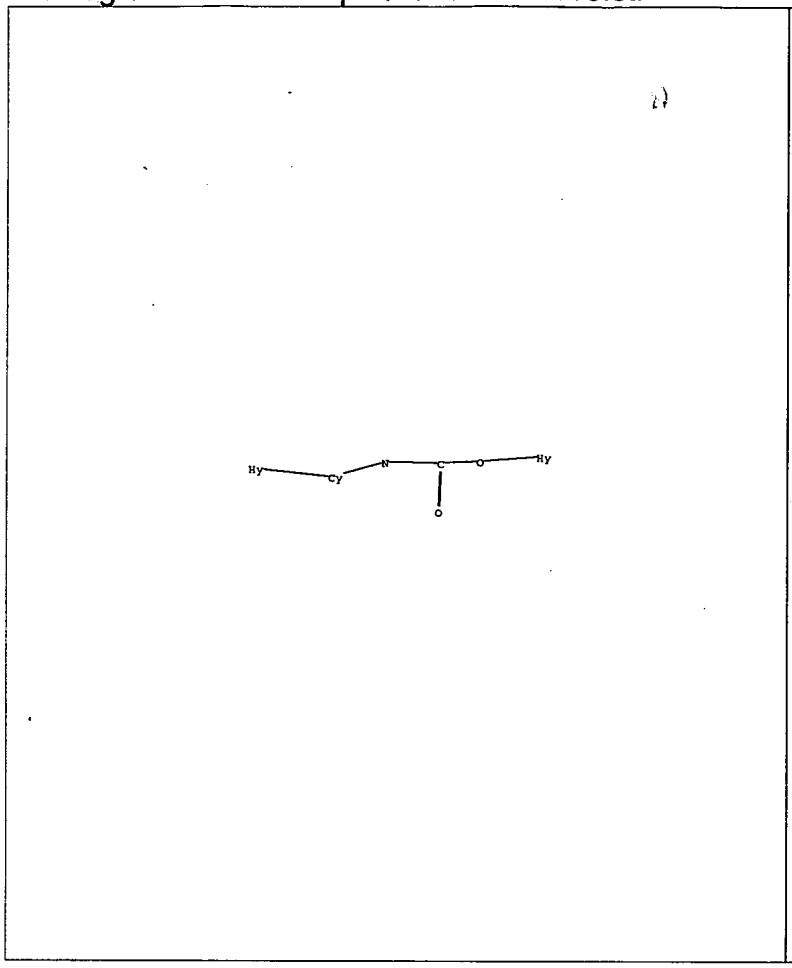
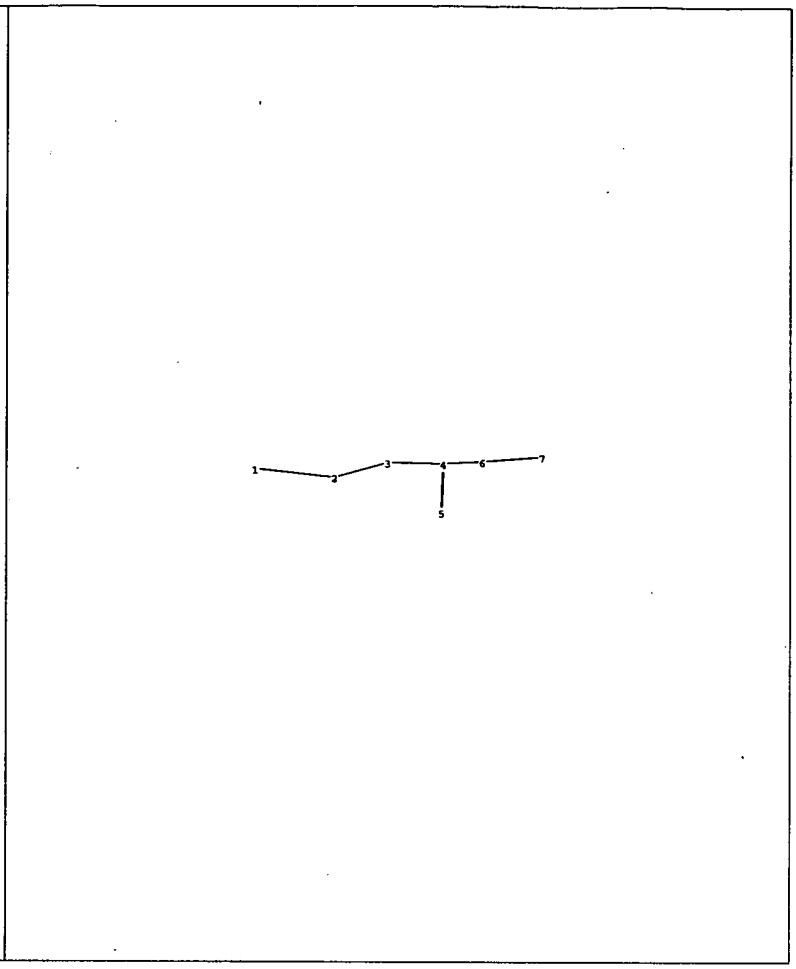
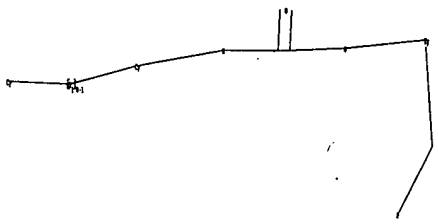
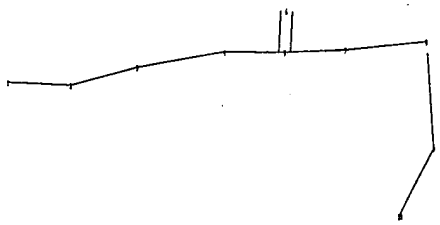




chain nodes :
1 2 3 4 5 6 7
chain bonds :
1-2 2-3 3-4 4-5 4-6 6-7
exact/norm bonds :
1-2 2-3 3-4 4-5 4-6 6-7

Match level :
1:Atom 2:Atom 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom





chain nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-2 2-3 3-4 4-5 5-6 5-7 7-8 8-9 9-10
exact/norm bonds :
1-2 2-3 3-4 4-5 5-6 5-7 7-8 8-9 9-10

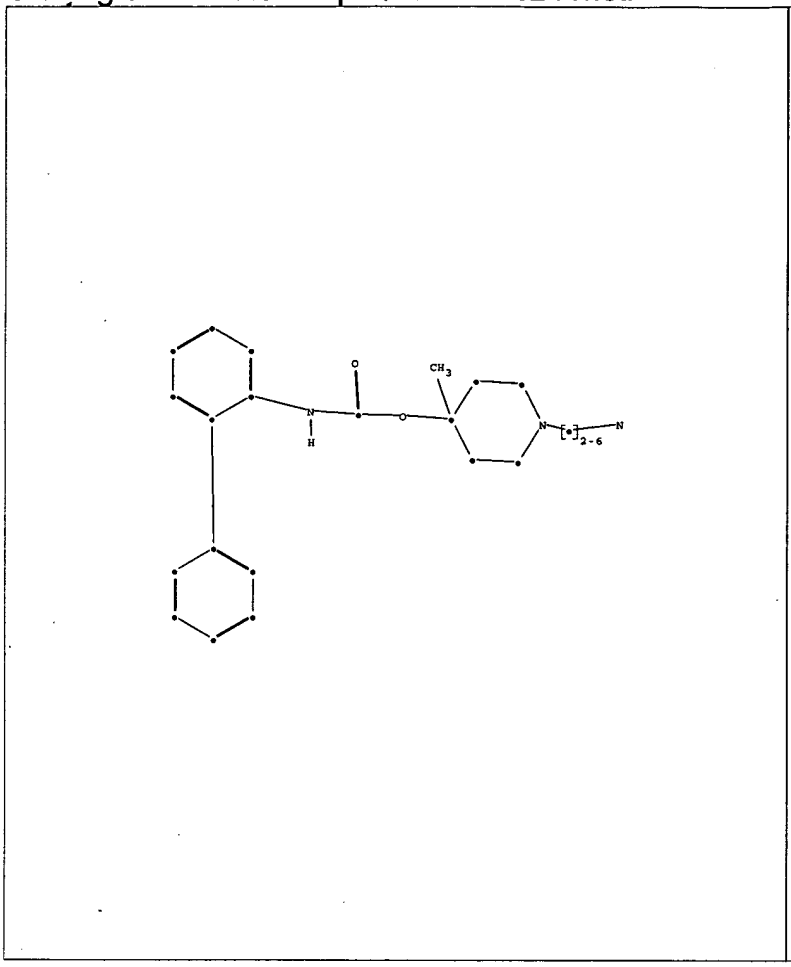
G1:O,S

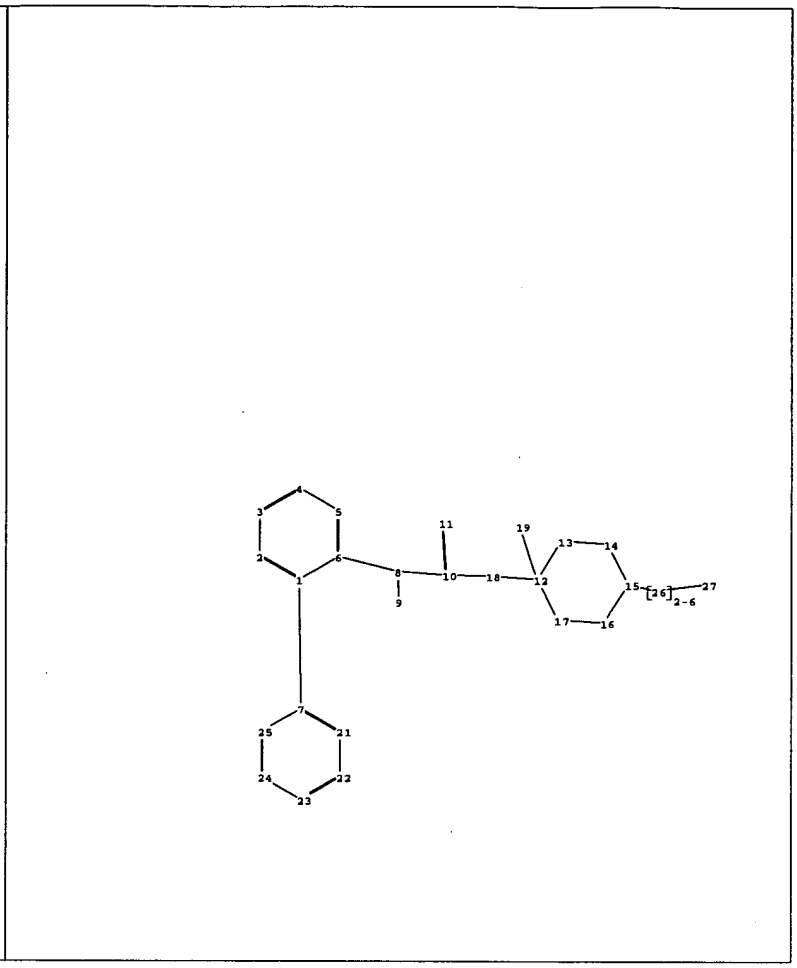
Match level :
1:Atom 2:CLASS 3:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:Atom 9:CLASS
10:CLASS

Generic attributes :
1:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
3:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
8:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :
Node 1: Limited

C:\Program Files\Stnexp\Queries\rkc241f.str





chain nodes :
8 9 10 11 18 19 26 27
ring nodes :
1 2 3 4 5 6 7 12 13 14 15 16 17 21 22 23 24 25
chain bonds :
1-7 6-8 8-9 8-10 10-11 10-18 12-18 12-19 15-26 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 12-17 12-13 13-14 14-15 15-16 16-17 21-22 22-23 23-24 24-25
exact/norm bonds :
6-8 8-10 10-11 10-18 12-17 12-13 12-18 13-14 14-15 15-16 15-26 16-17 26-27
exact bonds :
1-7 8-9 12-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 7 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS

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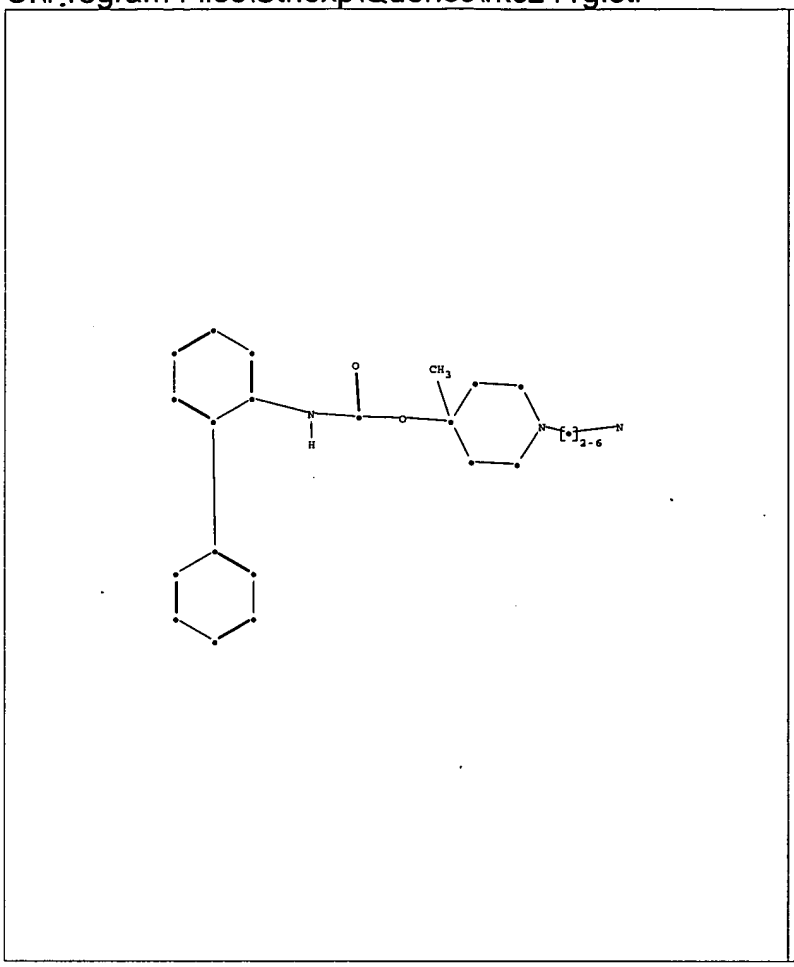

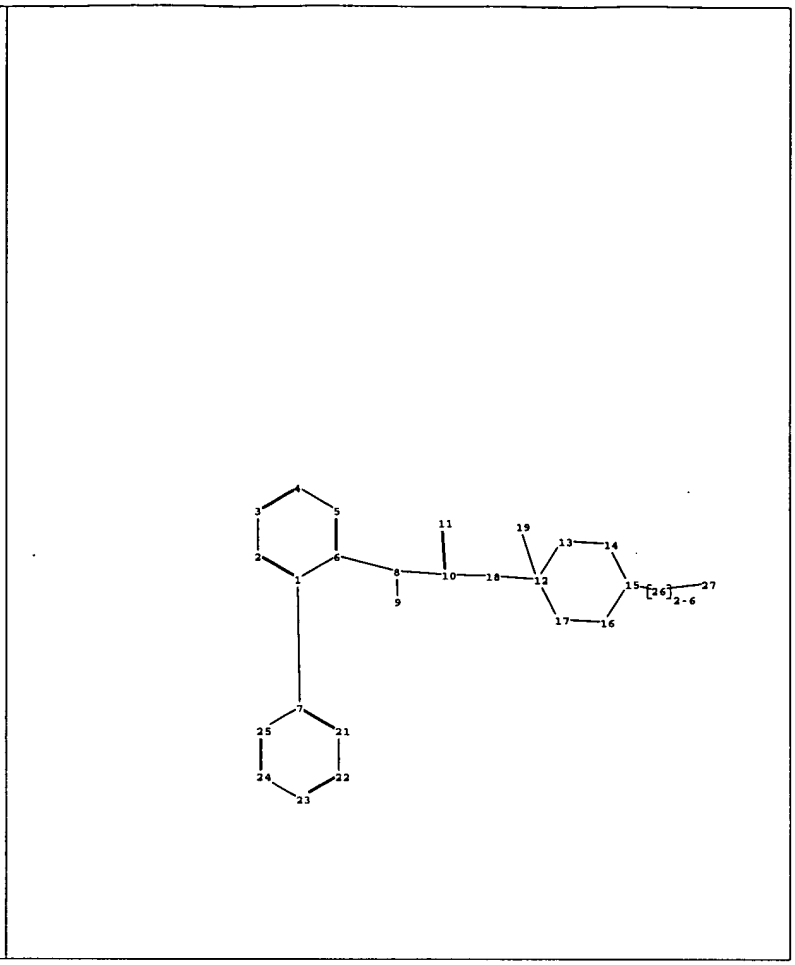

chain nodes :
8 9 10 11 18 19 26 27
ring nodes :
1 2 3 4 5 6 7 12 13 14 15 16 17 21 22 23 24 25
chain bonds :
1-7 6-8 8-9 8-10 10-11 10-18 12-18 12-19 15-26 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 12-17 12-13 13-14 14-15 15-16 16-17 21-22 22-23 23-24 24-25
exact/norm bonds :
6-8 8-10 10-11 10-18 12-17 12-13 12-18 13-14 14-15 15-16 15-26 16-17 26-27
exact bonds :
1-7 8-9 12-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 7 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS

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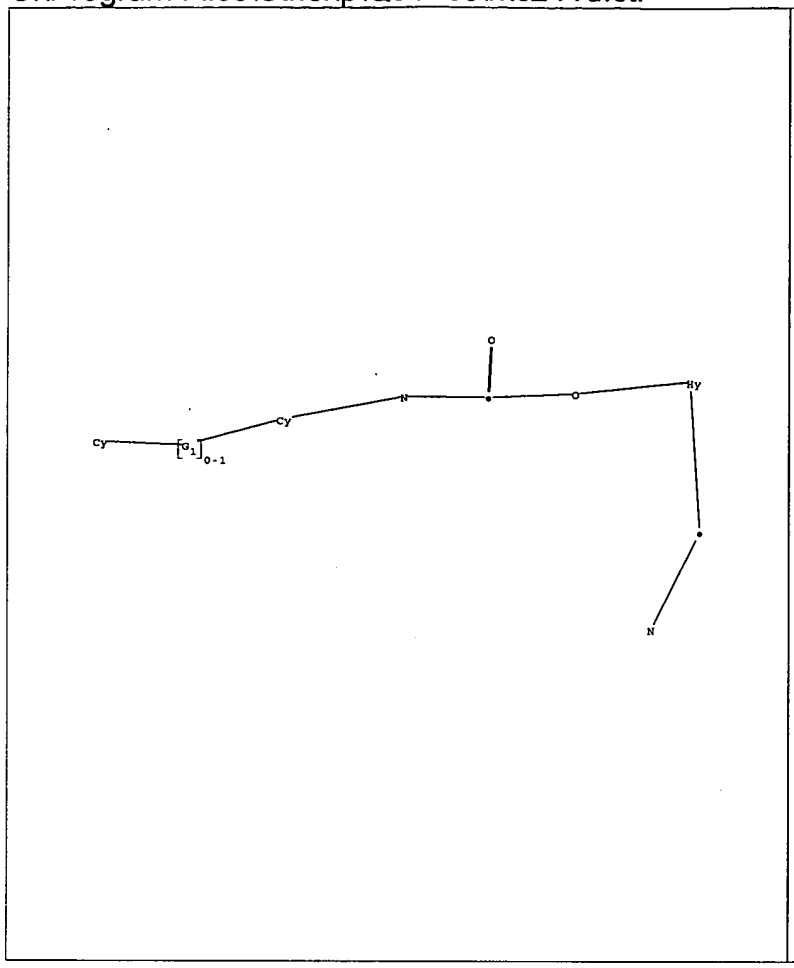
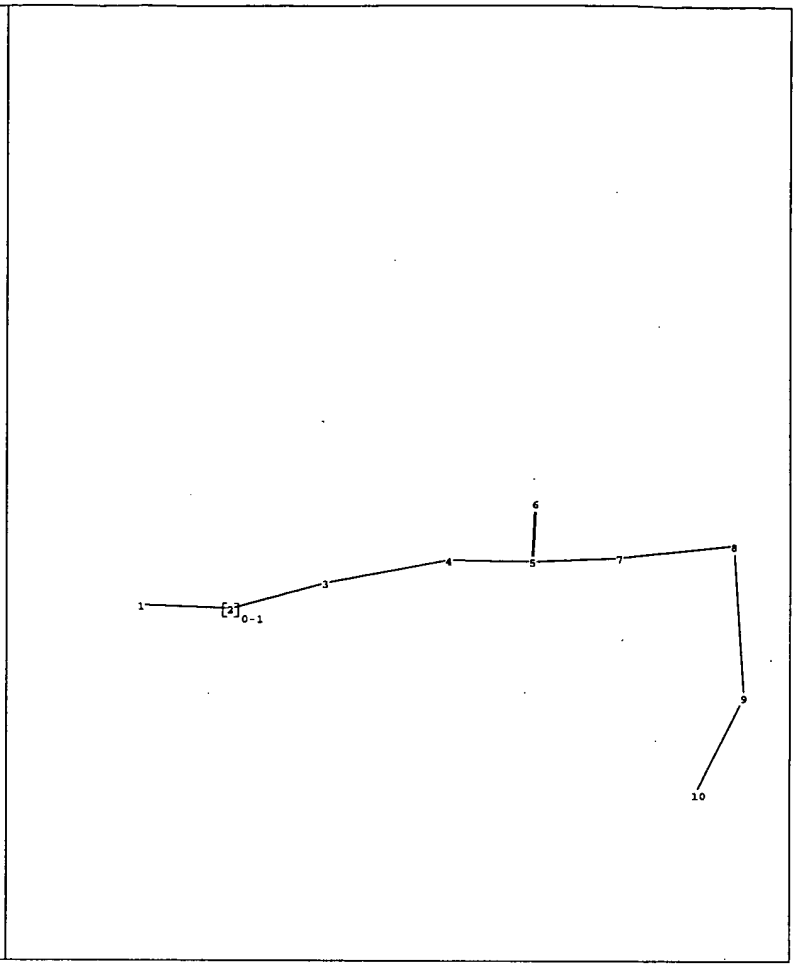




chain nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-2 2-3 3-4 4-5 5-6 5-7 7-8 8-9 9-10
exact/norm bonds :
1-2 2-3 3-4 4-5 5-6 5-7 7-8 8-9 9-10

G1:O,S

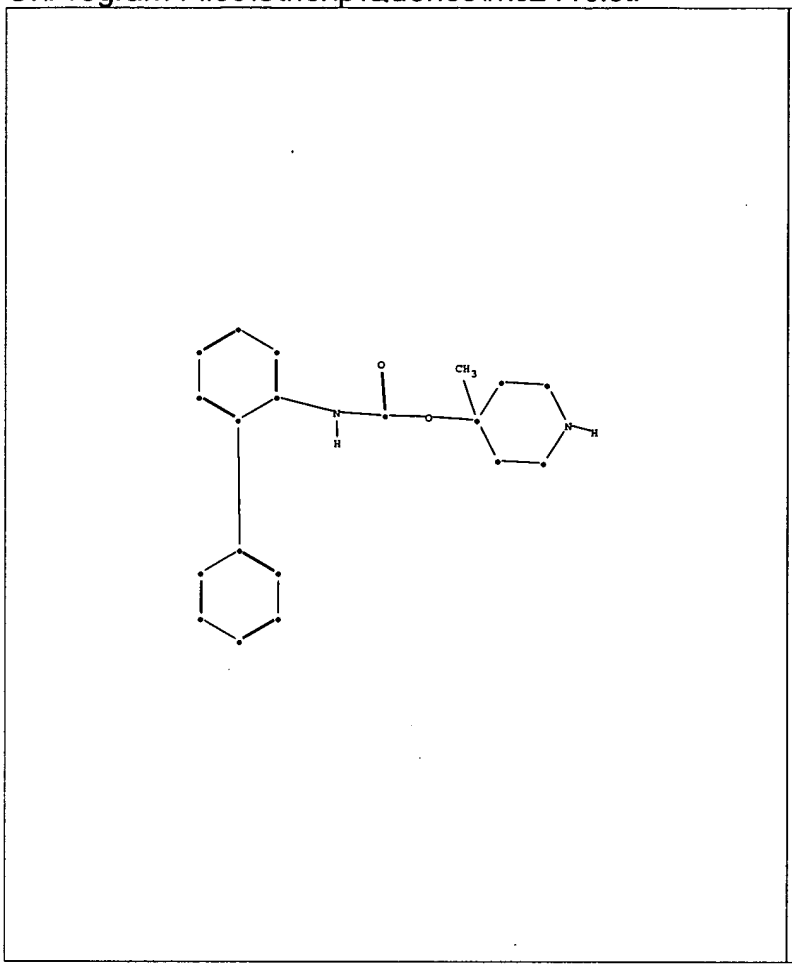
Match level :
1:Atom 2:CLASS 3:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS
Generic attributes :
1:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
3:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
8:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

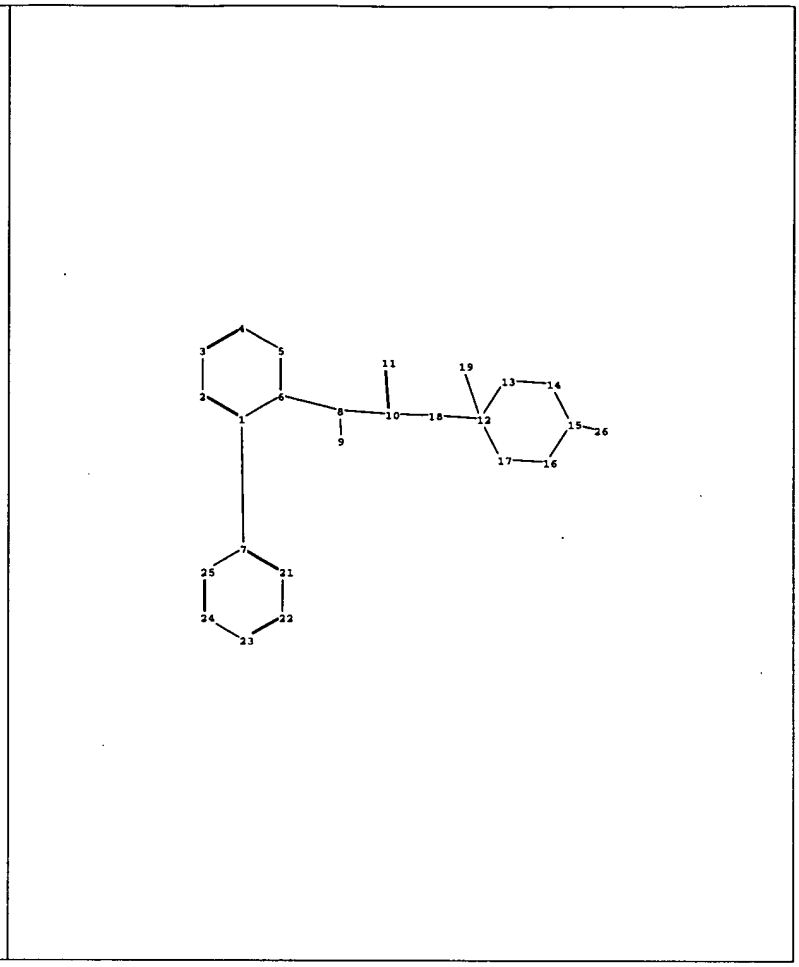
Element Count :

Node 1: Limited
O,O0-1
N,N0-2

Node 3: Limited
O,O0-1
N,N0-2

C:\Program Files\Stnexp\Queries\rkc241c.str

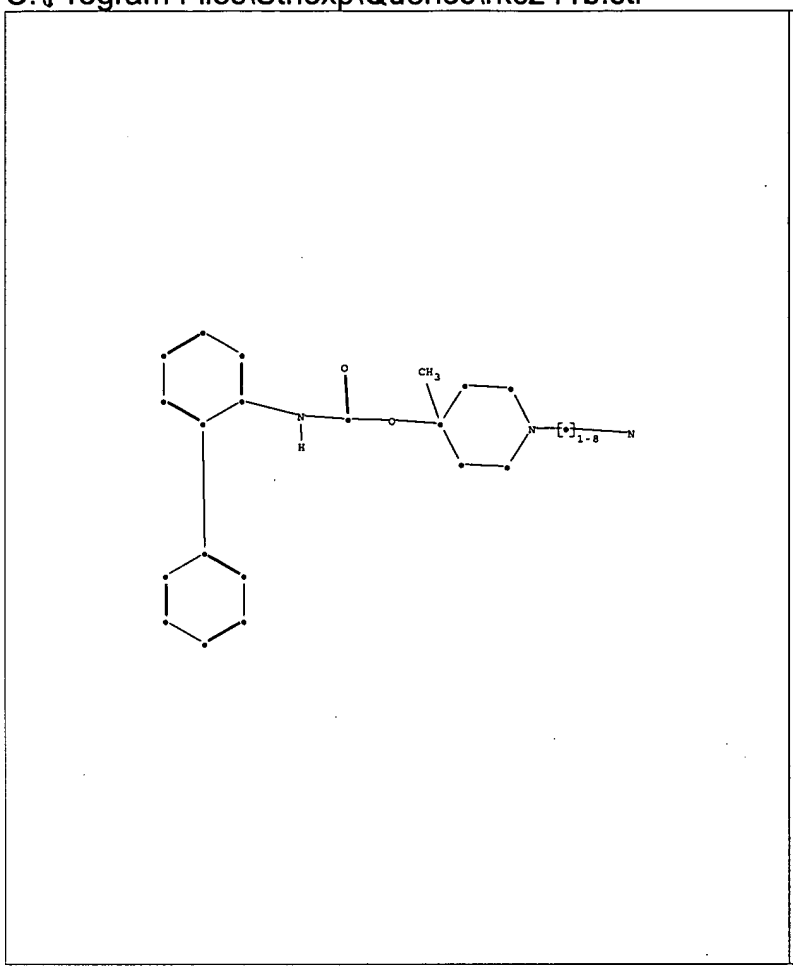


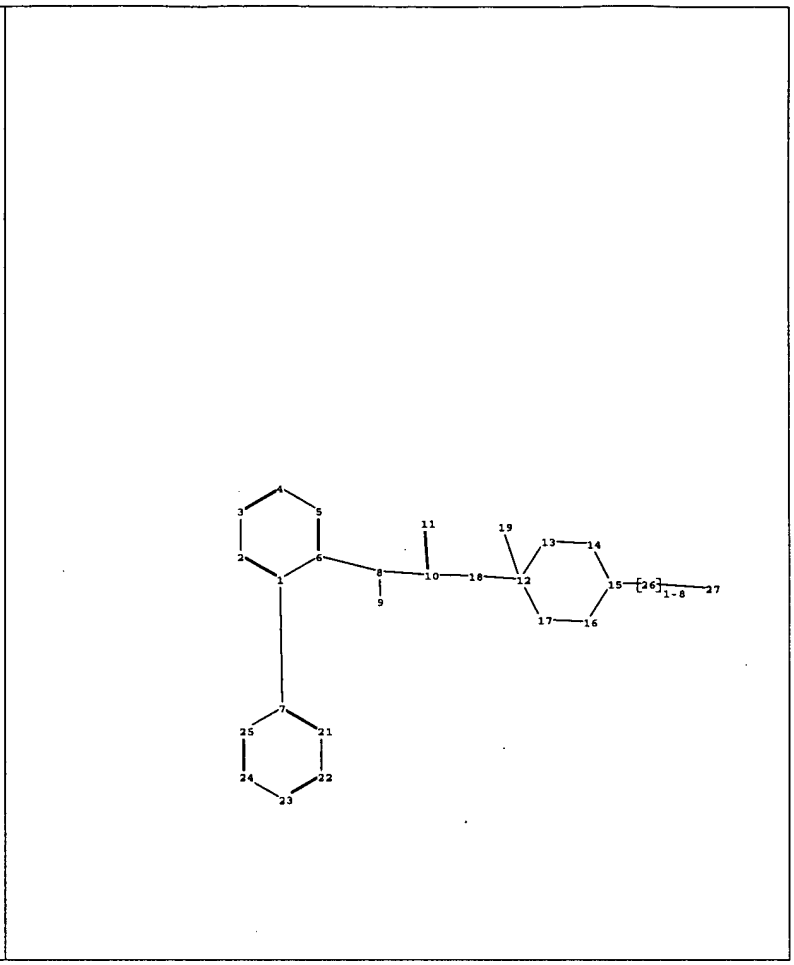


chain nodes :
8 9 10 11 18 19 26
ring nodes :
1 2 3 4 5 6 7 12 13 14 15 16 17 21 22 23 24 25
chain bonds :
1-7 6-8 8-9 8-10 10-11 10-18 12-18 12-19 15-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 12-17 12-13 13-14 14-15 15-16 16-17 21-22 22-23 23-24 24-25
exact/norm bonds :
6-8 8-10 10-11 10-18 12-17 12-13 12-18 13-14 14-15 15-16 16-17
exact bonds :
1-7 8-9 12-19 15-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 7 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS

C:\Program Files\Stnexp\Queries\rkc241b.str





chain nodes :
8 9 10 11 18 19 26
ring nodes :
1 2 3 4 5 6 7 12 13 14 15 16 17 21 22 23 24 25
ring/chain nodes :
27
chain bonds :
1-7 6-8 8-9 8-10 10-11 10-18 12-18 12-19 15-26 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 12-17 12-13 13-14 14-15 15-16 16-17 21-22 22-23 23-24 24-25
exact/norm bonds :
6-8 8-10 10-11 10-18 12-17 12-13 12-18 13-14 14-15 15-16 15-26 16-17 26-27
exact bonds :
1-7 8-9 12-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-21 7-25 21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 7 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom

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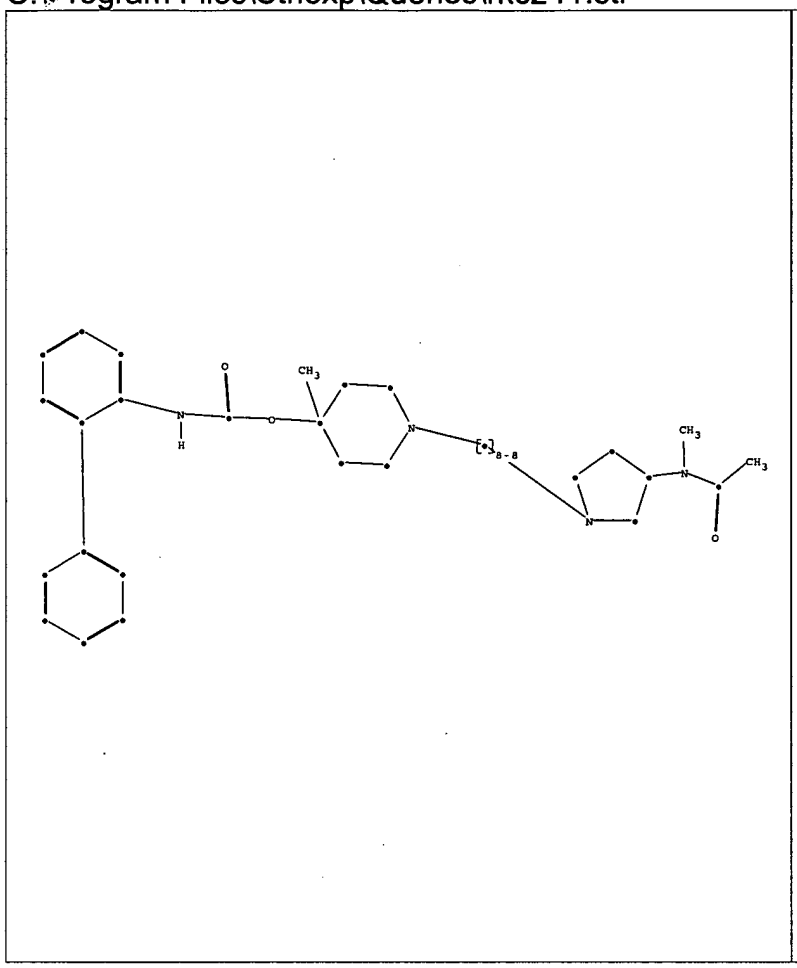

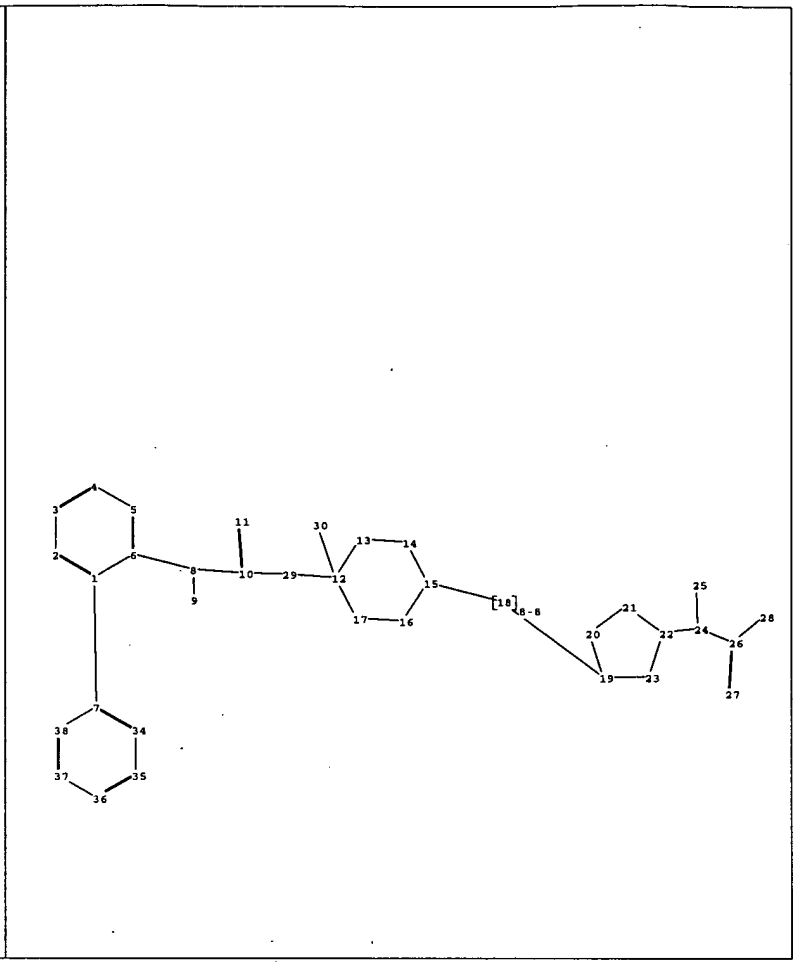

chain nodes :
8 9 10 11 18 24 25 26 27 28 29 30
ring nodes :
1 2 3 4 5 6 7 12 13 14 15 16 17 19 20 21 22 23 34 35 36 37 38
chain bonds :
1-7 6-8 8-9 8-10 10-11 10-29 12-29 12-30 15-18 18-19 22-24 24-25 24-26 26-27 26-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-34 7-38 12-17 12-13 13-14 14-15 15-16 16-17 19-20 19-23 20-21 21-22 22-23 34-35 35-36 36-37 37-38
exact/norm bonds :
6-8 8-10 10-11 10-29 12-17 12-13 12-29 13-14 14-15 15-16 15-18 16-17 18-19 19-20 19-23 22-24 24-26 26-27
exact bonds :
1-7 8-9 12-30 20-21 21-22 22-23 24-25 26-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-34 7-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 : 12 : 19 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom

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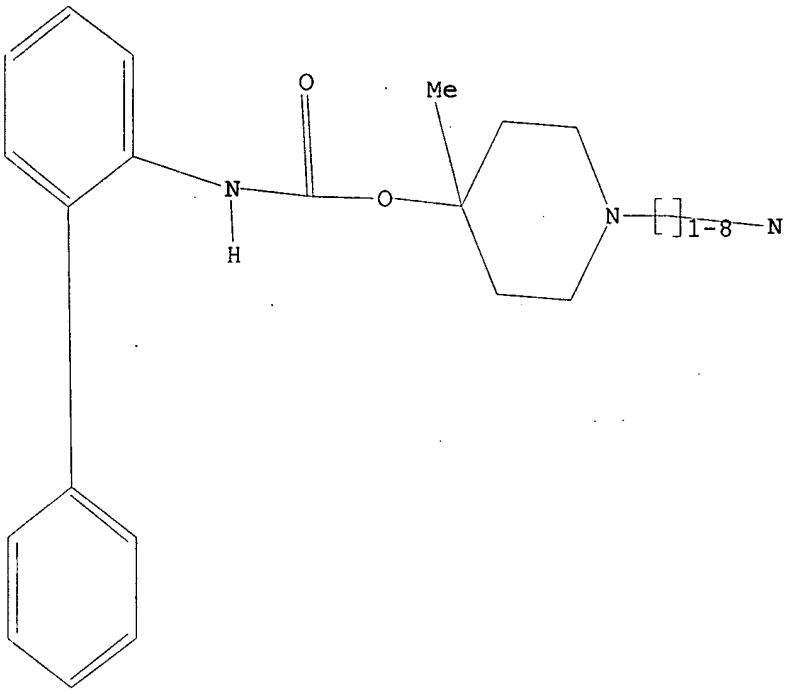

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

FILE COVERS 1907 - 12 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 11 Oct 2005 (20051011/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L6 4 L5

=> d 1-4 bib abs fhitstr

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:453812 CAPLUS
DN 143:7702
TI Preparation of biphenyl benzothiazole compounds having beta2 adrenergic receptor agonist and muscarinic receptor antagonist activity for treating pulmonary disorders
IN Mammen, Mathai; Dunham, Sarah
PA USA
SO U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005113417	A1	20050526	US 2004-992927	20041119
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	WO 2005051946	A3	20050714		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-524234P P 20031121
OS MARPAT 143:7702
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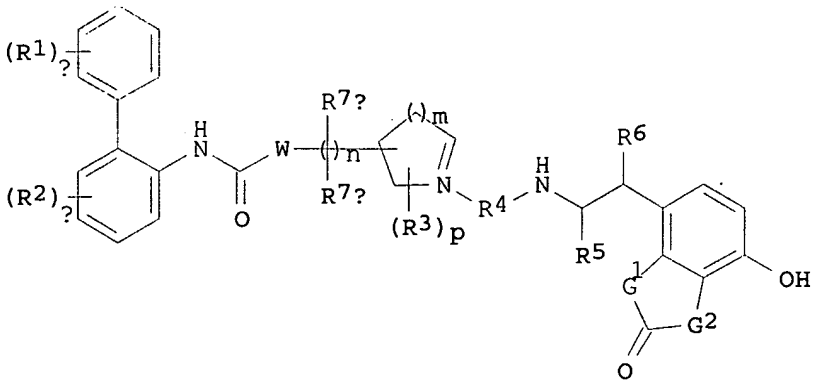


I

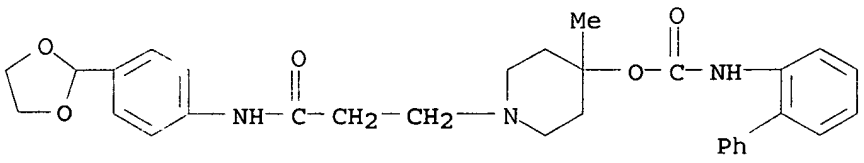
AB The invention is directed to compds. of formula I, wherein R1, R2, R3, R4, R5, R6, R7a, R7b, W, G1, G2, a, b, c, d and m are as defined below, or a pharmaceutically acceptable salt or solvate or stereoisomer thereof. The invention is also directed to pharmaceutical compns. comprising such compds.; methods of using such compds.; and process and intermediates for preparing such compds. The compds. of the invention possess both β2 adrenergic receptor agonist and muscarinic receptor antagonist activity. Such compds. are expected to be useful as therapeutic agents for treating pulmonary disorders. Certain compds. of this invention have also been found to possess affinity for dopamine D2 receptors. For I: one of G1 and G2 = NH and the other represents S, NH, O or CH2; W = O or NWa; where Wa = H or (1-4C)alkyl; each R1 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR1a, -C(O)OR1b, -SR1c, -S(O)R1d, -S(O)2R1e or -NR1fR1g; where each of R1a, R1b, R1c, R1d, R1e, R1f and R1g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R2 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR2a, -C(O)OR2b, -SR2c, -S(O)R2d, -S(O)2R2e or -NR2fR2g; where each of R2a, R2b, R2c, R2d, R2e, R2f and R2g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R3 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR3a, -C(O)OR3b, -SR3c, -S(O)R3d, -S(O)2R3e or -NR3fR3g; or two R3 groups are joined to form (1-3C)alkylene, (2-3C)alkenylene or oxiran-2,3-diyl; where each of R3a, R3b, R3c, R3d, R3e, R3f and R3g = H or (1-4C)alkyl; R4 represents a divalent hydrocarbon group containing from 4 to 28 carbon atoms and optionally containing from 1 to 10 heteroatoms selected independently from halo, O, N, and S, provided that the number of contiguous atoms in the shortest chain between the two nitrogen atoms to which R4 is attached is in the range of from 4 to 16; R5 = H or (1-4C)alkyl; R6 = H or OH; each R7a and R7b = H, (1-4C)alkyl, OH and F; a = 0-3; b = 0-3; c = 0-4; d = 0-5; and m = 0-3.

IT 743462-92-2P, Biphenyl-2-ylcarbamic acid 1-[2-(4-[1,3]dioxolan-2-ylphenylcarbamoyl)ethyl]-4-methylpiperidin-4-yl Ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl benzothiazole compds. having beta2 adrenergic receptor agonist and muscarinic receptor antagonist activity for treating pulmonary disorders)

RN 743462-92-2 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-(1,3-dioxolan-2-

yl)phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:703125 CAPLUS
DN 141:225161
TI Preparation of biphenyl derivatives as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders.
IN Mammen, Mathai; Dunham, Sarah; Hughes, Adam; Lee, Tae Weon; Husfeld, Cralg; Stangeland, Eric
PA USA
SO U.S. Pat. Appl. Publ., 85 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004167167	A1	20040826	US 2004-779157	20040213
WO 2004074276	A1	20040902	WO 2004-US4224	20040213
WO 2004074276	B1	20041007		
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WO 2004074246 A3 20041118
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US 2004209915 A1 20041021 US 2004-778290 20040213
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OS MARPAT 141:225161
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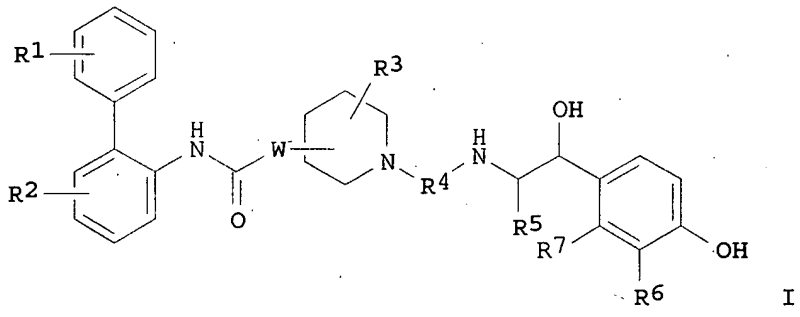

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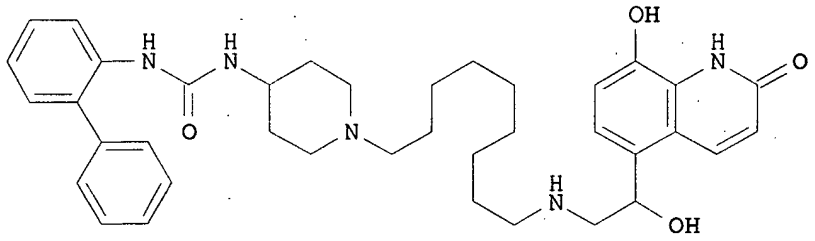


II

AB Title compds. I [R1 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, etc.; R2 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, CN, etc.; W = O, substituted N; R3 (taken 0-4 times) = alk(en/yn)yl, cycloalkyl, etc.; R4 = divalent group; R5 = H, alkyl; R6 = amino, alkoxy, etc.; R7 = H, etc.] are prepared For instance, N-[1,1'-Biphenyl-2-yl]-N'-[1-(9-aminononyl)piperidin-4-yl]urea (preparation given) is combined with 8-Benzyloxy-5-(2,2-dihydroxyacetyl)-1H-quinolin-2-one (CH2Cl2, NaHB(OAc)3) and the product

reduced (MeOH, H2-Pd/C) to give II. Selected example compds. have Ki < 10 nM for the β2 and muscarinic receptor. I are useful in the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and asthma.

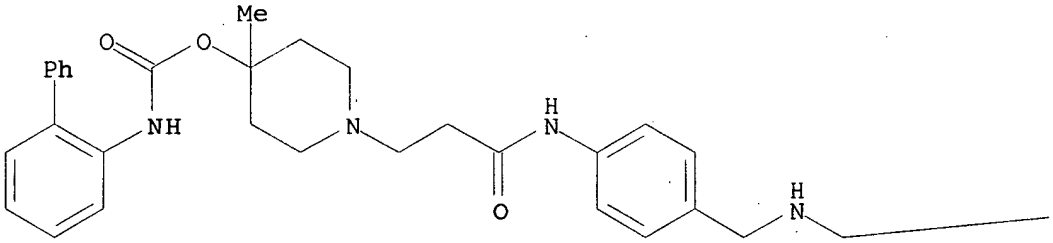
IT 743462-96-6P, Biphenyl-2-ylcarbamic Acid 1-[2-[[4-[[[(R)-2-Hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]4-methylpiperidin-4-yl Ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl derivs. as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders)

RN 743462-96-6 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-[[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]methyl]phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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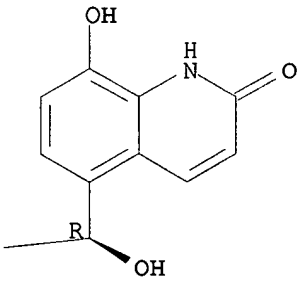

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:120585 CAPLUS

DN 140:181329

TI Preparation of carbamate derivatives as muscarinic receptor antagonists and agonists

IN Mammen, Mathai; Oare, David

PA USA

SO U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U.S. Ser. No. 456,170, abandoned.
CODEN: USXXCO

DT Patent
LA English
FAN.CNT 31

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004029919	A1	20040212	US 2000-732241	20001207
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	EP 1457488	A1	20040915	EP 2004-12859	20001207
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	ZA 2002004557	A	20030908	ZA 2002-4557	20020606
	US 2004110229	A1	20040610	US 2003-425368	20030429
PRAI	US 1999-456170	B2	19991207		
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OS MARPAT 140:181329
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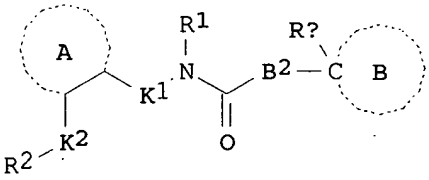

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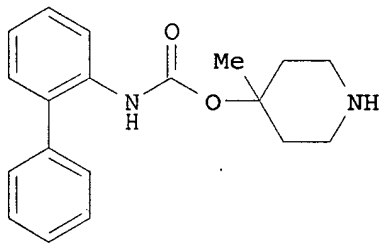


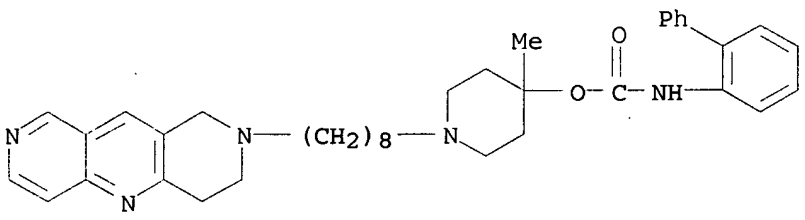
III

AB The title compds. L1XL2 [I; L1 = II (A = (hetero)aryl; B2 = O; Rx = alkyl, alkenyl, alkynyl, etc.; R1 = H, alkyl; R2 = heterocyclyl, etc.; K1 = a bond, alkylene, K2 = a bond, CO, SO2, etc.; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an organic group comprising at least one primary, secondary or tertiary amine] which are muscarinic receptor antagonists and agonists, were prepared and formulated. E.g., a 3-step synthesis of III was given. Biol. data for compds. I were given.

IT 344394-63-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamate derivs. for treating a disease mediated by a muscarinic receptor)

RN 344394-63-4 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[8-(3,4-dihydropyrido[4,3-b][1,6]naphthyridin-2(1H)-yl)octyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:435044 CAPLUS
DN 135:46099
TI Preparation of carbamate derivatives having muscarinic receptor antagonist activity
IN Mammen, Mathai; Oare, David
PA Advanced Medicine, Inc., USA
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 31

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	ZA 2002004557	A	20030908	ZA 2002-4557	20020606
	US 2004110229	A1	20040610	US 2003-425368	20030429
PRAI	US 1999-456170	A2	19991207		
	US 1999-120287P	P	19990216		
	US 1999-325725	B2	19990604		

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WO 2000-US33156 W 20001207
OS MARPAT 135:46099
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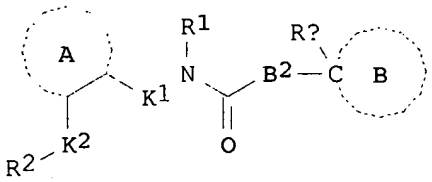

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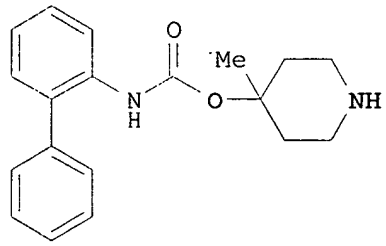


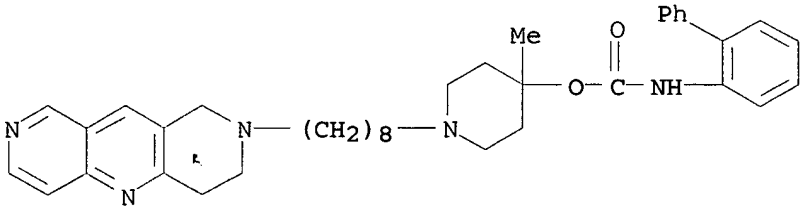
III

AB The title compds. L1XL2 [I; L1 = II (A = (hetero)aryl; B2 = O; Rx = alkyl, alkenyl, alkynyl, etc.; R1 = H, alkyl; R2 = heterocyclyl, etc.; K1 = a bond, alkylene, K2 = a bond, CO, SO2, etc.; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an organic group comprising at least one primary, secondary or tertiary amine] which are muscarinic receptor antagonists and agonists, were prepared and formulated. E.g., a 3-step synthesis of III was given. Biol. data for compds. I were given.

IT 344394-63-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamate derivs. having muscarinic receptor antagonist activity)

RN 344394-63-4 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[8-(3,4-dihydropyrido[4,3-b][1,6]naphthyridin-2(1H)-yl)octyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME) .



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	20.21	369.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.92	-4.38

FILE 'REGISTRY' ENTERED AT 15:18:01 ON 12 OCT 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6
DICTIONARY FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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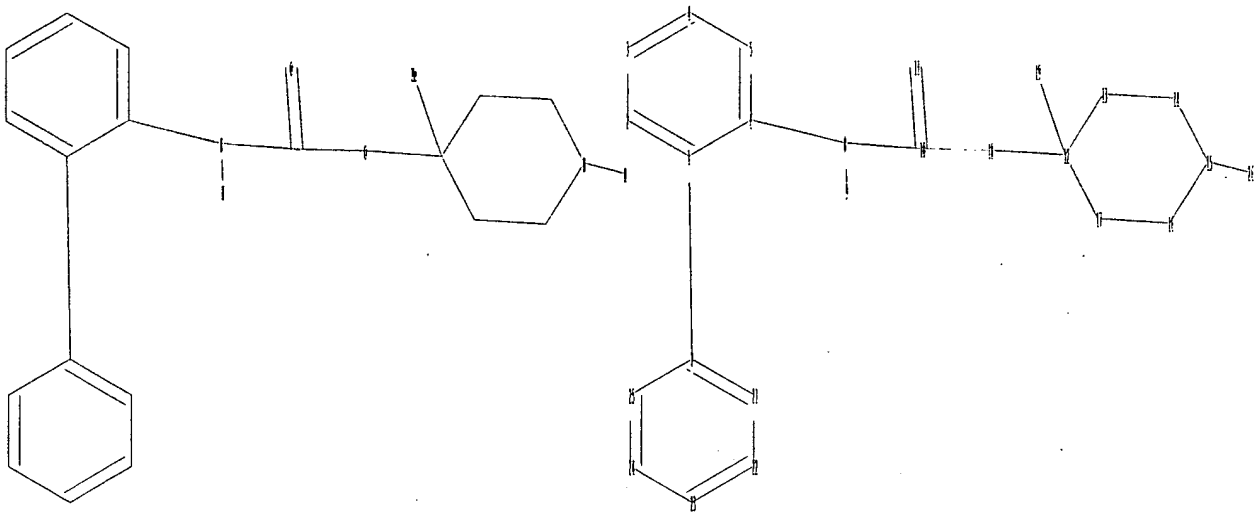

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ring nodes :
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ring bonds :
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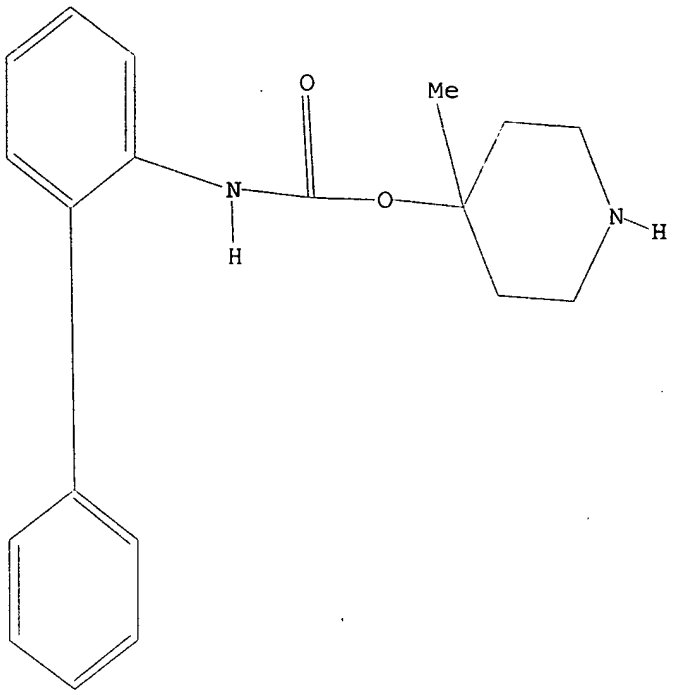

Structure attributes must be viewed using STN Express query preparation.

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L8   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   344395-81-9  REGISTRY
ED   Entered STN:  03 Jul 2001
CN   Carbamic acid, [1,1'-biphenyl]-2-yl-, 4-methyl-4-piperidinyl ester (9CI)
     (CA INDEX NAME)
OTHER NAMES:
CN   Biphenyl-2-ylcarbamic acid 4-methylpiperidin-4-yl ester
FS   3D CONCORD
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SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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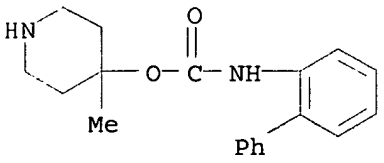

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.38

FILE 'CAPLUS' ENTERED AT 15:18:43 ON 12 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 11 Oct 2005 (20051011/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 4 L8

=> d 1-4 bib abs fhitstr

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:453812 CAPLUS
DN 143:7702
TI Preparation of biphenyl benzothiazole compounds having beta2 adrenergic receptor agonist and muscarinic receptor antagonist activity for treating

pulmonary disorders

IN Mammen, Mathai; Dunham, Sarah
PA USA

SO U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005113417	A1	20050526	US 2004-992927	20041119
WO 2005051946	A2	20050609	WO 2004-US38975	20041119
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-524234P P 20031121
OS MARPAT 143:7702
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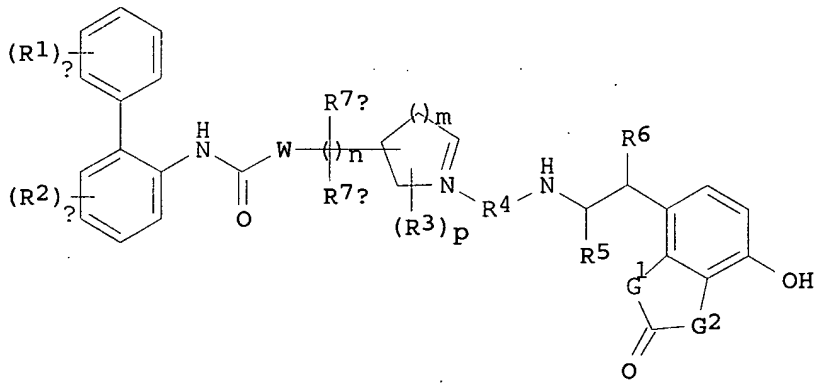


I

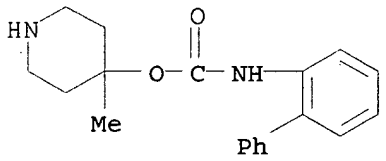
AB The invention is directed to compds. of formula I, wherein R1, R2, R3, R4, R5, R6, R7a, R7b, W, G1, G2, a, b, c, d and m are as defined below, or a pharmaceutically acceptable salt or solvate or stereoisomer thereof. The invention is also directed to pharmaceutical compns. comprising such compds.; methods of using such compds.; and process and intermediates for preparing such compds. The compds. of the invention possess both β2 adrenergic receptor agonist and muscarinic receptor antagonist activity. Such compds. are expected to be useful as therapeutic agents for treating pulmonary disorders. Certain compds. of this invention have also been

found to possess affinity for dopamine D2 receptors. For I: one of G1 and G2 = NH and the other represents S, NH, O or CH2; W = O or NWa; where Wa = H or (1-4C)alkyl; each R1 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR1a, -C(O)OR1b, -SR1c, -S(O)R1d, -S(O)2R1e or -NR1fR1g; where each of R1a, R1b, R1c, R1d, R1e, R1f and R1g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R2 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR2a, -C(O)OR2b, -SR2c, -S(O)R2d, -S(O)2R2e or -NR2fR2g; where each of R2a, R2b, R2c, R2d, R2e, R2f and R2g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R3 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR3a, -C(O)OR3b, -SR3c, -S(O)R3d, -S(O)2R3e or -NR3fR3g; or two R3 groups are joined to form (1-3C)alkylene, (2-3C)alkenylene or oxiran-2,3-diyl; where each of R3a, R3b, R3c, R3d, R3e, R3f and R3g = H or (1-4C)alkyl; R4 represents a divalent hydrocarbon group containing from 4 to 28 carbon atoms and optionally containing from 1 to 10 heteroatoms selected independently from halo, O, N, and S, provided that the number of contiguous atoms in the shortest chain between the two nitrogen atoms to which R4 is attached is in the range of from 4 to 16; R5 = H or (1-4C)alkyl; R6 = H or OH; each R7a and R7b = H, (1-4C)alkyl, OH and F; a = 0-3; b = 0-3; c = 0-4; d = 0-5; and m = 0-3.

IT 344395-81-9, Biphenyl-2-ylcarbamic acid 4-methylpiperidin-4-yl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biphenyl benzothiazole compds. having beta2 adrenergic receptor agonist and muscarinic receptor antagonist activity for treating pulmonary disorders)

RN 344395-81-9 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:703125 CAPLUS

DN 141:225161

TI Preparation of biphenyl derivatives as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders.

IN Mammen, Mathai; Dunham, Sarah; Hughes, Adam; Lee, Tae Weon; Husfeld, Cralg; Stangeland, Eric

PA USA

SO U.S. Pat. Appl. Publ., 85 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004167167	A1	20040826	US 2004-779157	20040213
	WO 2004074276	A1	20040902	WO 2004-US4224	20040213
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PRAI US 2003-447843P     P     20030214
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OS  MARPAT 141:225161
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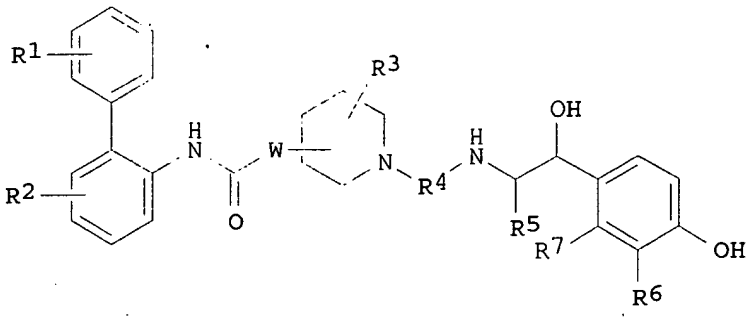

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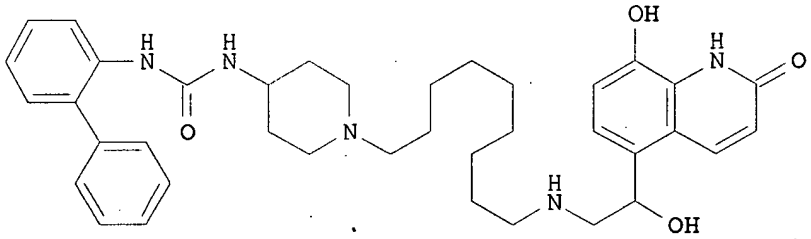


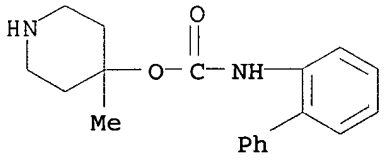
II

AB Title compds. I [R1 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, etc.; R2 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, CN, etc.; W = O, substituted N; R3 (taken 0-4 times) = alk(en/yn)yl, cycloalkyl, etc.; R4 = divalent group; R5 = H, alkyl; R6 = amino, alkoxy, etc.; R7 = H, etc.] are prepared For instance, N-[1,1'-Biphenyl-2-yl]-N'-[1-(9-aminononyl)piperidin-4-yl]urea (preparation given) is combined with 8-Benzyloxy-5-(2,2-dihydroxyacetyl)-1H-quinolin-2-one (CH2Cl2, NaHB(OAc)3) and the product reduced (MeOH, H2-Pd/C) to give II. Selected example compds. have Ki < 10 nM for the β2 and muscarinic receptor. I are useful in the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and asthma.

IT 344395-81-9, Biphenyl-2-ylcarbamic acid 4-methylpiperidin-4-yl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biphenyl derivs. as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders)

RN 344395-81-9 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:120585 CAPLUS
DN 140:181329
TI Preparation of carbamate derivatives as muscarinic receptor antagonists and agonists
IN Mammen, Mathai; Oare, David
PA USA
SO U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U.S. Ser. No. 456,170, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 31

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004029919	A1	20040212	US 2000-732241	20001207
	US 6693202	B1	20040217	US 2000-645609	20000825
	EP 1457488	A1	20040915	EP 2004-12859	20001207
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	ES 2225275	T3	20050316	ES 2000-982493	20001207
	ZA 2002004553	A	20030908	ZA 2002-4553	20020606
	ZA 2002004557	A	20030908	ZA 2002-4557	20020606
	US 2004110229	A1	20040610	US 2003-425368	20030429
PRAI	US 1999-456170	B2	19991207		
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OS MARPAT 140:181329
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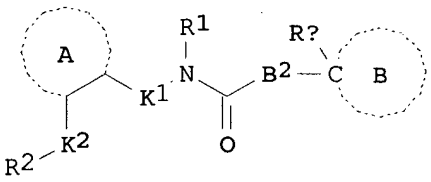

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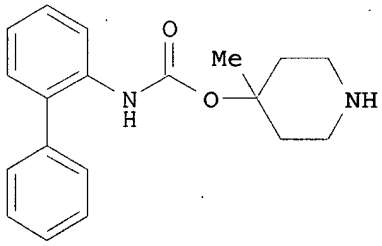


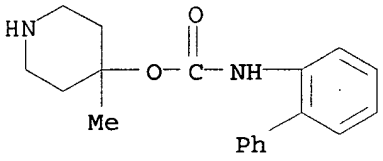
III

AB The title compds. L1XL2 [I; L1 = II (A = (hetero)aryl; B2 = O; Rx = alkyl,

alkenyl, alkynyl, etc.; R1 = H, alkyl; R2 = heterocyclyl, etc.; K1 = a bond, alkylene, K2 = a bond, CO, SO2, etc.; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an organic group comprising at least one primary, secondary or tertiary amine] which are muscarinic receptor antagonists and agonists, were prepared and formulated. E.g., a 3-step synthesis of III was given. Biol. data for compds. I were given.

IT 344395-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbamate derivs. for treating a disease mediated by a muscarinic receptor)

RN 344395-81-9 CAPLUS
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:435044 CAPLUS
DN 135:46099
TI Preparation of carbamate derivatives having muscarinic receptor antagonist activity
IN Mammen, Mathai; Oare, David
PA Advanced Medicine, Inc., USA
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 31

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001042212	A1	20010614	WO 2000-US33156	20001207
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	ZA 2002004557	A	20030908	ZA 2002-4557	20020606
	US 2004110229	A1	20040610	US 2003-425368	20030429
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OS MARPAT 135:46099

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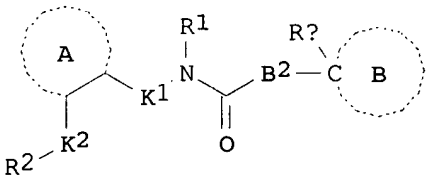

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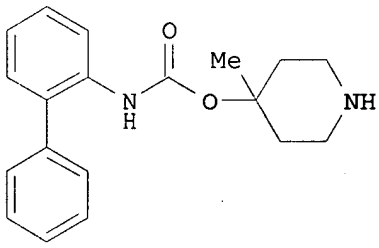


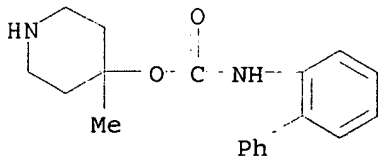
III

AB The title compds. L1XL2 [I; L1 = II (A = (hetero)aryl; B2 = O; Rx = alkyl, alkenyl, alkynyl, etc.; R1 = H, alkyl; R2 = heterocyclyl, etc.; K1 = a bond, alkylene, K2 = a bond, CO, SO2, etc.; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an organic group comprising at least one primary, secondary or tertiary amine] which are muscarinic receptor antagonists and agonists, were prepared and formulated. E.g., a 3-step synthesis of III was given. Biol. data for compds. I were given.

IT 344395-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbamate derivs. having muscarinic receptor antagonist activity)

RN 344395-81-9 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	20.21	552.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.92	-7.30

FILE 'REGISTRY' ENTERED AT 15:19:03 ON 12 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6
DICTIONARY FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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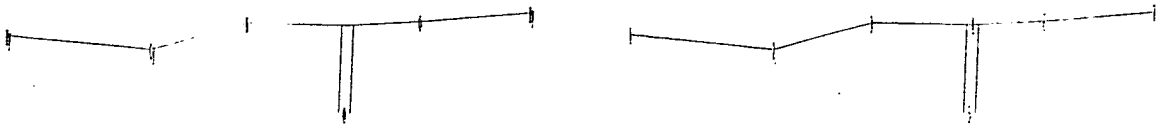

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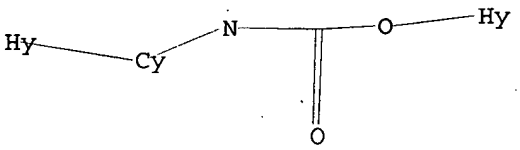

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FILE COVERS 1907 - 12 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 11 Oct 2005 (20051011/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L12 37 L11

=> d 1-37 bib abs fhitstr

L12 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:696920 CAPLUS
DN 143:193856
TI Preparation of rifamycin derivatives for use in antibiotic pharmaceutical compositions which are effective against drug-resistant microbes
IN Ma, Zhenkun; Jin, Yafei; Li, Jing; Ding, Charles Z.; Minor, Keith P.; Longgood, Jamie C.; Kim, In Ho; Harran, Susan; Combrink, Keith; Morris, Timothy W.
PA Cumbre Inc., USA
SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005070940	A2	20050804	WO 2005-US943	20050112

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-535990P P 20040113
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Rifamycin S and SV derivs., such as I and II [X = bond, heterocyclic and/or heteroacyclic linking group; A = antibacterial agent or its pharmacophore], were prepared and were claimed for therapeutic use as antibacterial agents. The inventive rifamycin derivs. were uniquely designed in that they have a rifamycin moiety covalently linked to a linker group through the C-3 carbon of the rifamycin moiety and the linker is, in turn covalently linked to a therapeutic moiety or antibacterial agent/pharmacophore. The therapeutic moiety can be a quinolone, an oxazolidinone, a macrolide, an aminoglycoside, a tetracycline core or a structure/pharmacophore associated with an antibacterial agent. Thus, rifamycin S derivative III was prepared via a condensation reaction with 10% yield of 3-bromorifamycin S with sodium ciprofloxacin. The prepared rifamycin derivs. were assayed for antimicrobial activity organisms such as Staphylococcus aureus.

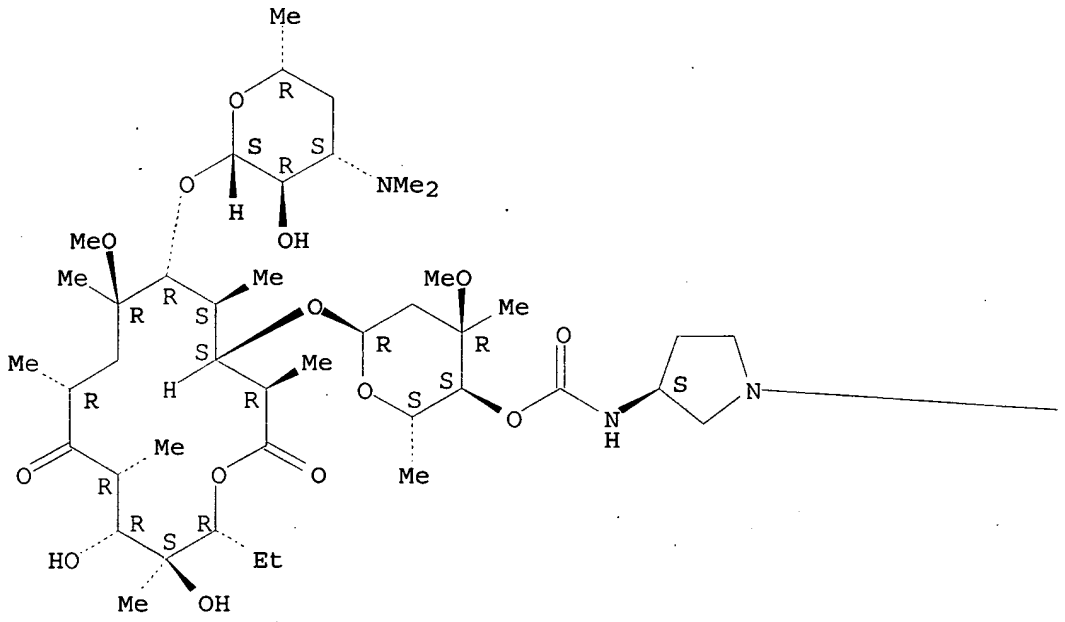
IT 861805-25-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of rifamycin derivs. for use in antibiotic pharmaceutical compns. which are effective against drug-resistant microbes)

RN 861805-25-6 CAPLUS

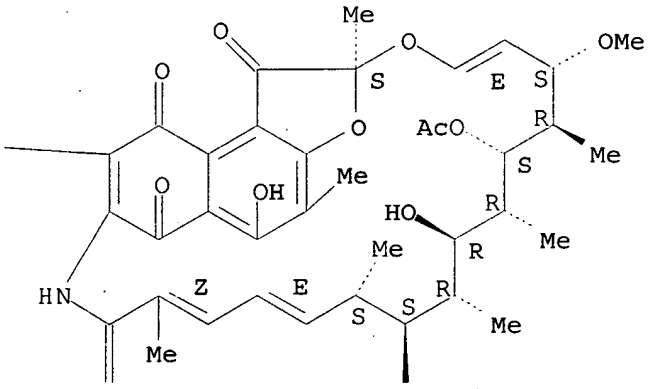
CN Erythromycin, 6-O-methyl-, (3→4'')-ester with 3-[(3S)-3-(carboxyamino)-1-pyrrolidinyl]-1,4-dideoxy-1,4-dihydro-1,4-dioxorifamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B



PAGE 2-B

L12 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:612283 CAPLUS
DN 143:133362
TI Synthesis of Thiazole derivatives for adenosine A2A receptor antagonist
IN Nakajima, Takao; Sugawara, Masamori; Uchida, Shinichi; Ohno, Tetsuji; Nomoto, Yuji; Uesaka, Noriaki; Nakasato, Yoshisuke
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 394 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

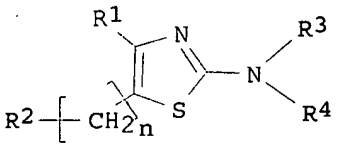
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005063743	A1	20050714	WO 2004-JP19778	20041224

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-432777 A 20031226

GI



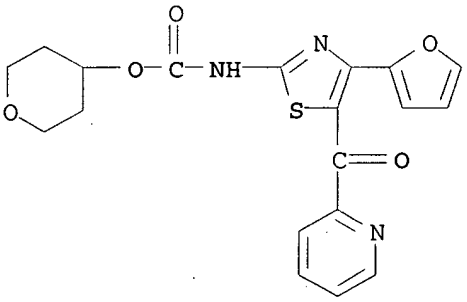
I

AB The patent relates to the synthesis of an adenosine A2A receptor antagonist which contains as an active ingredient either a thiazole derivative represented by I (wherein n is an integer of 0 to 3; R1 represents (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted alicyclic heterocyclic group, or (un)substituted aromatic heterocyclic group; R2 represents halogeno, (un)substituted lower alkyl, (un)substituted aryl, (un)substituted alicyclic heterocyclic group, (un)substituted aromatic heterocyclic group, -COR8, etc.; and R3 and R4 are the same or different and each represents hydrogen, (un)substituted lower alkyl, (un)substituted aralkyl, -COR12, etc.) or a pharmacol. acceptable salt of the derivative Thus, N-[4-(2-furyl)-5-(4-pyridyl)thiazol-2-yl]pyridine-4-carboxyamide (40 gm) was prepared and formulated with lactose 286.8, potato starch 60, hydeoypropylcellulose (10% aqueous solution) 120, and magnesium stearate 1.2 gm to make tablets containing 10% active ingredient for adenosine A2A receptor antagonist.

IT 858976-69-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of thiazole derivs. for adenosine A2A receptor antagonist)

RN 858976-69-9 CAPLUS

CN Carbamic acid, [4-(2-furanyl)-5-(2-pyridinylcarbonyl)-2-thiazolyl]-, tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:611823 CAPLUS
DN 143:153709
TI Synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors
IN Miao, Zhenwei; Sun, Ying; Nakajima, Suanne; Tang, Datong; Wu, Frank; Xu, Guoyou; Or, Yat S.; Wang, Zhe
PA USA
SO U.S. Pat. Appl. Publ., 229 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005153877	A1	20050714	US 2004-774047	20040206
PRAI US 2003-509069P	P	20030213		

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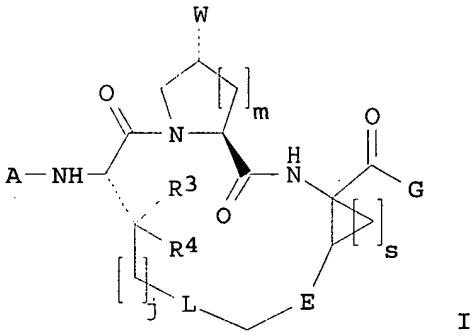
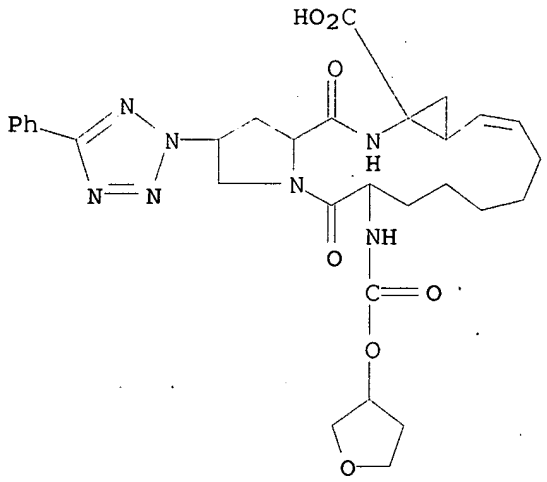
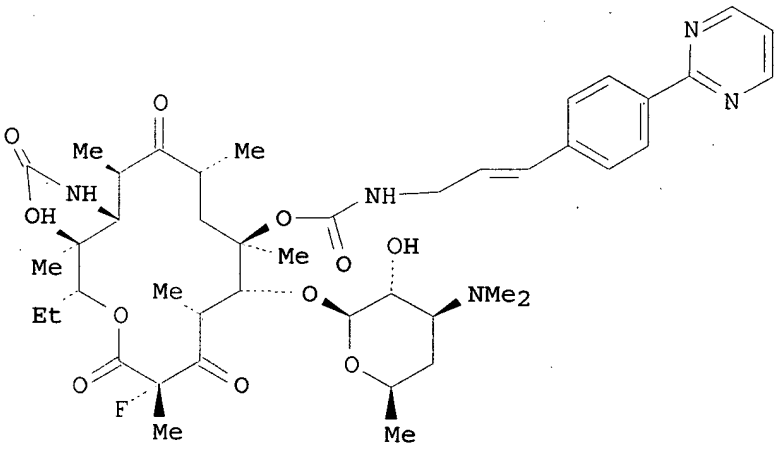


I

AB The invention relates to cyclic peptides I [A = H, COR2, CO2R1, CONHR2, etc.; G = OH, alkoxy, NHSO2R1, CO2R1, CONHR1, etc.; L = absent, S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1,R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3,R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or their pharmaceutically-acceptable salts, esters, or prodrugs, which inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. An example is I (A = Me3CO2C, G = OH, L = absent, W = 5-phenyl-1,2,3,4-tetrazol-2-yl, j = 3, m, s = 1; R3, R4 = H), which was prepared via peptide coupling and ring-closing metathesis.
IT 744248-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)
RN 744248-55-3 CAPLUS
CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-6-[[[[(3R)-tetrahydro-3-furanyl]oxy]carbonyl]amino]-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:86359 CAPLUS
DN 142:355492
TI Synthesis and antibacterial activity of C2-fluoro, C6-carbamate ketolides, and their C9-oximes
AU Xu, Xiaodong; Henninger, Todd; Abbanat, Darren; Bush, Karen; Foleno, Barbara; Hilliard, Jamese; Macielag, Mark
CS Antimicrobial Agents Research Team, Johnson & Johnson Pharmaceutical Research & Development, L.L.C., Raritan, NJ, 08869, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(4), 883-887
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI



I

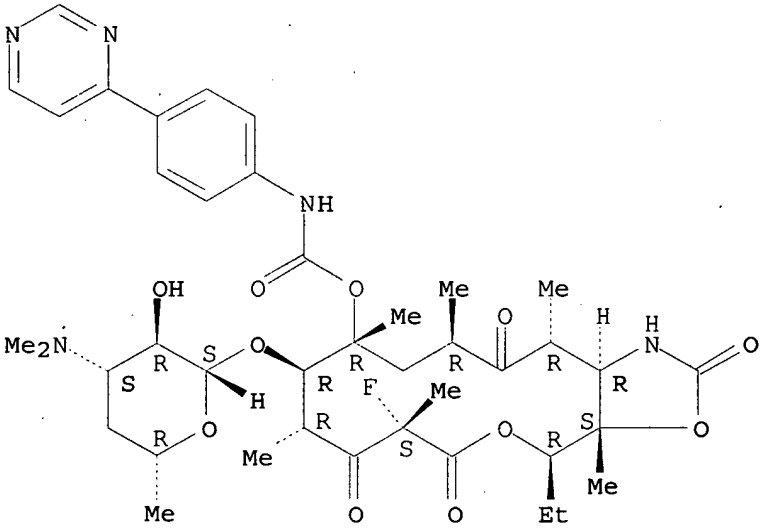
AB Novel C6-carbamate ketolides, e.g. I, with C2-fluorination and C9-oximation have been synthesized. The best compds. in this series displayed MIC values of 0.03-0.12 μg/mL against streptococci containing erm and mef resistance determinants and 2-4 μg/mL against Haemophilus influenzae. Several compds. also showed measurable activity against erm(B)-containing Enterococci with MIC values of 2-8 μg/mL. In vivo activity was adversely affected by fluorination, possibly as a result of increased serum protein binding.

IT 848933-65-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of cfluoro ccarbamate ketolides and their coximes)

RN 848933-65-3 CAPLUS

CN Carbamic acid, [4-(4-pyrimidinyl)phenyl]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1019774 CAPLUS

DN 142:6545

TI Preparation of benzothiazoles as A2a receptor ligands for the treatment of Alzheimer's disease

IN Flohr, Alexander; Jakob-roetne, Roland; Norcross, Roger David; Riemer, Claus

PA Switz.

SO U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004235842	A1	20041125	US 2004-848436	20040518
	WO 2004103367	A1	20041202	WO 2004-EP5179	20040514

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI EP 2003-11090 A 20030521

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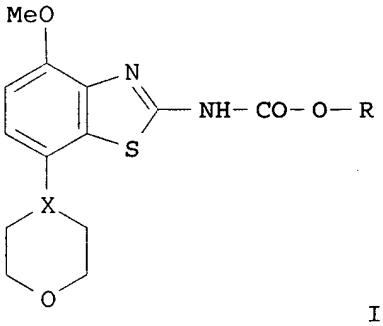

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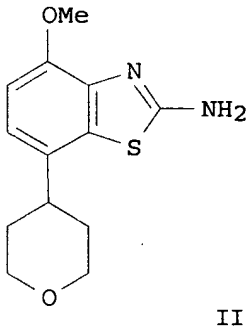
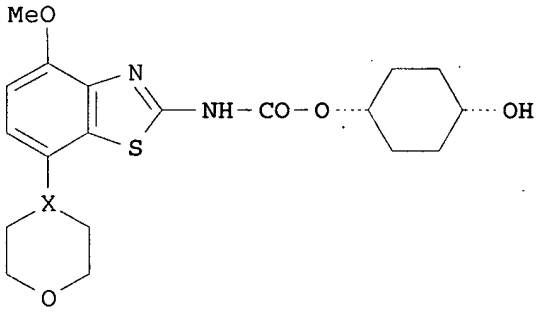


II



III

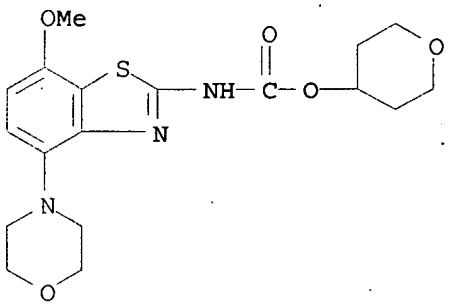
AB Title compds. I [R = cyclopentyl, cyclohexyl, Et, etc.; X = CH, N] and their pharmaceutically acceptable salts and formulations were prepared For example, sequential condensation of amine II, e.g., prepared from 4-bromo-2-nitroanisole in 6-steps, Ph chloroformate and (trans)-cyclohexane-1,4-diol afforded carbamic acid III in 7% yield. The pKi of 13-examples of compds. I ranged from 7.6-8.7, with the most preferred compds. having a pKi >8.0. Of note, compds. I possess a high affinity towards the A2a receptor (no data provided). Compds. I are claimed useful for the treatment of Alzheimer's disease, depression, Parkinson's disease and ADHD.

IT 797033-06-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazoles as A2a receptor ligands for the treatment of Alzheimer's disease)

RN 797033-06-8 CAPLUS

CN Carbamic acid, [7-methoxy-4-(4-morpholinyl)-2-benzothiazolyl]-, tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



L12 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:698218 CAPLUS

DN 141:220883

TI Macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection

IN Miao, Zenwei; Sun, Ying; Wu, Frank; Nakajima, Suanne; Xu, Guoyou; Or, Yat Sun; Wang, Zhe

PA Enanta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 299 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004072243	A2	20040826	WO 2004-US3479	20040206
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
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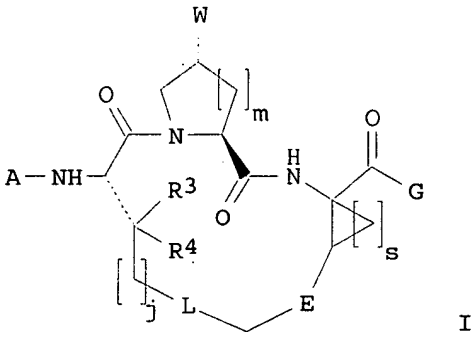
	US 2004180815	A1	20040916	US 2003-384120	20030307
PRAI	US 2003-360947	A	20030207		
	US 2003-365854	A	20030213		
	US 2003-384120	A	20030307		

OS MARPAT 141:220883

GI



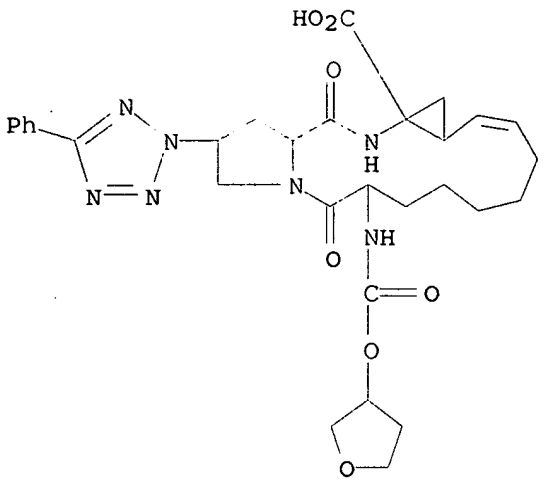
I

AB The present invention relates to compds. I [A = H, COR2, COOR1, CONHR2, etc.; G = OH, COR2, COOR1, CONHR1, etc.; L = S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1,R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3,R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or a pharmaceutically acceptable salt, ester, or prodrug thereof, and to methods for their synthesis. The compds. inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. Consequently, the compds. of the present invention interfere with the life cycle of HCV and are also useful as antiviral agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention.

IT 744248-55-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

RN 744248-55-3 CAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-6-[[[[(3R)-tetrahydro-3-furanyl]oxy]carbonyl]amino]-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:467892 CAPLUS
DN 141:38606
TI Pyrazoloquinolines and analogs with CD80 antagonist immunomodulating activity, and their preparation, pharmaceutical compositions, and use
IN Matthews, Ian Richard; Coulter, Thomas Stephen; Ghiron, Chiara; Brennan, Chris James; Uddin, Muhammed Kamal; Pettersson, Lars Olof Goeran; Da Graca Thrige, Dorthe; Huxley, Philip
PA Active Biotech AB, Swed.
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004048378	A1	20040610	WO 2003-SE1805	20031121
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	US 2004116461	A1	20040617	US 2003-717519	20031121
	US 2005203118	A9	20050915		
	EP 1562944	A1	20050817	EP 2003-773026	20031121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	SE 2002-3471	A	20021122		
	US 2002-428240P	P	20021122		
	SE 2003-1299	A	20030506		
	SE 2003-1851	A	20030625		
	US 2003-482122P	P	20030625		

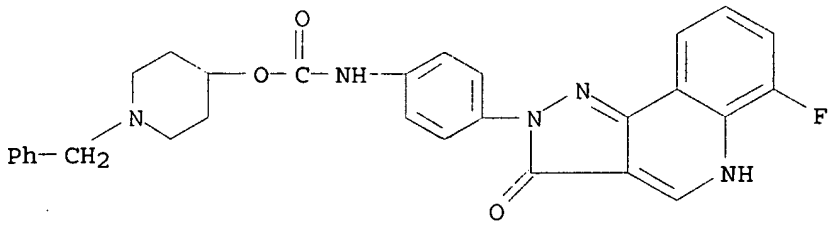
WO 2003-SE1805 W 20031121
OS MARPAT 141:38606
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel heterocyclic compds., to methods for their preparation, to compns. containing them, and to methods and use for clin. treatment of medical conditions which may benefit from immunomodulation, including rheumatoid arthritis, multiple sclerosis, diabetes, asthma, transplantation, systemic lupus erythematosis, and psoriasis. More particularly, the invention relates to novel heterocyclic compds. I, which are CD80 antagonists capable of inhibiting the interactions between CD80 and CD28. In formula I, R1 and R3 independently represent H, F, Cl, Br, NO2, CN, C1-C6 alkyl optionally substituted by F or Cl, or C1-C6 alkoxy optionally substituted by F; R2 represents H, or optionally substituted C1-C6 alkyl, C3-C7 cycloalkyl, or optionally substituted Ph; Y represents O, S, N-oxide, or N(R5), wherein R5 represents H or C1-C6 alkyl; X represents a bond or a divalent C1-C6 alkylene radical; R4 represents -C(O)NR6R7, -NR7C(O)R6, -NR7C(O)OR6, -NHC(O)NHR6, or -NHC(S)NHR6, wherein R6 represents H, or a radical of formula -(Alk)b-Q wherein b = 0-1 and Alk is an optionally substituted divalent straight chain or branched C1-C12 alkylene, C2-C12 alkenylene or C2-C12 alkynylene radical which may be interrupted by one or more non-adjacent -O-, -S- or -N(R8)- radicals wherein R8 represents H or C1-C4 alkyl, C3-C4 alkenyl, C3-C4 alkynyl, or C3-C6 cycloalkyl, and Q represents H, CF3, OH, SH, NR8R8 wherein each R8 may be the same or different, an ester group, or an optionally substituted Ph, C3-C7 cycloalkyl, C5-C7 cycloalkenyl or heterocyclic ring having from 5 to 8 ring atoms; and R7 represents H or C1-C6 alkyl; or when taken together with the atom or atoms to which they are attached, R6 and R7 form an optionally substituted heterocyclic ring having from 5 to 8 ring atoms. Approx. 170 example compds. and several intermediates were prepared For instance, invention compound II (claimed individually) was prepared in 5 steps: (1) cyclocondensation of 3-cyclopropyl-3-oxopropionic acid Me ester with Et 2-aminobenzoate to give a quinolone derivative, (2) conversion of the quinolone ester to a chloroquinoline ester with POCl3, (3) cyclocondensation of the latter with 4-hydrazinobenzoic acid to form the pyrazole ring, (4) conversion of the free acid group to an acid chloride, and (5) amidation with H2N(CH2)3NMe2. In a cell-free, Eu/APC-based, homogeneous time-resolved fluorescence (HTRF) assay, used to determine inhibition of CD80-CD28 interaction, II had EC50 < 1 μM.

IT 702705-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazoloquinolines and analogs as CD80 antagonists and immunomodulators)

RN 702705-80-4 CAPLUS
CN Carbamic acid, [4-(6-fluoro-3,5-dihydro-3-oxo-2H-pyrazolo[4,3-c]quinolin-2-yl)phenyl]-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

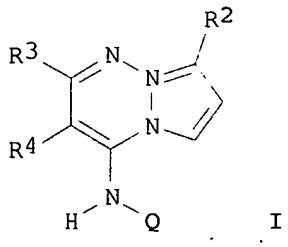


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:220207 CAPLUS
DN 140:270868
TI Preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Knutson, Chad; Mckittrick, Brian; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon
PA Schering Corporation, USA; Pharmacopeia, Inc.
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022062	A1	20040318	WO 2003-US27564	20030903
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2497539	AA	20040318	CA 2003-2497539	20030903
	US 2004102452	A1	20040527	US 2003-654163	20030903
	EP 1545533	A1	20050629	EP 2003-794594	20030903
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-408182P	P	20020904		
	WO 2003-US27564	W	20030903		

OS MARPAT 140:270868
GI



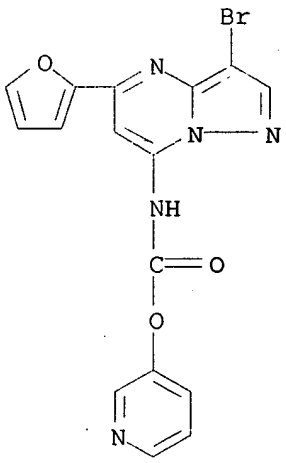
I

AB The title compds. [I; Q = SO2NR6R7, CONR6R7, CO2R7; R2 = (un)substituted alkyl, alkynyl, alkynylalkyl, cycloalkyl, CF3, CO2R6, aryl, arylalkyl, heteroarylalkyl, heterocyclyl, etc., wherein aryl is optionally substituted; R3 = H, halogen, NR5R6, CONR5R6, CO2R4, each (un)substituted alkyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; R7 = each (un)substituted alkyl, cycloalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

IT 674297-70-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

RN 674297-70-2 CAPLUS

CN Carbamic acid, [3-bromo-5-(2-furanyl)pyrazolo[1,5-a]pyrimidin-7-yl]-, 3-pyridinyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:203541 CAPLUS
DN 140:253912
TI Preparation of hydroxyprolinamide peptides as hepatitis C virus inhibitors
IN Ripka, Amy; Campbell, Jeffrey Allen; Good, Andrew Charles; Scola, Paul Michael; Sin, Ny; Venables, Brian
PA USA
SO U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004048802	A1	20040311	US 2003-441827	20030520
WO 2004032827	A2	20040422	WO 2003-US15856	20030520
WO 2004032827	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1506172	A2	20050216	EP 2003-799806	20030520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI US 2002-382156P	P	20020520		
WO 2003-US15856	W	20030520		

OS MARPAT 140:253912
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

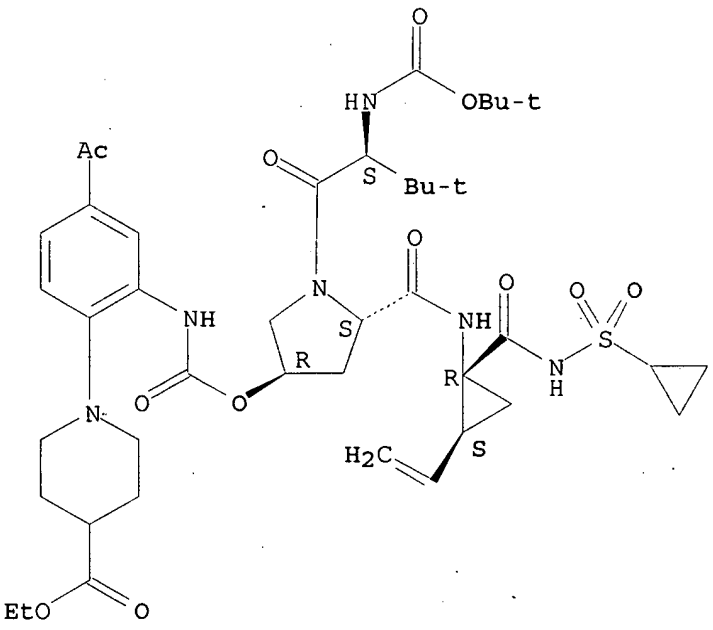
AB The invention relates to tripeptide compds. I [R1 is H or (un)substituted alk(en)yl or aryl; R2 is (un)substituted alk(en)yl, aryl, cycloalkyl, or heterocyclyl; or R1R2N is (fused) heterocyclyl; R3 is (un)substituted alk(en)yl or cycloalkyl or R3CH is a ring; R4 is H or any group given for R3; A is OH, alkoxy, sulfinyl- or sulfonyl-substituted amino; B is H, alkyl, acyl, (thio)carbamoyl, sulfonyl, or sulfamoyl groups; Y is H, nitrophenyl or -pyridyl, cyano-, hydroxy-, or cycloalkylalkyl (with provisos)] or their pharmaceutically-acceptable salts or prodrugs for the treatment of hepatitis C virus (HCV) infection. Thus, tripeptide II (Boc = tert-butoxycarbonyl) was prepared by esterification of the hydroxyproline moiety with o-carbethoxyphenyl isocyanate and assayed for inhibition of HCV NS3/4A protease (IC50 and EC50 < 0.1 μM).

IT 669007-72-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyprolinamide peptides as hepatitis C virus inhibitors)

RN 669007-72-1 CAPLUS

CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-acetyl-2-[4-(ethoxycarbonyl)-1-piperidinyl]phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:120688 CAPLUS

DN 140:181438

TI Preparation of piperidinylmethyl (thiazolyl)phenylcarbamates as M3 muscarinic acetylcholine receptor antagonists

IN Laine, Dramane I.; Bell, Ricahrd; Busch-Petersen, Jakob; Palovich, Michael
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004012684	A2	20040212	WO 2003-US24569	20030806
	WO 2004012684	A3	20040624		
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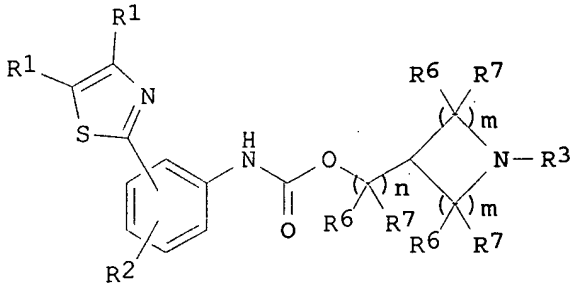
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1549278	A2	20050706	EP 2003-767232	20030806
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-401756P	P	20020806		
	WO 2003-US24569	W	20030806		

OS MARPAT 140:181438
GI



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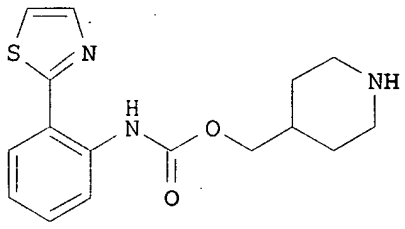


II

AB Title compds. I [wherein R1 = halogen, alkyl, CH2F, CHF2; R2 = H, OH, alkyl, aryl, halogen, alkoxy; R3 = H, (cyclo)alkyl, alkenyl, alkenylaryl, (un)substituted alkylaryl, cycloalkylalkyl; R6, R7 = independently H, alkyl; or R6 and R7 together form an (un)substituted (hetero)cyclic ring; n = 1-2; m = 1-2] were prepared For example, reaction of tert-Bu 4-[[[(2-bromophenyl)amino]carbonyloxy]methyl]piperidine-1-carboxylate with bis(pinacolato)diboron, followed by coupling reaction with 2-bromothiazole

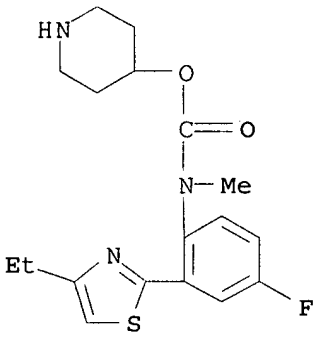
and deprotection with CF3CO2H, afford II•CF3CO2H. Thus, I and their pharmaceutical compns. are useful as M3 muscarinic acetylcholine receptor antagonists for the treatment of chronic obstructive lung disease, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, pulmonary emphysema, and allergic rhinitis, irritable bowel syndrome, spasmodic colitis, gastroduodenal ulcers, gastrointestinal convulsions or hyperanakinesia, diverticulitis, pain accompanying spasms of gastrointestinal smooth musculature; urinary-tract disorders accompanying micturition disorders, neurogenic pollakiuria, neurogenic bladder, nocturnal enuresis, psychosomatic bladder, incontinence associated with bladder spasms or chronic cystitis, urinary urgency or pollakiuria, and motion sickness (no data).

IT 658077-74-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylmethyl (thiazolyl)phenylcarbamates as M3 muscarinic acetylcholine receptor antagonists)

RN 658077-74-8 CAPLUS
CN Carbamic acid, [2-(4-ethyl-2-thiazolyl)-4-fluorophenyl]methyl-, 4-piperidinyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

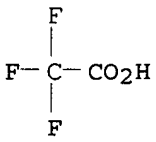
CM 1

CRN 658077-73-7
CMF C18 H22 F N3 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L12 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:696732 CAPLUS
DN 139:214471
TI Preparation of 5-alkoxy-3-phenyl-1,3,4-oxadiazol-2(3H)-ones for producing medicaments inhibiting pancreatic lipase
IN Schoenafinger, Karl; Petry, Stefan; Mueller, Guenter; Bauer, Armin; Heuer, Hubert Otto
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003072098	A1	20030904	WO 2003-EP1560	20030217
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	DE 10208986	A1	20030911	DE 2002-10208986	20020228
	CA 2477005	AA	20030904	CA 2003-2477005	20030217
	EP 1482929	A1	20041208	EP 2003-742942	20030217
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	BR 2003008045	A	20041221	BR 2003-8045	20030217
	JP 2005519079	T2	20050630	JP 2003-570844	20030217
	US 2003236288	A1	20031225	US 2003-376579	20030228
PRAI	DE 2002-10208986	A	20020228		
	US 2002-365704P	P	20020319		
	WO 2003-EP1560	W	20030217		

OS MARPAT 139:214471
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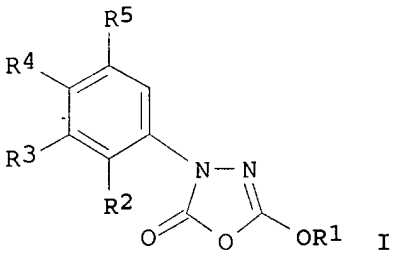


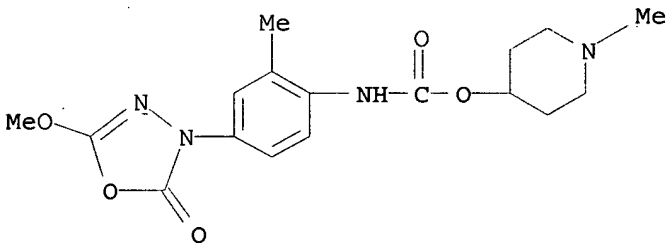
I

AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl; R2-R5 = H, halo, NO2, alkyl, (substituted) alkyloxy, arylalkyloxy, aryloxy, aryl, aryloxyalkyl, (oxo)cycloalkyl, etc.], were prepd for producing medicaments

for the treatment or prophylaxis of obesity or diabetes mellitus type 1 and 2. Thus, 2.5 g Me N'-(4-nitrophenyl)hydrazinoformate (preparation given) and pyridine in CH2Cl2 were dropwise treated with 20% COCl2 under stirring and ice cooling followed by resting over night at room temperature to give 1.5 g
5-(methoxy)-3-(4-nitrophenyl)-1,3,4-oxadiazol-2(3H)-one. Several I inhibited pancreatic lipase (PL) with IC50 = 0.5-1.8 μM.

IT 359848-84-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (alkoxy)(phenyl)oxadiazolones for producing medicaments inhibiting pancreatic lipase)

RN 359848-84-3 CAPLUS
CN Carbamic acid, [4-(5-methoxy-2-oxo-1,3,4-oxadiazol-3(2H)-yl)-2-methylphenyl]-, 1-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:633320 CAPLUS
DN 139:180075
TI Preparation of pyrrolopyrimidines as tyrosine kinase inhibitors
IN Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul
PA Abbott GmbH & Co. KG, USA
SO U.S. Pat. Appl. Publ., 166 pp., Cont.-in-part of Appl. No. PCT/US99/21560.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003153752	A1	20030814	US 2000-537167	20000329
US 6713474	B2	20040330		
WO 2000017203	A1	20000330	WO 1999-US21560	19990917

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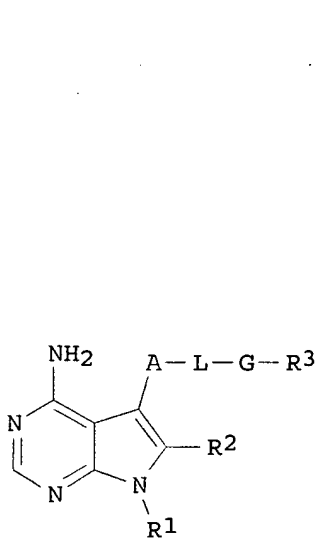
	ZA 2001002204	A	20020318	ZA 2001-2204	20010316
PRAI	US 1998-100832P	P	19980918		
	US 1998-100833P	P	19980918		
	US 1998-100834P	P	19980918		
	US 1998-100946P	P	19980918		
	WO 1999-US21560	A2	19990917		
OS	MARPAT 139:180075				
GI					



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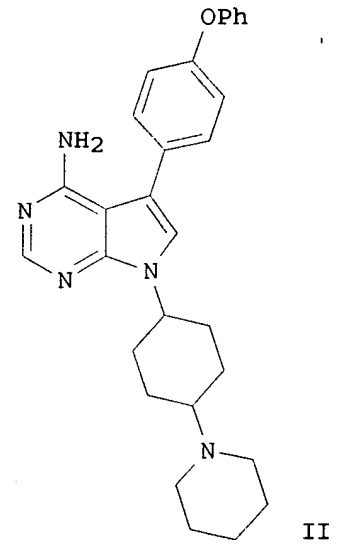


II

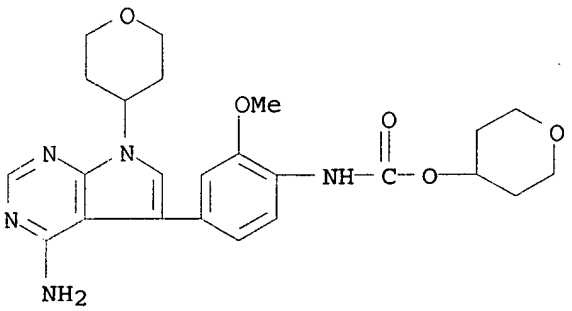
AB The title compds. I [A = (un)substituted 6-membered aromatic ring, 5-6 membered heteroarom. ring; L = O, S, SO, SO2, etc.; G = a direct bond, (CH2)j (wherein j = 1-6), alkenylene, cycloalkylene, oxaalkylene; R1 = alkyl, cycloalkyl, bicycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, halo, etc.; R3 = alkyl, alkenyl, cycloalkyl, etc.] and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by compds. I, are involved in immunol., hyperproliferative, or angiogenic processes. Thus, the compds. I can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. I significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at ≤50 μM, and some significantly inhibited cdc2 at ≤50 μM. 546 Example prepns. are included. For example, addition of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with Na[(AcO)3BH], workup and chromatog., gave cis- and trans-II.

IT 262439-89-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of pyrrolopyrimidinamines as protein kinase

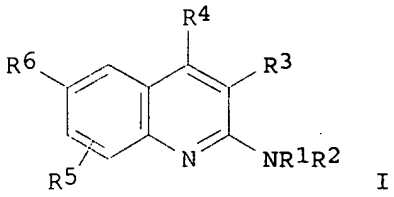
inhibitors)
RN 262439-89-4 CAPLUS
CN Carbamic acid, [4-[4-amino-7-(tetrahydro-2H-pyran-4-yl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-, tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:434303 CAPLUS
DN 139:36445
TI Preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists.
IN Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.; Young, Jonathan R.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003045313	A2	20030605	WO 2002-US37556	20021122
	WO 2003045313	A3	20030904		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2468015	AA	20030605	CA 2002-2468015	20021122
	EP 1450801	A2	20040901	EP 2002-789837	20021122
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005519876	T2	20050707	JP 2003-546818	20021122
	US 2005026915	A1	20050203	US 2004-496615	20040525
PRAI	US 2001-333581P	P	20011127		
	WO 2002-US37556	W	20021122		

OS MARPAT 139:36445
GI



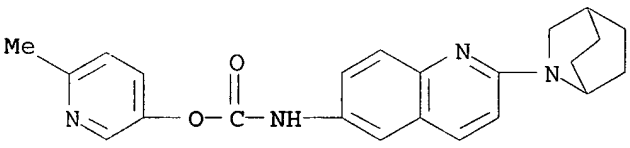
I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form 5-7 membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC50 = 0.1-10000 nM.

IT 539855-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone
receptor (MCH-1R) antagonists)

RN 539855-03-3 CAPLUS

CN Carbamic acid, [2-(2-azabicyclo[2.2.2]oct-2-yl)-6-quinolinyl]-, 6-methyl-3-pyridinyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:203394 CAPLUS

DN 138:226775

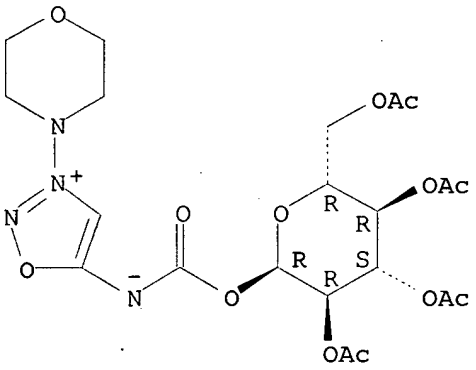
TI Preparation of morpholinosydnonimine-sugar conjugates as nitric oxide

donors
IN Wang, Peng George; Wu, Xuejun; Tang, Xiaoping
PA Wayne State University, USA
SO U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003050256	A1	20030313	US 2001-925816	20010809
US 6867194	B2	20050315		
PRAI US 2001-925816		20010809		

OS MARPAT 138:226775
AB Sugar-modified SIN-1 compns. are provided. The compns. are useful for generating NO in response to hydrolytic activity of a glycosidase specific for the O-glycosidic bond between the sugar and SIN-1 moieties. Pharmaceutical compns. containing the sugar-modified SIN-1 compns. and methods of using the compns. are also provided. 3-Morpholinosydnonimine-HCl was prepared by a standard method. To a solution of 4-nitrophenyl (2,3,4,6-tetra-O-acetyl-α/β-D-glucopyranosyl) carbonate in anhydrous pyridine was added the above compound The solvent was removed in vacuo to give a sticky oil and the residue was purified by silica gel column chromatog. to give a mixture of α- and β-anomers of the morpholinosydnonimine-glucose conjugate. The mixture was treated with NaOCH3 in anhydrous MeOH and Amberlyst-15 ion-exchange resin was added to neutralize the reaction mixture
IT 501093-81-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in morpholinosydnonimine-sugar conjugates preparation; preparation of morpholinosydnonimine-sugar conjugates as nitric oxide donors)
RN 501093-81-8 CAPLUS
CN α-D-Glucopyranose, 2,3,4,6-tetraacetate 1-[[3-(4-morpholinyl)-1,2,3-oxadiazolium-5-yl]carbamate], inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:946561 CAPLUS

DN 138:24739
TI Benzodiazepine bradykinin antagonists
IN Wood, Michael R.; Bock, Mark G.; Su, Dai-Shi; Kuduk, Scott D.; Han, Wei; Dorsey, Bruce D.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002099388	A2	20021212	WO 2002-US21065	20020603
	WO 2002099388	A3	20030501		

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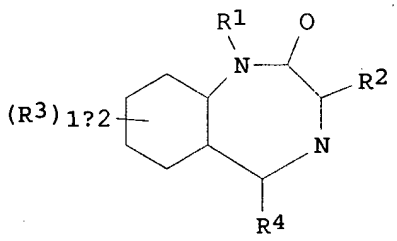
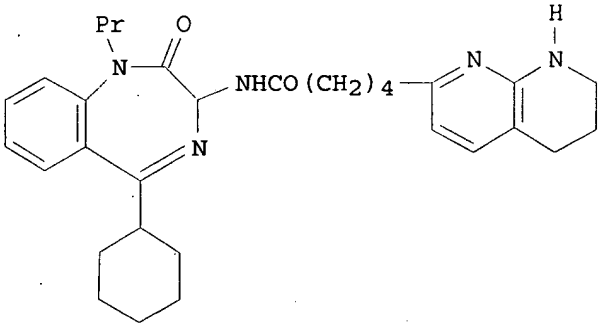
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-296644P P 20010607
OS MARPAT 138:24739
GI



I



II

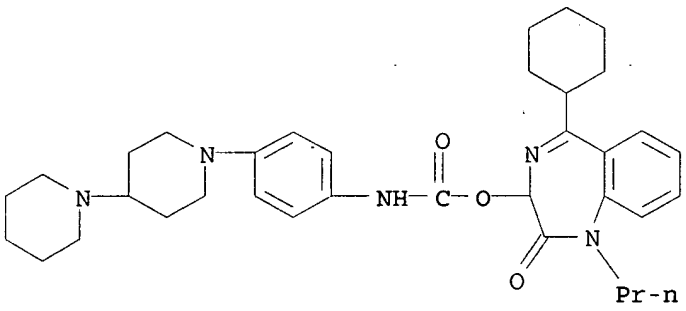
AB Benzodiazepinones I [R1 = H, alkyl, haloalkyl, alkoxy, aralkyl, cycloalkylakyl, alkenyl, R2 = (un)substituted NHCONH2, O2CNH2,

carbamoylalkyl, acylamino; R1 = carbamoylalkyl, R2 = H; R3 = H, NO2, halogen, CN, OH, amino, alkylthio, alkoxy, (un)substituted alkyl, aryl, heteroaryl, acyl, CONH2; R4 = (un)substituted N heterocyclic] were prepared for use as bradykinin B1 antagonists in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway (no data). Thus, the amide II was obtained by acylating the aminobenzodiazepine with the bipiperidinylphenylacetic acid.

IT 478055-33-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzodiazepine bradykinin antagonists)

RN 478055-33-3 CAPLUS

CN Carbamic acid, (4-[1,4'-bipiperidin]-1'-ylphenyl)-, 5-cyclohexyl-2,3-dihydro-2-oxo-1-propyl-1H-1,4-benzodiazepin-3-yl ester (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:927432 CAPLUS

DN 138:4470

TI Preparation of duocarmycin analogs as potent cytotoxins

IN Ng, Howard P.; McGee, Danny P. C.; Wu, Guoxian; Li, Zhihong; Gangwar, Sanjeev; Saunders, Oliver L.; Martichonok, Valeri; Astafieva, Irina; Moore, Jimmie; Yarranton, Geoffrey Thomas; King, David J.; Boyd, Sharon; Lobl, Thomas J.

PA Coulter Pharmaceutical, Inc., USA

SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002096910	A1	20021205	WO 2002-US17210	20020531

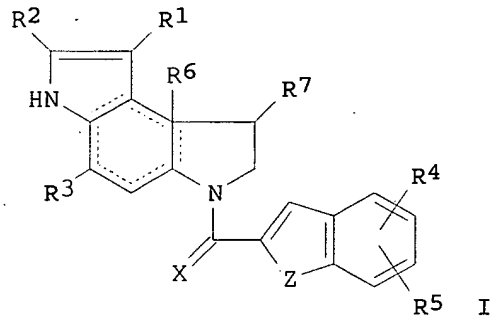
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	CA 2448319	AA	20021205	CA 2002-2448319	20020531
	US 2003050331	A1	20030313	US 2002-160972	20020531
	US 2003064984	A1	20030403	US 2002-161234	20020531
	US 2003073852	A1	20030417	US 2002-161233	20020531
	NZ 529788	A	20031219	NZ 2002-529788	20020531
	EP 1434778	A1	20040707	EP 2002-731994	20020531
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005500273	T2	20050106	JP 2003-500089	20020531
	ZA 2003000735	A	20040623	ZA 2003-735	20030128
PRAI	US 2001-295196P	P	20010531		
	US 2001-295259P	P	20010531		
	US 2001-295342P	P	20010531		
	US 2001-304908P	P	20010711		
	WO 2002-US17210	W	20020531		

OS MARPAT 138:4470

GI



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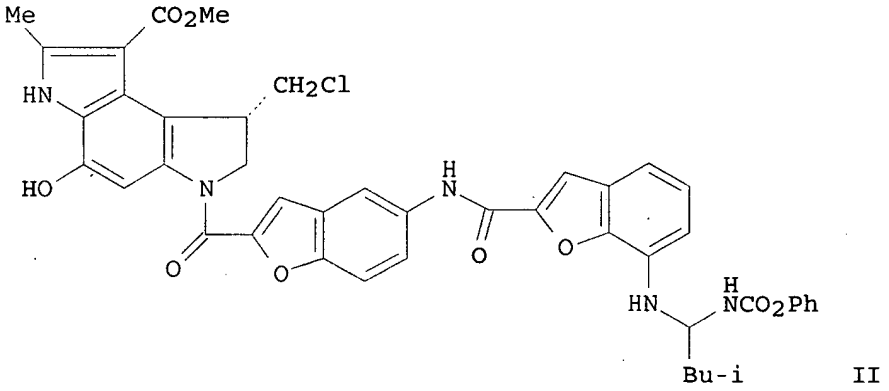


II

AB Duocarmycin analogs I [X, Z = O, S, or imino; R1 = H, (un)substituted alkyl, carboxylic acid, ester, or amide; R2 = H, (un)subsituted alkyl; R3 = :O, OH or derivative; R4, R5 = H, (un)substituted alkyl, (hetero)aryl, heterocycloalkyl, halo, NO2, NR15R16, NCOR15, O2CNR15R16, OCO2R15,COR5, OR15, where R15 and R16 = H, (un)substituted (hetero)alkyl, (hetero)aryl, heterocycloalkyl, or peptidyl or NR15R16 = (un)substituted 4-6 membered heterocycloalkyl; R6 = a single bond; R7 = CH2-X, where X is a leaving group; or R6 and R7 may form a cyclopropyl ring] were prepared as potent cytotoxins. Peptidyl and disulfide linkers are cleaved in vivo. The linkers are of use in forming prodrugs and conjugates of the cytotoxins of

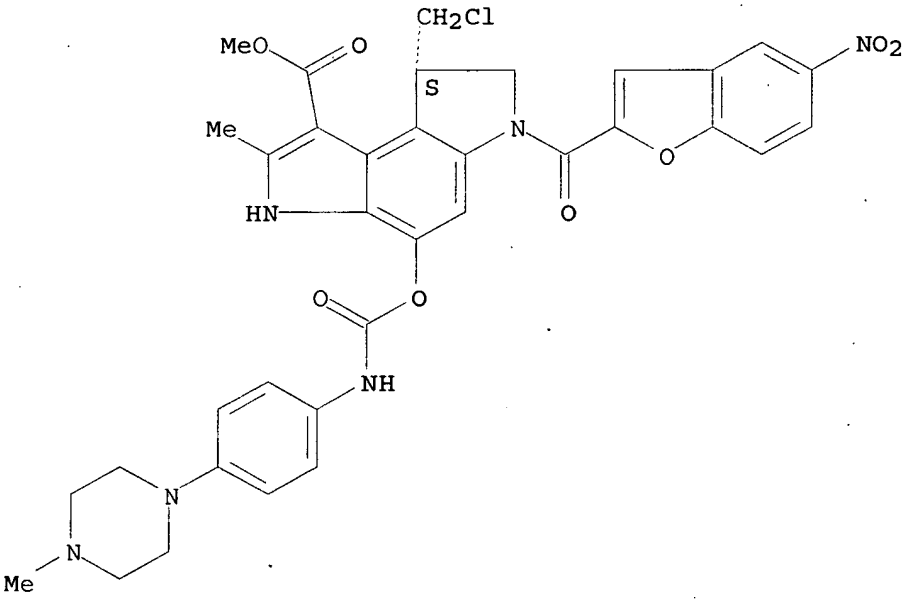
the invention as well as other diagnostic and therapeutic moieties. Thus, compound II was prepared via acylation of the 5-amino-2-benzoyl intermediate. Compds. I generally have an IC50 value in a proliferation assay of .apprx. 1-100 nM, preferably .apprx. 10-10 nM.

IT 477208-34-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of duocarmycin analogs as potent cytotoxins)

RN 477208-34-7 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-1-carboxylic acid, 8-(chloromethyl)-3,6,7,8-tetrahydro-2-methyl-4-[[[[4-(4-methyl-1-piperazinyl)phenyl]amino]carbonyl]oxy]-6-[(5-nitro-2-benzofuranyl)carbonyl]-, methyl ester, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730744 CAPLUS
DN 135:288790
TI Pyrrolopyrimidines as tyrosine kinase inhibitors
IN Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul
PA Basf Aktiengesellschaft, Germany
SO PCT Int. Appl., 453 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072751	A1	20011004	WO 2000-US8593	20000329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI WO 2000-US8593 20000329
OS MARPAT 135:288790
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

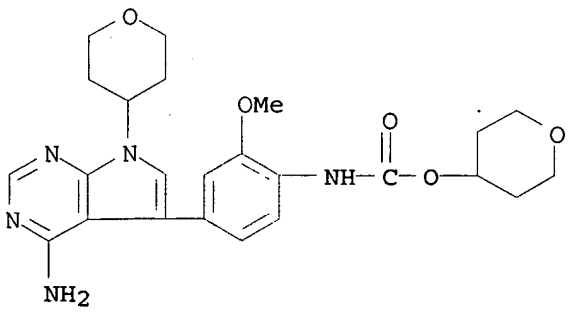
AB Chemical compds. having structural formula I and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by these chemical compds., are involved in immunol., hyperproliferative, or angiogenic processes. Thus, these chemical compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at ≤50 μM, and some significantly inhibited cdc2 at ≤50 μM. In I, ring A is a six membered aromatic ring or a five or six membered heteroarom. ring which is optionally substituted. L is -O-, -S-, -S(O)-, -S(O)2-, -N(R)-, -N[C(O)OR]-, -N[C(O)R]-, -N(SO2R)-, -CH2O-; -CH2S-, -CH2N(R)-, -C(NR)-; -CH2N[C(O)R]-, -CH2N[C(O)OR]-, -CH2N(SO2R)-, -CH(NHR)-, -CH[NHC(O)R]-, -CH(NHSO2R)-, -CH[NHC(O)OR]-, -CH[OC(O)R]-, -CH[OC(O)NHR]-, -CH:CH-; -C(:NOR)-, -C(O)-, -CH(OR)-, -C(O)N(R)-, -N(R)C(O)-, -N(R)S(O)-, -N(R)S(O)2-, -OC(O)N(R)-, -N(R)C(O)N(R)-, -NRC(O)O-, -S(O)N(R)-, -S(O)2N(R)-, -N[C(O)R]S(O)-, -N[C(O)R]S(O)2-, -N(R)S(O)N(R)-, -N(R)S(O)2N(R)-, -C(O)N(R)C(O)-, -S(O)N(R)C(O)-, -S(O)2N(R)C(O)-, -OS(O)N(R)-, -OS(O)2N(R)-, -N(R)S(O)O-, -N(R)S(O)2O-, -N(R)S(O)C(O)-, -N(R)S(O)2C(O)-, -SON[C(O)R]-, -SO2N[C(O)R]-, -N(R)SON(R)-, -N(R)SO2N(R)-, -C(O)O-, -N(R)P(OR')O-, -N(R)P(OR')-, -N(R)P(O)(OR')O-, -N(R)P(O)(OR')-, -N[C(O)R]P(OR')O-, -N[C(O)R]P(OR')-, -N[C(O)R]P(O)(OR')O-, -N[C(O)R]P(OR')-, -CH(R)S(O)-, or -CH(R)S(O)2-. L is also -CH(R)N[C(O)OR]-, -CH(R)N[C(O)R]-, -CH(R)N(SO2R), -CH(R)O-, -CH(R)S-, -CH(R)N(R)-, -CH(R)N[C(O)R]-, - CH(R)N[C(O)OR]-, -CH(R)N(SO2R)-, -CH(R)C(:NOR)-, -CH(R)C(O)-, -CH(R)CH(OR)-, -CH(R)C(O)N(R)-, -CH(R)N(R)C(O)-, -CH(R)N(R)S(O)-, -CH(R)N(R)S(O)2-, -CH(R)OC(O)N(R)-, -CH(R)N(R)C(O)N(R)-, -CH(R)N(R)C(O)O-, -CH(R)S(O)N(R)-, -CH(R)S(O)2N(R)-, -CH(R)N[C(O)R]S(O)-, -CH(R)N[C(O)R]S(O)2-, -CH(R)N(R)S(O)N(R)-, -CH(R)N(R)S(O)2N(R)-, -CH(R)C(O)N(R)C(O)-, -CH(R)S(O)N(R)C(O)-, -CH(R)S(O)2N(R)C(O)-, -CH(R)OS(O)N(R)-, -CH(R)OS(O)2N(R)-, -CH(R)N(R)S(O)O-, -CH(R)N(R)S(O)2O-, -CH(R)N(R)S(O)C(O)-, -CH(R)N(R)S(O)2C(O)-, -CH(R)SON[C(O)R]-, -CH(R)S(O)2N[C(O)R]-, -CH(R)N(R)SON(R)-, -CH(R)N(R)S(O)2N(R)-; -CH(R)C(O)O-, -CH(R)N(R)P(OR')O-, -CH(R)N(R)P(OR')-, -CH(R)N(R)P(O)(OR')O-, -CH(R)N(R)P(O)(OR')-, -CH(R)N[C(O)R]P(OR')O-, -CH(R)N[C(O)R]P(OR')-, -CH(R)N[C(O)R]P(O)(OR')O- or -CH(R)N[C(O)R]P(OR')-. In L, each R and R' is, independently, -H, acyl, substituted or unsubstituted aliphatic, aromatic, arylalkyl, heteroarom., cycloalkyl or arylalkyl; or L is -RbN(R)S(O)2-, -RbN(R)P(O)-, or

-RbN(R)P(O)O-, wherein Rb is an alkylene group which when taken together with the sulfonamide, phosphinamide, or phosphonamide group to which it is bound forms a five or six membered ring fused to ring A; or L is II (X = O or nil; Y = O or nil) or III (Y = O, nil) wherein R85 taken together with the phosphinamide, or phosphonamide is a 5-, 6-, or 7-membered, aromatic, heteroarom. or heterocycloalkyl ring system. G is a direct bond, -(CH2)j- (j = 1-6), C2-C6-alkenylene, C3-C8-cycloalkylene or C1-C6-oxaalkylene group. R1 is substituted or optionally substituted aliphatic, cycloalkyl, bicycloalkyl, cycloalkenyl, aromatic, heteroarom., heteroaralkyl, heterocycloalkyl, heterobicycloalkyl, alkylamido, arylamido, -S(O)2-alkyl, -S(O)2-cycloalkyl, -C(O)alkyl, or -B-E, wherein B is substituted or unsubstituted cycloalkyl, heterocycloalkyl, aromatic, heteroarom., alkylene, aminoalkyl, alkylenecarbonyl, or aminoalkylcarbonyl and E is substituted or unsubstituted azacycloalkyl, azacycloalkylcarbonyl, azacycloalkylsulfonyl, azacycloalkylalkyl, heteroaryl, heteroarylcarbonyl, heteroarylsulfonyl, heteroaralkyl, alkyl sulfonamido, aryl sulfonamido, bicycloalkyl, ureido, thioureido or aryl. R2 is -H or substituted or unsubstituted aliphatic, cycloalkyl, halogen, -OH, cyano, aromatic, heteroarom., heterocycloalkyl, aralkyl, heteroaralkyl, -(CH2)0-3NR4R5, or -(CH2)0-3C(O)NR4R5. R3 is substituted or unsubstituted aliphatic, alkenyl, cycloalkyl, aromatic, heteroarom., or heterocycloalkyl with provisos. R4, R5 and the N atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocycloalkyl, heterobicycloalkyl or heteroarom.; or R4 and R5 are each, independently, -H, azabicycloalkyl, heterocycloalkyl, substituted or unsubstituted alkyl or Y-Z; Y is -C(O)-, -(CH2)p-, -S(O)2-, -C(O)O-, -SO2NH-, -CONH-, -(CH2)pO-, -(CH2)pNH-, -(CH2)pS-, -(CH2)pS(O)-, and -(CH2)pS(O)2-; p = 0-6; and Z is -H, or substituted or unsubstituted alkyl, amino, aryl, heteroaryl or heterocycloalkyl. 546 Example prepns. are included. For example, addition of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with Na[(AcO)3BH], workup and chromatog., gave cis- and trans-IV.

IT 262439-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of pyrrolopyrimidinamines as protein kinase inhibitors)

RN 262439-89-4 CAPLUS

CN Carbamic acid, [4-[4-amino-7-(tetrahydro-2H-pyran-4-yl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-, tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

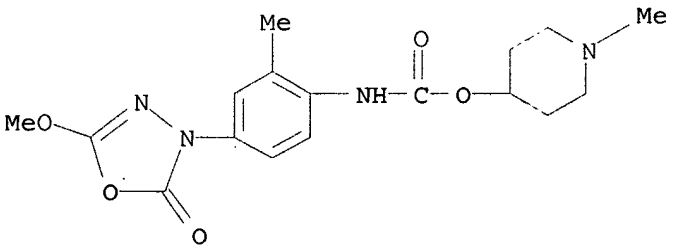


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:676756 CAPLUS
DN 135:242234
TI Preparation of 3-phenyl-5-alkoxy-1,3,4-oxadiazol-2-ones as hormone-sensitive lipase inhibitors
IN Schoenafinger, Karl; Petry, Stefan; Mueller, Guenter; Baringhaus, Karl-Heinz
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001066531	A1	20010913	WO 2001-EP1898	20010220
	WO 2001066531	C1	20020725		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10010968	A1	20010913	DE 2000-10010968	20000307
	DE 10102265	C1	20020808	DE 2001-10102265	20010118
	CA 2401953	AA	20010913	CA 2001-2401953	20010220
	EP 1263745	A1	20021211	EP 2001-905805	20010220
	EP 1263745	B1	20040519		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001008974	A	20030603	BR 2001-8974	20010220
	JP 2003525931	T2	20030902	JP 2001-565347	20010220
	EE 200200498	A	20040216	EE 2002-498	20010220
	AT 267184	E	20040615	AT 2001-905805	20010220
	NZ 521207	A	20050429	NZ 2001-521207	20010220
	NO 2002004201	A	20020903	NO 2002-4201	20020903
PRAI	DE 2000-10010968	A	20000307		
	DE 2001-10102265	A	20010118		
	WO 2001-EP1898	W	20010220		

OS MARPAT 135:242234
AB RZOR1 (Z = 2-oxo-1,3,4-oxadiazol-3,5-diyl)[I; R = (un)substituted Ph; R1 = (un)substituted (cyclo)alkyl] were prepared Thus, 4-(O2N)C6H4NHNHCO2Me (preparation given) was cyclocondensed with COCl2 to give I [R = 4-(O2N)C6H4, R1 = Me]. Data for biol. activity of I were given.
IT 359848-84-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-phenyl-5-alkoxy-1,3,4-oxadiazol-2-ones as hormone-sensitive lipase inhibitors)
RN 359848-84-3 CAPLUS
CN Carbamic acid, [4-(5-methoxy-2-oxo-1,3,4-oxadiazol-3(2H)-yl)-2-methylphenyl]-, 1-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

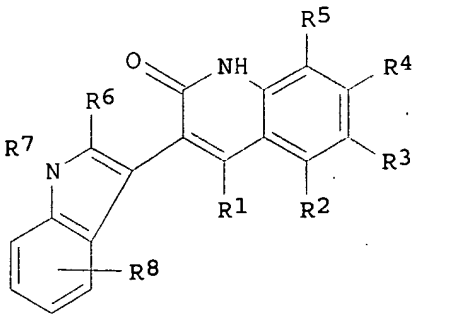


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

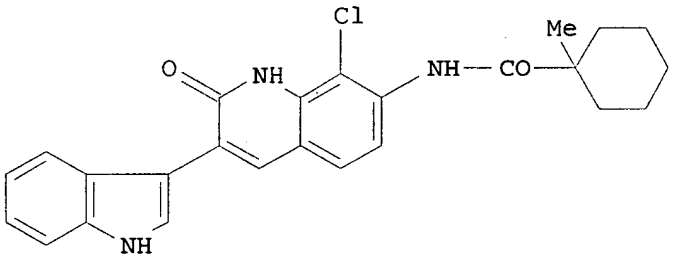
L12 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:235566 CAPLUS
DN 134:266203
TI Preparation and application of benzopyranone derivatives
IN Kato, Susumu; Fujisawa, Akitaka; Nanayama, Toyomichi
PA Japan Tobacco, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 65 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001089471	A2	20010403	JP 2000-214857	20000714
PRAI	JP 1999-206924	A	19990721		

OS MARPAT 134:266203
GI



I



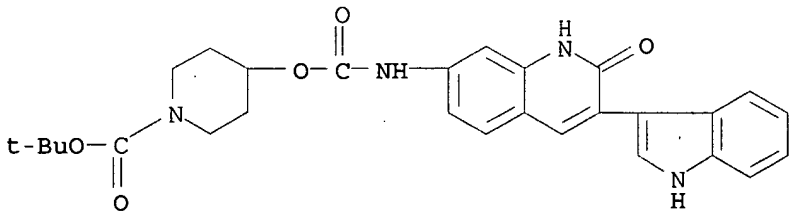
II

AB Title compds. [I; R1, R2 and R3, as for R4 and R5 equality or differing, the hydrogen atom, the halogen atom, the hydroxyl group and nitro group, the amino base, a low-grade alkyl group, and a low-grade alkoxy group et cetera; R6 is a hydrogen atom or a halogen atom; R7 the hydrogen atom or a low-grade alkyl group; R8 the hydrogen atom, the halogen atom and the low-grade alkyl group, a hydroxyl group, a carboxyl group and an amino base;etc.] and salts are prepared and is useful in medicine, by inhibiting the phosphorylation of the PDGF receptors. Title compds. have inhibition effect on smooth muscle multiplication and are useful as re-strangulation remedy agents and the nephritis remedy agents. Thus, the title compound II was prepared and tested.

IT 332093-30-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and application of benzopyranone derivs.)

RN 332093-30-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[1,2-dihydro-3-(1H-indol-3-yl)-2-oxo-7-quinolinyl]amino]carbonyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:907060 CAPLUS
DN 134:57938
TI Tetraalkyl-substituted nitrogen-containing heterocyclic azo dyes and ink-jet inks, ink-jet printing process, and thermal-transfer recording materials using the same
IN Seto, Nobuo; Kamio, Takayoshi
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

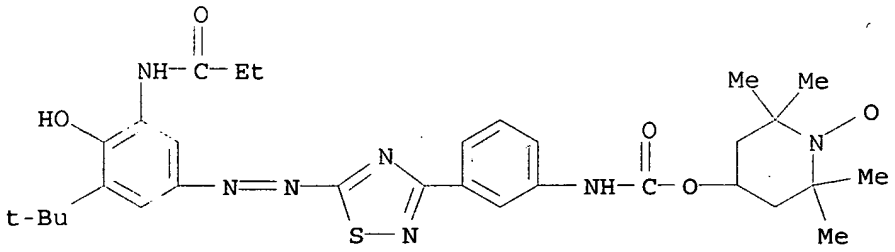
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000355660	A2	20001226	JP 2000-113928	20000414
	US 6444020	B1	20020903	US 2000-551230	20000417
PRAI	JP 1999-109654	A	19990416		

OS MARPAT 134:57938
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The azo dyes are shown as I (Z = atom. group forming 5-7-membered ring with N; R1 = H, oxyradical, aliphatic, aliphatic oxy, acyl, aliphatic oxycarbonyl, aryloxycarbonyl, acyloxy; R2-R5 = alkyl, R2 and R3, R4 and R5 may form ring together; Dye = colorant group necessary for forming azo dyes). The azo dyes have excellent spectral characteristics and fastness to light, heat, air, and chems. The ink-jet inks and thermal-transfer recording materials have excellent stability to light, heat, air, and chems. Thus, reacting 23 g 3-amino-2,1-benzisothiazole-5-sulfonic acid with 20.8 g 1,6-diacetamidophenol in H2O in the presence of Et3N, HCl, and NaHNO2, and a diazonium salt gave 31 g of a reddish yellow crystal II (X = H; Y = SO3H) (m.p. 259-262°, yield 65.8%), which (47.1 g) was reacted with MeSO2Cl in DMF in the presence of Et3N to give 38 g of a yellow crystal II (X = SO2Me; Y = SO3H) (m.p. 257-259°, yield 69.1%). II (X = SO2Me; Y = SO3H) (20 g) was allowed to react with P oxychloride to give 9.8 g of a yellow crystal II (X = SO2Me; Y = SO2Cl)(m.p. 244-245°, yield 49.2%), which (5.5 g) was then reacted with 1.8 g 4-amino-2,2,6,6-tetramethyl piperidinyloxy in DMF in the presence of pyridine and diethylamine, precipitated using HCl, redissolved in DMF, and precipitated using acetonitrile to give 3.2 g of a red crystal III (m.p. 147-153°, yield 53.4%, λmax 677 nm in DMF). Testings of magenta ink-jet inks containing III and thermal-transfer recording material (PET substrate, transfer coating containing III) were performed.
IT 313471-63-5
RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)
(tetraalkyl-substituted N-containing heterocyclic azo dyes and ink-jet inks, ink-jet printing process, and thermal-transfer recording materials using the same)
RN 313471-63-5 CAPLUS

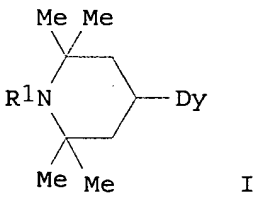
CN 1-Piperidinyloxy, 4-[[[[3-[5-[[3-(1,1-dimethylethyl)-4-hydroxy-5-[(1-oxopropyl)amino]phenyl]azo]-1,2,4-thiadiazol-3-yl]phenyl]amino]carbonyl]oxy]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:767998 CAPLUS
DN 133:342405
TI Color photographic material containing azo dye precursor
IN Seto, Nobuo; Kamio, Takayoshi
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000305235	A2	20001102	JP 1999-117228	19990423
PRAI	JP 1999-117228		19990423		

OS MARPAT 133:342405
GI



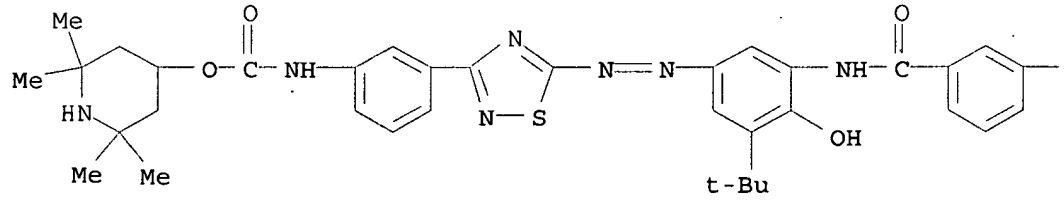
I

AB The material comprises a support having thereon a layer containing ≥1 dye image forming compound (Dye-X)qY [Dye = azo dye I (R1 = H, oxyradical, aliphatic group, aliphatic oxy, acyl, aliphatic oxycarbonyl, aryloxycarbonyl, acyloxy; Dy = divalent group providing the azo dye) or its precursor; X = cleavable linkage; Y = group immobilizing the compound and releasing a diffusible dye; q = 1, 2]. It showed improved spectral characteristics, providing images with improved light and storage stability at high temperature and humidity.

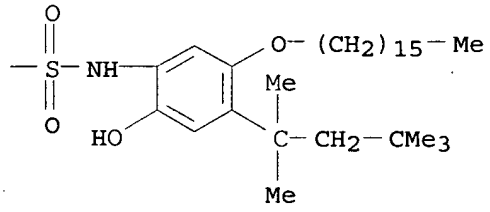
IT 303767-38-6
RL: DEV (Device component use); USES (Uses)
(photog. material containing azo dye precursor having tetramethylpiperidine

group)
RN 303767-38-6 CAPLUS
CN Carbamic acid, [3-[5-[[3-(1,1-dimethylethyl)-5-[[3-[[[5-(hexadecyloxy)-2-hydroxy-4-(1,1,3,3-tetramethylbutyl)phenyl]amino]sulfonyl]benzoyl]amino]-4-hydroxyphenyl]azo]-1,2,4-thiadiazol-3-yl]phenyl]-, 2,2,6,6-tetramethyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L12 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:314540 CAPLUS
DN 132:334477
TI Preparation of compounds derived from an amine nucleus as inhibitors of IMPDH enzyme
IN Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.; Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts, William John
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

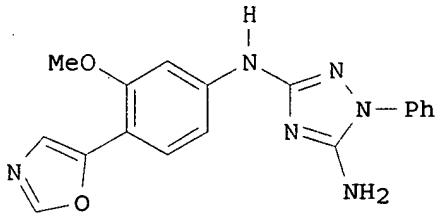
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000025780	A1	20000511	WO 1999-US24825	19991022

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	CA 2348234	AA	20000511	CA 1999-2348234	19991022
	EP 1126843	A1	20010829	EP 1999-955142	19991022
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AU 764479	B2	20030821	AU 2000-11315	19991022
PRAI	US 1998-106186P	P	19981029		
	WO 1999-US24825	W	19991022		

OS MARPAT 132:334477

GI



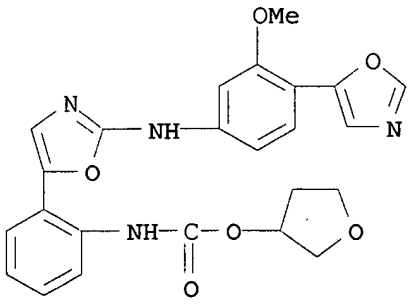
II

AB The title compds. XN(R)BD [I; X = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S; R = H, alkyl; B = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S; D = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S], useful in treating or preventing IMPDH (inosine-5'-monophosphate dehydrogenase) mediated diseases, such as transplant rejection and autoimmune diseases, were prepared E.g., a multi-step synthesis of triazole II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT 267645-62-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of compds. derived from an amine nucleus as inhibitors of IMPDH enzyme)

RN 267645-62-5 CAPLUS

CN Carbamic acid, [2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

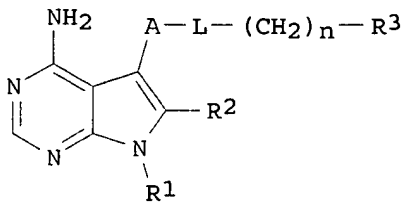


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:210172 CAPLUS
DN 132:251160
TI Preparation of pyrrolopyrimidines as protein kinase inhibitors
IN Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Ritter, Kurt; Arnold, Lee D.
PA Basf A.-G., Germany
SO PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000017203	A1	20000330	WO 1999-US21560	19990917
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2344249	AA	20000330	CA 1999-2344249	19990917
	AU 9960484	A1	20000410	AU 1999-60484	19990917
	AU 753555	B2	20021024		
	EP 1114053	A1	20010711	EP 1999-969415	19990917
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200101186	T2	20011022	TR 2001-200101186	19990917
	BR 9913887	A	20011023	BR 1999-13887	19990917
	JP 2002526500	T2	20020820	JP 2000-574112	19990917
	NZ 510588	A	20030829	NZ 1999-510588	19990917
	US 2003153752	A1	20030814	US 2000-537167	20000329
	US 6713474	B2	20040330		
	BG 105346	A	20011231	BG 2001-105346	20010315
	NO 2001001356	A	20010516	NO 2001-1356	20010316
	ZA 2001002204	A	20020318	ZA 2001-2204	20010316
PRAI	US 1998-100832P	P	19980918		
	US 1998-100833P	P	19980918		
	US 1998-100834P	P	19980918		
	US 1998-100946P	P	19980918		
	WO 1999-US21560	W	19990917		

OS MARPAT 132:251160
GI



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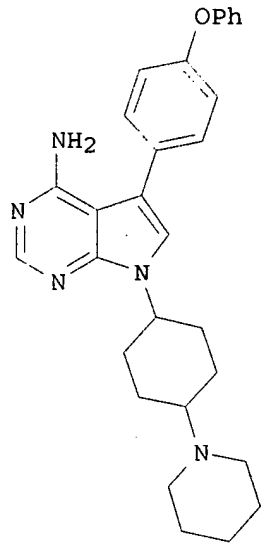


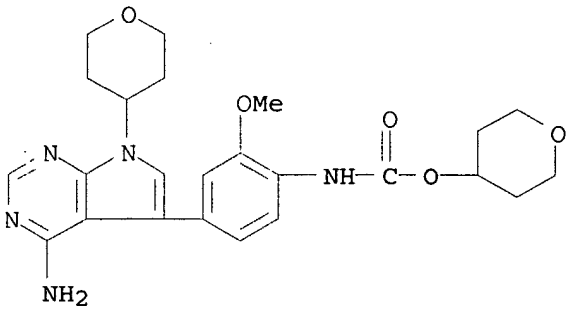
II

AB 7H-Pyrrolo[2,3-d]pyrimidin-4-amines (I) [wherein A = (un)substituted 6-membered aromatic ring or 5- or 6-membered heteroarom. ring; L = RbN(R)S(O)2, RbN(R)P(O), or RbN(R)P(O)O, where Rb = alkylene group which when taken together with the sulfonamide, phosphinamide or phosphonamide group to which it is bound forms a 5- or 6-membered ring fused to ring A, or L = 5-, 6-, or 7-membered (oxa)azaphosphaarom. or (oxa)azaphosphacycloalkyl ring; R = H, acyl, or (un)substituted aliphatic, (hetero)aromatic, or cycloalkyl; R1 = (un)substituted (hetero)cyclic, (hetero)aromatic, amido, acyl, or (cyclo)alkylsulfonyl; R2 = H, halo, OH, CN, (un)substituted aliphatic, cycloalkyl, (hetero)aromatic, (hetero)aralkyl, amino, or amido; R3 (un)substituted aliphatic, alkenyl, (hetero)cycloalkyl, or (hetero)aromatic; n = 0-6], and physiol. acceptable salts and metabolites thereof, were prepared For example, addition of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by workup and chromatog., gave cis- and trans-II. I inhibit serine/threonine and tyrosine kinase activity, which are involved in immunol., hyperproliferative, and angiogenic processes. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concns. of ≤ 50 μM, and some significantly inhibited cdc2 at concns. of 50 ≤ μM. Thus, these compds. are useful in the treatment of cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections, and inflammatory disorders.

IT 262439-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of 7H-pyrrolo[2,3-d]pyrimidin-4-amines as protein kinase inhibitors)

RN 262439-89-4 CAPLUS

CN Carbamic acid, [4-[4-amino-7-(tetrahydro-2H-pyran-4-yl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-, tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

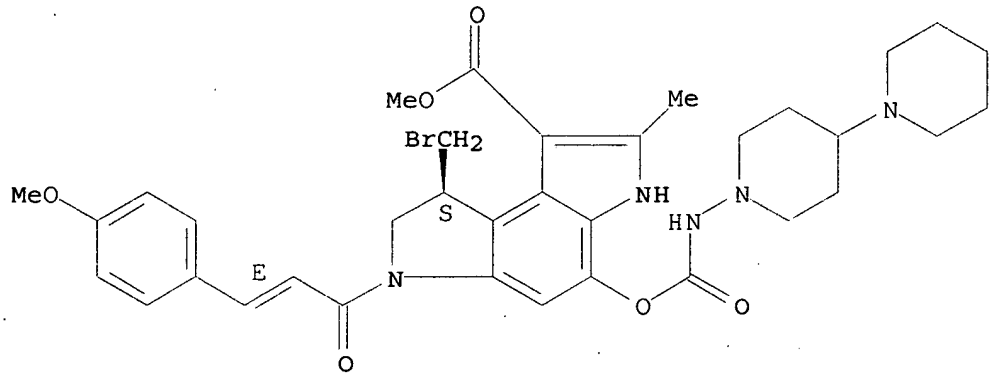


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:141730 CAPLUS
DN 132:334367
TI Synthesis and antitumor activity of duocarmycin derivatives: modification at C-8 position of A-ring pyrrole compounds bearing the simplified DNA-binding groups
AU Amishiro, N.; Nagamura, S.; Murakata, C.; Okamoto, A.; Kobayashi, E.; Asada, M.; Gomi, K.; Tamaoki, T.; Okabe, M.; Yamaguchi, N.; Yamaguchi, K.; Saito, H.
CS Pharmaceutical Research Institute, Kyowa Hakko Kogyo Company, Ltd., Nagaizumi, Sunto, Shizuoka, Japan
SO Bioorganic & Medicinal Chemistry (2000), 8(2), 381-391
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 132:334367
AB A series of the 8-O-substituted A-ring pyrrole derivs. of duocarmycin bearing the simplified DNA-binding moieties such as cinnamoyl or heteroaryl-acryloyl groups were synthesized, and evaluated for in vitro anticellular activity against HeLa S3 cells and in vivo antitumor activity against murine sarcoma 180 in mice. In addition, the stability of the 8-O-substituted analogs in aqueous solution and the conversion to their active form (cyclopropane compound) from the 8-O-substituted analogs in mice or human serum were examined The 8-O-substituted A-ring pyrrole derivs. bearing the simplified DNA-binding moieties showed remarkably potent in vivo antitumor activity and low peripheral blood toxicity compared with the 8-O-substituted A-ring pyrrole derivs. having the trimethoxyindole skeleton in segment-B (Seg-B), which were equal to 8-O-[(N-methylpiperazinyl)carbonyl] derivs. of 4'-methoxycinnamates and 4'-methoxy-β-heteroarylacrylates. Moreover, among 8-O-substituted analogs, several compds. can be chemical or enzymically converted to their active form in human serum. This result indicated that new 8-O-substituted derivs. were different prodrugs from KW-2189 and 8-O-substituted analogs being the same type of prodrug as KW-2189.
IT 267899-55-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antitumor activity of duocarmycin derivs. modified at C-8 position of A-ring pyrrole compds. bearing the simplified DNA-binding groups)

RN 267899-55-8 CAPLUS
CN Benzo[1,2-b:4,3-b']dipyrrole-1-carboxylic acid, 4-[[([1,4'-bipiperidin]-1'-ylamino)carbonyl]oxy]-8-(bromomethyl)-3,6,7,8-tetrahydro-6-[(2E)-3-(4-methoxyphenyl)-1-oxo-2-propenyl]-2-methyl-, methyl ester, (8S)- (9CI) (CA INDEX NAME)

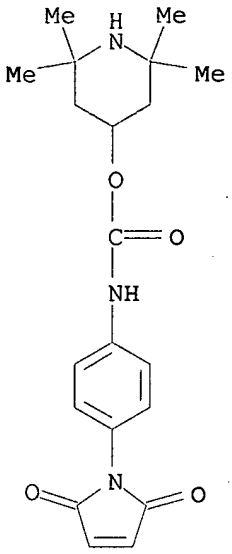
Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:86747 CAPLUS
DN 132:252279
TI Photostabilization of styrene-butadiene rubber by a polymeric hindered amine light stabilizer
AU Chae, Kyu Ho; Kim, Jae Sik
CS Department of Polymer Engineering and Polymer Science & Technology Research Center, Chonnam National University, Kwangju, 500-757, S. Korea
SO Journal of Photoscience (1999), 6(1), 25-27
CODEN: JOPHFS; ISSN: 1225-8555
PB Korean Society of Photoscience
DT Journal
LA English
AB A polymeric hindered amine light stabilizer (HALS) prepared by copolymn. of styrene with N-[4-(2,2,6,6-tetramethylpiperidinyloxycarbonylamino)phenyl]maleimide inhibited photooxidn. and photodegrdn. of styrene-butadiene rubber and exhibited high extraction resistance compared with low-mol.-weight HALS.
IT 262849-50-3P
RL: MOA (Modifier or additive use); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(photostabilization of styrene-butadiene rubber by polymeric hindered amine light stabilizer)
RN 262849-50-3 CAPLUS
CN Carbamic acid, [4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)phenyl]-, 2,2,6,6-tetramethyl-4-piperidinyl ester, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 262849-49-0
CMF C20 H25 N3 O4



CM 2

CRN 100-42-5
CMF C8 H8

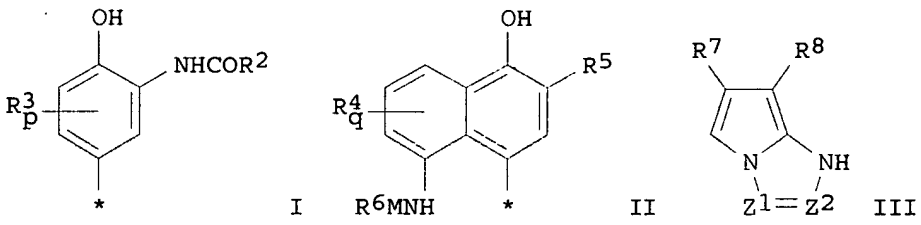
$H_2C{=}CH{-}Ph$

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:260789 CAPLUS
DN 130:344973
TI Silver halide photographic material for color filter formation
IN Mizukawa, Hiroki
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 48 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11109123	A2	19990423	JP 1997-267112	19970930
PRAI	JP 1997-267112		19970930		

OS MARPAT 130:344973
GI



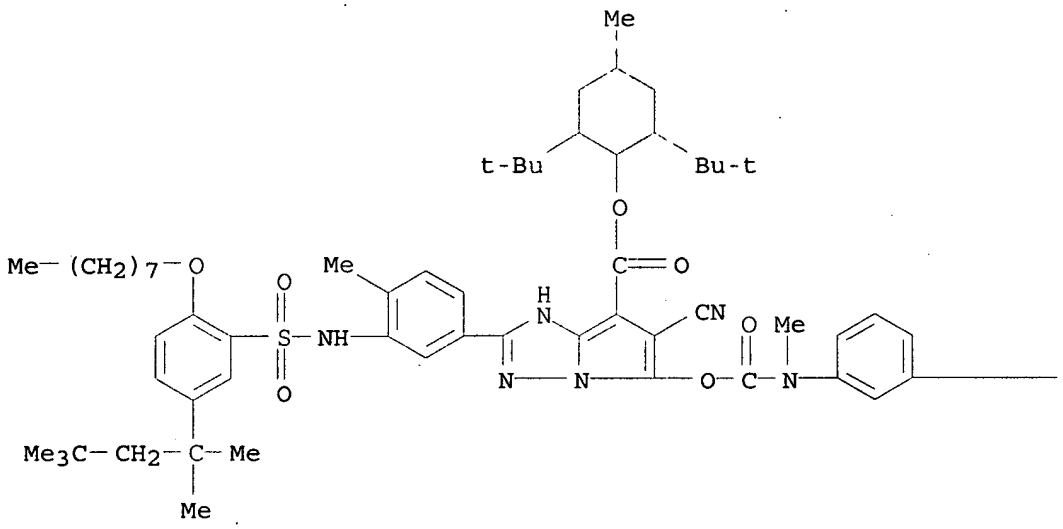
AB The material contains a red dye- or a magenta dye-releasing coupler having a formula Q1(TIME)nLmDY or a red or magenta colored coupler having a formula Q2N:NR1 [Q1, 2 = coupler residue I, II, or III; TIME = timing group that releases (TIME)n-1LmDY after eliminating Q1 or timing group that releases (TIME)n-2LmDY after being eliminated from TIME; R1 = aryl, heterocyclic; n, m = 0, 1, 2, 3; L = divalent group; DY = red or magenta dye residue; R2 = alkyl, cycloalkyl, alkenyl, aryl, heterocyclic, alkoxy, cycloalkyloxy, alkenyloxy, aryloxy, alkylamino, cycloalkylamino, alkenylamino, arylamino, heterocyclic amino; R3, 4 = substituent; p = 0-3 integer; R5, 7, 8 = H, substituent; q = 0-4 integer; M = CO, SO2; R6 = alkyl, cycloalkyl, aryl, heterocyclic, alkoxy, cycloalkyloxy, aryloxy, heterocyclicoxy, alkylamino, cycloalkylamino, arylamino, heterocyclic amino; Z1, 2 = N, CR9; R9 = H, alkyl, cycloalkyl, alkenyl, aryl, heterocyclic]. The method involves exposing the material, color-developing, and desilverizing to obtain the filter having a blue, green, and red pixel pattern. The filter contains the coupler. The filter with light transmittance, excellent heat and light fastness, and thin film thickness is manufactured using the material.

IT 223734-81-4
RL: TEM (Technical or engineered material use); USES (Uses)
(Ag halide photog. material for color filter containing red or magenta coupler)

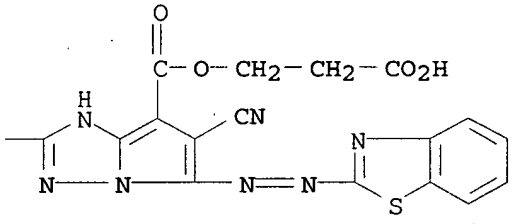
RN 223734-81-4 CAPLUS

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 5-(2-benzothiazolylazo)-2-[3-[[[[7-[[[2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl]oxy]carbonyl]-6-cyano-2-[4-methyl-3-[[[2-(octyloxy)-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-1H-pyrrolo[1,2-b][1,2,4]triazol-5-yl]oxy]carbonyl]methylamino]phenyl]-6-cyano-, 2-carboxyethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

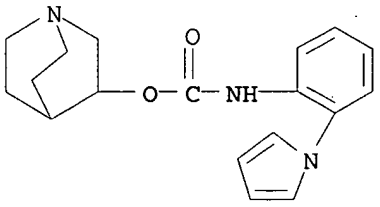


PAGE 1-B



L12 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:558084 CAPLUS
DN 129:285907
TI Selective muscarinic antagonists. II. Synthesis and antimuscarinic properties of biphenylylcarbamate derivatives
AU Naito, Ryo; Takeuchi, Makoto; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Shibanuma, Tadao; Isomura, Yasuo
CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, 305-8585, Japan
SO Chemical & Pharmaceutical Bulletin (1998), 46(8), 1286-1294
CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan
DT Journal
LA English
AB A novel series of biphenylylcarbamate derivs. were synthesized and evaluated for binding to M1, M2 and M3 receptors and for antimuscarinic activities. Receptor binding assays indicated that biphenyl-2-ylcarbamate derivs. had high affinities for M1 and M3 receptors and good selectivities for M3 receptor over M2 receptor, indicating that the biphenyl-2-yl group is a novel hydrophobic replacement for the benzhydryl group in the muscarinic antagonist field. In this series, quinuclidin-4-yl biphenyl-2-ylcarbamate monohydrochloride (81, YM-46303) exhibited the highest affinities for M1 and M3 receptors, and selectivity for M3 over M2 receptor. Compared to oxybutynin, YM-46303 showed approx. ten times higher inhibitory activity on bladder pressure in reflexly-evoked rhythmic contraction, and about 5-fold greater selectivity for urinary bladder contraction against salivary secretion in rats. Moreover, selective antagonistic activity was also observed in vitro. Further evaluation of antimuscarinic effects on bradycardia and pressor in pithed rats, and on tremor in mice, showed that YM-46303 can be useful for the treatment of urinary urge incontinence as a bladder-selective M3 antagonist with potent activities and fewer side effects.
IT 171722-79-5P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antimuscarinic properties of biphenylylcarbamate derivs.)
RN 171722-79-5 CAPLUS
CN Carbamic acid, [2-(1H-pyrrol-1-yl)phenyl]-, 1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:268513 CAPLUS
DN 128:321945
TI Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
IN Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PA Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.;

Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9817679	A1	19980430	WO 1997-US18968	19971017
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	ZA 9709327	A	19980511	ZA 1997-9327	19971017
	AU 9851477	A1	19980515	AU 1998-51477	19971017
	AU 719984	B2	20000518		
	EP 932617	A1	19990804	EP 1997-946273	19971017
	EP 932617	B1	20020116		
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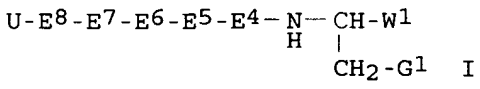
	IN 183120	A	19990911	IN 1997-CA1951	19971017
	BR 9712544	A	19991019	BR 1997-12544	19971017
	CN 1238780	A	19991215	CN 1997-180151	19971017
	CN 1133649	B	20040107		
	NZ 335276	A	20000929	NZ 1997-335276	19971017
	JP 2001502694	T2	20010227	JP 1998-519568	19971017
	EP 1136498	A1	20010926	EP 2001-109433	19971017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AP 1019	A	20011016	AP 1999-1512	19971017
	W: GH, KE, LS, MW, SD, SZ, UG, ZW				
	AT 212037	E	20020215	AT 1997-946273	19971017
	ES 2169880	T3	20020716	ES 1997-946273	19971017
	EE 4023	B1	20030415	EE 1999-161	19971017
	TW 530065	B	20030501	TW 1997-86115382	19971018
	NO 9901832	A	19990617	NO 1999-1832	19990416
	US 6265380	B1	20010724	US 1999-293247	19990416
	KR 2000049263	A	20000725	KR 1999-703372	19990417
	HK 1023779	A1	20020927	HK 2000-100690	20000203
	US 2002032175	A1	20020314	US 2001-875390	20010606
	US 6617309	B2	20030909		
	US 2004266731	A1	20041230	US 2003-607716	20030627
PRAI	US 1996-28290P	P	19961018		
	EP 1997-946273	A3	19971017		
	WO 1997-US18968	W	19971017		
	US 1999-293247	A	19990416		
	US 2001-875390	A3	20010606		

OS MARPAT 128:321945

GI



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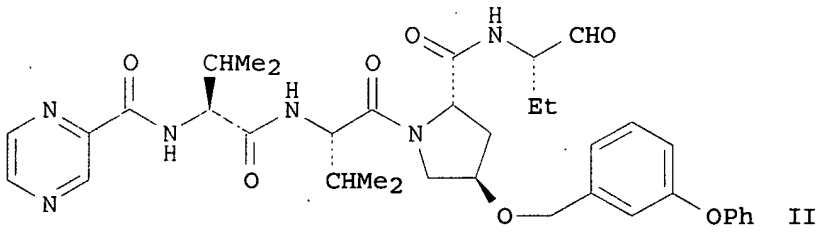
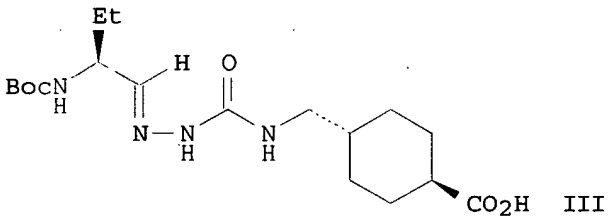


II



III

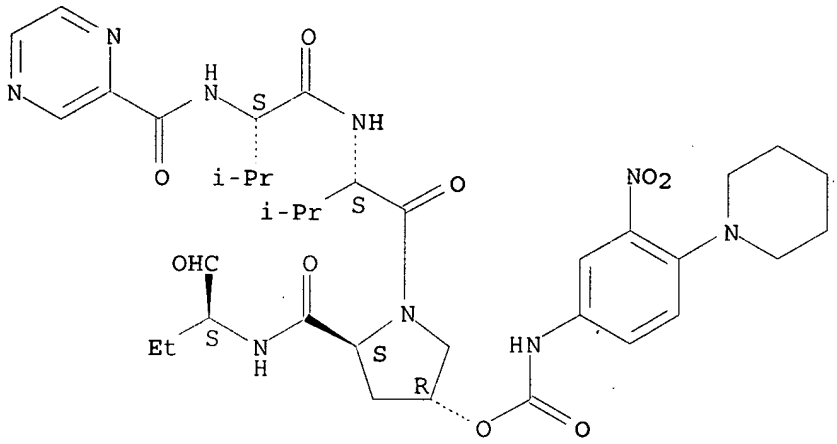
AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-17-0 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[[3-nitro-4-(1-piperidinyl)phenyl]amino]carbonyl]oxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

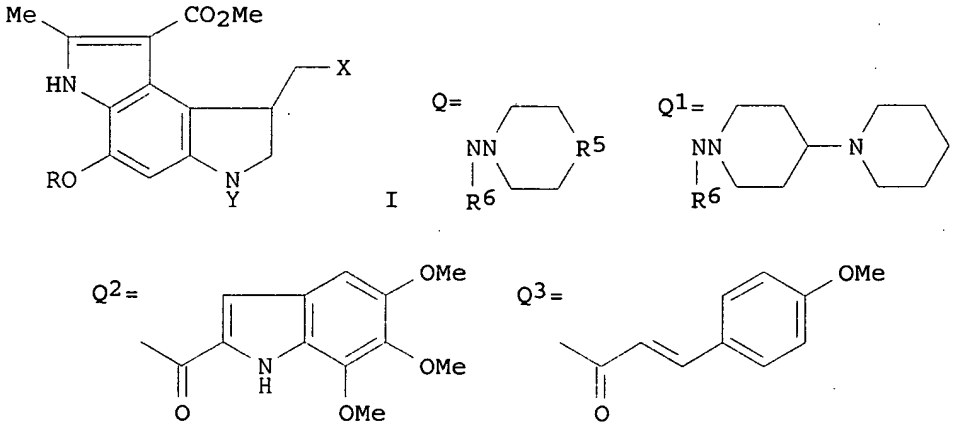


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:998395 CAPLUS
DN 124:176153
TI Preparation of DC-89 derivatives as antitumor agents
IN Amishiro, Nobuyoshi; Nagamura, Satoru; Saito, Hiromitsu; Kobayashi, Eiji; Okamoto, Akihiko; Gomi, Katsushige
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9529179	A1	19951102	WO 1995-JP779	19950420
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2165819	AA	19951102	CA 1995-2165819	19950420
	AU 9522671	A1	19951116	AU 1995-22671	19950420
	AU 685939	B2	19980129		
	EP 705833	A1	19960410	EP 1995-916020	19950420
	EP 705833	B1	20040721		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 271557	E	20040815	AT 1995-916020	19950420
	PT 705833	T	20041130	PT 1995-916020	19950420
	ES 2220927	T3	20041216	ES 1995-916020	19950420
	US 5641780	A	19970624	US 1995-564178	19951215
PRAI	JP 1994-84714	A	19940422		
	WO 1995-JP779	W	19950420		

OS MARPAT 124:176153
GI

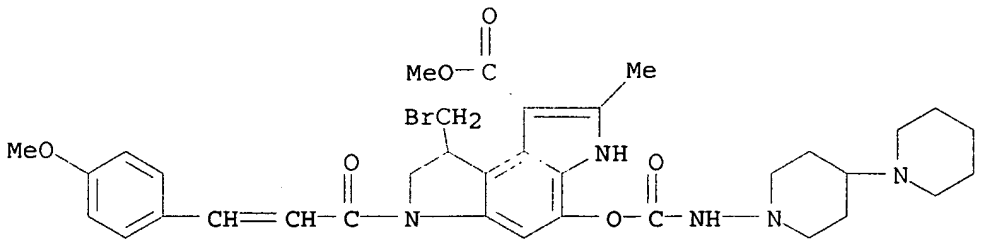


AB DC-89 derivs. [I; X = Cl or Br; R = (un)substituted alkyl, (un)substituted aralkyl, COR1, OR2, SR2, NR3R4, Q, Q1, SO2R8; wherein R1 = H, (un)substituted alkyl, aryl, or heterocyclyl; R2 = (un)substituted alkyl, aryl; R3, R4 = H, (un)substituted alkyl, NH2, mono- or dialkylamino; provided that R3 = R4 ≠ H; R5 = NR7, O ; R6, R7 = H, (un)substituted alkyl; R8 = (un)substituted alkyl or aryl; Y = Q2, Q3] or pharmacol. acceptable salts thereof are prepared Thus, the tert-butyldimethylsilyl ether I (R = Me3CSiMe2, X = Br, Y = Q2) (50 mg) was dissolved in THF, treated with 0.11 mL 1.0 M Bu4NF/THF, and stirred at room temperature for 1 h to give, after workup, the alc. I (R = H, X = Br, Y = Q2) which was dissolved in MeCN, treated with 48% aqueous HBr, stirred at room temperature for 1 h, treated with 1 N aqueous HBr, and extracted with CHCl3. The CHCl3 extract was dried over anhydrous Na2SO4 and evaporated to dryness to give the crude product which was dissolved in CH2Cl2, treated with 0.027 mL Ph chloroformate and 0.030 mL Et3N, and stirred at -78° to 0° for 1 h to give, after workup and silica gel chromatog., the title pyrroloindoline I (R = CO2Ph, X = Br, Y = Q2). The latter compound in vitro showed IC50 of 0.051 nM for inhibiting the proliferation of HeLaS3 cells and in vivo exhibited T/C of 0.090 (tumor volume of the treated animal/tumor volume of the control) in mice transplanted with sarcoma 180.

IT 173903-78-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of DC-89 (pyrroloindoline) derivs. as antitumor agents)

RN 173903-78-1 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-1-carboxylic acid, 4-[[([1,4'-bipiperidin]-1'-ylamino)carbonyl]oxy]-8-(bromomethyl)-3,6,7,8-tetrahydro-6-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:994203 CAPLUS
DN 124:55800
TI Preparation of novel heterocyclyl pyridyl- or phenyl(methyl)carbamate derivatives as selective antagonists for muscarine M3 receptor
IN Takeuchi, Makoto; Naito, Ryo; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Isomura, Yasuo
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9521820	A1	19950817	WO 1995-JP168	19950208
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2182568	AA	19950817	CA 1995-2182568	19950208
	AU 9515909	A1	19950829	AU 1995-15909	19950208
	AU 685225	B2	19980115		
	EP 747355	A1	19961211	EP 1995-907855	19950208
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1140447	A	19970115	CN 1995-191543	19950208
	HU 76289	A2	19970728	HU 1996-2188	19950208
PRAI	JP 1994-16829	A	19940210		
	JP 1994-35064	A	19940304		
	JP 1994-102579	A	19940517		
	JP 1994-221335	A	19940916		
	JP 1994-267412	A	19941031		
	WO 1995-JP168	W	19950208		

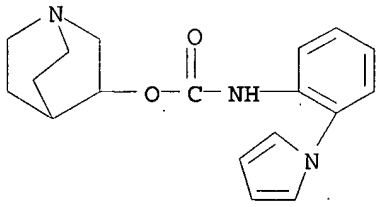
OS MARPAT 124:55800
GI For diagram(s), see printed CA Issue.
AB Carbamates derivs. represented by general formula [I; ring A = a benzene or pyridine ring; ring B = a saturated nitrogenous heterocycle which may be substituted on the nitrogen atom or cross-linked, i.e. Q - Q2; wherein Z = N(O)qR2, N+R3R4.A-; Z1 = N(O)q, N+R5.A-; wherein A- = anion; R2 = H, alkyl, alkenyl, alkynyl, cycloalkylalkyl, (un)substituted aralkyl, heterocyclylalkyl having 1 or 2 heteroatoms and optional substituents on the heterocyclic ring and optionally condensed on the ring; R3 = alkyl, alkenyl, alkynyl, (un)substituted aralkyl, heterocyclylalkyl having 1 or 2 heteroatoms and optional substituents on the heterocyclic ring and

optionally condensed on the ring; R4 = alkyl, alkenyl, alkynyl; R5 = alkyl, alkenyl, alkynyl, aralkyl; m, n = an integer of 1-4, provided that m + n = 3-5; p = an integer of 1-3; q = 0,1; r, s, t = an integer of 0-3, provided that r + s + t = 2 or 3; wherein R1 = optionally substituted Ph, C3-8 cycloalkyl or cycloalkenyl, or 5- or 6-membered nitrogenous heterocyclic group; X = a single bond or CH2; Y = a single bond, CO, optionally hydroxylated methylene, or -S(O)l; wherein l = an integer of 0, 1 or 2], salts, hydrates, or solvates thereof, useful for the treatment of prevention of digestive, respiratory or urol. diseases, are prepared In particular, a remedy or preventive for chronic obstructive lung diseases, chronic bronchitis, asthma, rhinitis, nervous pollakiurea (frequent urination), nervous bladder, nocturnal enuresis, unstable bladder, bladder contracture, chronic cystitis, urinary incontinence, pollakiurea (frequent urination), irritable bowel syndrome, spasmodic colitis, or diverticulitis which is related to muscarine M3 receptor contains the said carbamate I as the active ingredient. Thus, 2.89 g (PhO)2P(O)N3 was added dropwise to a solution of 1.98 g 2-biphenylcarboxylic acid and 1.11 g Et3N in 50 mL toluene, stirred at 60° for 1.5 h, followed by adding 1.27 g 3-quinuclidinol, and the resulting mixture was refluxed for 6 h to give, after workup and silica gel chromatog., 2.47 g 3-quinuclidinyl N-(2-biphenylyl)carbamate (II). The latter compound (0.46 g) was stirred with MeI in 2-butanone at room temperature for 5.5 h to give 0.58 g 3-[[N-(2-biphenylyl)carbamoyl]oxy]-1-methylquinuclidinium iodide (III). II and III showed a binding affinity with the dissociation constant Ki of 0.94 and 0.56 nM, resp., for muscarine M3 receptor preparation from submaxillary gland membrane and that of 25.9 and 14.4 nM, resp., for muscarine M2 receptor preparation from heart membrane and the binding affinity ratio of the muscarine M2 and M3 receptor was 27.6 and 25.7 for II and III, resp. II and III inhibited 50% the gallamine-induced contraction of a respiratory tract of guinea pig at 0.0045 and 0.0038 mg/kg i.v., resp., vs. 0.0008 mg/kg i.v. for atropine.

IT 171722-79-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel heterocyclyl pyridyl(methyl)- or phenyl(methyl)carbamate derivs. as selective antagonists for muscarine M3 receptor)

RN 171722-79-5 CAPLUS

CN Carbamic acid, [2-(1H-pyrrol-1-yl)phenyl]-, 1-azabicyclo[2.2.2]oct-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

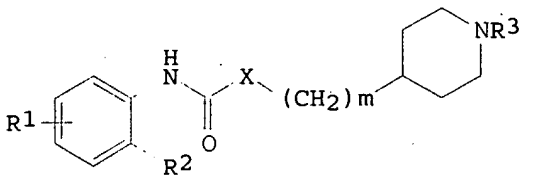


● HCl

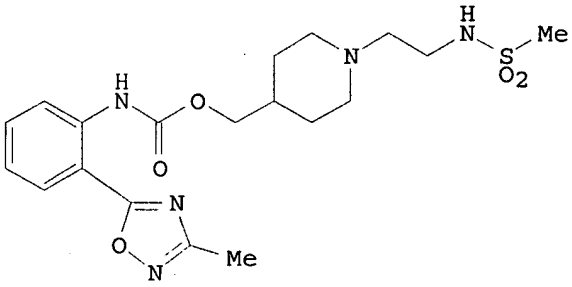
L12 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1994:164186 CAPLUS
DN 120:164186
TI Substituted (oxadiazolyl and thiadiazolyl) phenylcarbamates and phenylureas, their preparation, and their use as 5-HT antagonists
IN Oxford, Alexander William
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9320071	A1	19931014	WO 1993-EP779	19930326
	W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9339497	A1	19931108	AU 1993-39497	19930326
	AU 663780	B2	19951019		
	EP 640081	A1	19950301	EP 1993-908861	19930326
	EP 640081	B1	20000112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07505868	T2	19950629	JP 1993-517088	19930326
	JP 3236298	B2	20011210		
	HU 72321	A2	19960429	HU 1994-2825	19930326
	AT 188697	E	20000115	AT 1993-908861	19930326
	EP 972773	A1	20000119	EP 1999-201608	19930326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	ES 2141763	T3	20000401	ES 1993-908861	19930326
	PT 640081	T	20000531	PT 1993-908861	19930326
	CN 1081677	A	19940209	CN 1993-105209	19930331
	ZA 9302306	A	19940930	ZA 1993-2306	19930331
	US 5618827	A	19970408	US 1994-307567	19940921
	FI 9404513	A	19941129	FI 1994-4513	19940929
	NO 9403631	A	19941129	NO 1994-3631	19940929
	GR 3032877	T3	20000731	GR 2000-400570	20000307
PRAI	GB 1992-6989	A	19920331		
	GB 1992-17827	A	19920821		
	GB 1992-21718	A	19921016		
	EP 1993-908861	A3	19930326		
	WO 1993-EP779	A	19930326		

OS MARPAT 120:164186
GI



I



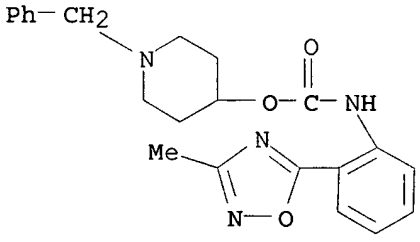
II

AB Title compds. I [R1 = H, halo, alkyl, alkoxy, OH; R2 = oxadiazole or thiadiazole ring substituted by (cyclo)alkyl, alkenyl, alkynyl, Ph, CH2Ph; X = NH, O; m = 0, 1, 2; R3 = alkyl, CH2Ph, (CH2)nR4, 1-R5-piperidin-4-yl; n = 2, 3; R4 = cyano, OH, alkoxy, OPh, alkanoyl, Bz, CONR6R7, NR6COR7, SO2NR6R7, NR6SO2R7; R5 = COR8, SO2R8; R6, R7, R8 = H, alkyl, Ph] and their quaternary ammonium derivs., N-oxides, salts and solvates are claimed and prepared (38 examples). For example, reaction of 2-(3-methyl-1,2,4-oxadiazol-5-yl)benzenamine with COCl2 in refluxing PhMe, evaporation, and reaction of the product with N-[2-[4-(hydroxymethyl)-1-piperidinyl]ethyl]methanesulfonamide in 1,2-Cl2C6H4 at 120° gave title compound II. I showed 5-HT4 antagonist activity by virtue of inhibiting 5-HT-induced relaxation of rat esophagus in vitro; II had pkb 10.8 in the test, and showed no toxicity i.p. in rats at 1 mg/kg.

IT 152820-72-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(debenzylation; preparation of phenylcarbamates and phenylureas as 5-HT antagonists)

RN 152820-72-9 CAPLUS

CN Carbamic acid, [2-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:158963 CAPLUS

DN 108:158963
TI Color photographic film containing metal complex color-masking dyes
IN Kato, Kazuo; Yamada, Yoshitaka
PA Konishiroku Photo Industry Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

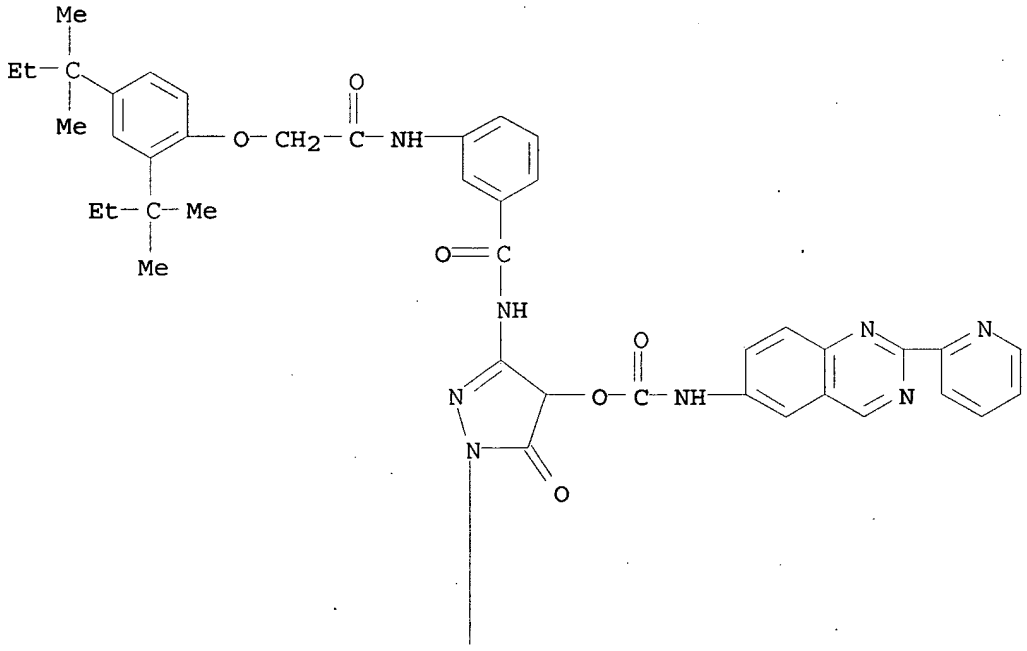
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62168147	A2	19870724	JP 1986-11746	19860120
PRAI	JP 1986-11746		19860120		

AB A high-sensitivity Ag halide color photog. material, having an improved shelf life under high-temperature and high-humidity conditions, comprising on a support ≥ 1 Ag halide emulsion is claimed which contains a compound capable of releasing a fogging agent or a development accelerator through a coupling reaction with an oxidized developing agent, and a compound represented by LIG-X [X = a group capable of releasing LIG upon Ag halide development; LIG = a metal ion-complexing ligand capable of forming a metal-complexed dye in the color photog. material after release from X], and LIG-X itself is substantially colorless and nondiffusing.

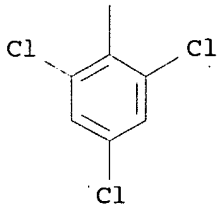
IT 113131-60-5
RL: USES (Uses)
(photog. color masking dye-releasing compound)

RN 113131-60-5 CAPLUS
CN Carbamic acid, [2-(2-pyridinyl)-6-quinazolinyl]-, 3-[[3-[[[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]benzoyl]amino]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

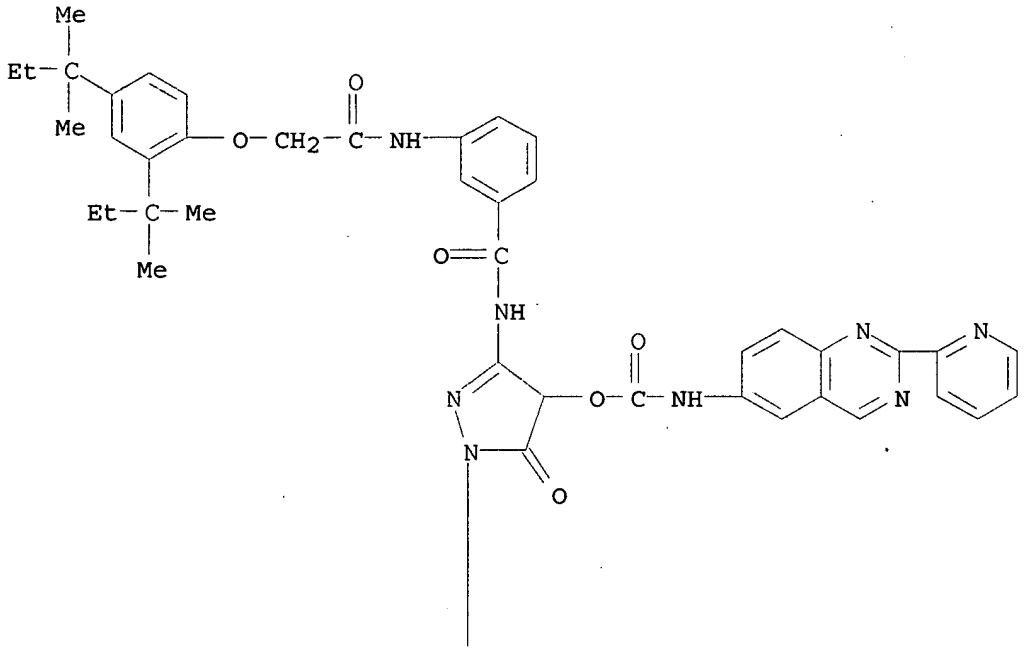


L12 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1988:158962 CAPLUS
DN 108:158962
TI Color photographic film containing metal complex color masking dyes
IN Kato, Kazuo; Yamada, Yoshitaka
PA Konishiroku Photo Industry Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

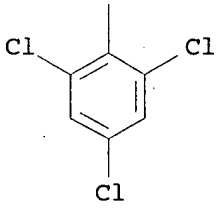
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62168151	A2	19870724	JP 1986-11759	19860120
	JP 08003611	B4	19960117		
PRAI	JP 1986-11759		19860120		

AB A high-sensitivity Ag halide color photog. material, having improved sharpness, comprising on a support ≥1 Ag halide emulsion layer, is claimed which contains a polymer coupler and a compound represented by LIG-X [X = a group capable of releasing LIG upon Ag halide development; LIG = a metal ion-complexing ligand capable of forming a metal-complexed dye in the color photog. material after release from X], and LIG-X itself is substantially colorless and nondiffusing.
IT 113131-60-5
RL: USES (Uses)
(photog. masking dye-releasing compound, color materials containing, for improved sharpness)
RN 113131-60-5 CAPLUS
CN Carbamic acid, [2-(2-pyridinyl)-6-quinazolinyl]-, 3-[[3-[[[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]benzoyl]amino]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L12 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1988:158961 CAPLUS
DN 108:158961
TI Color photographic film containing metal complex color masking dyes
IN Kato, Kazuo; Yamada, Yoshitaka
PA Konishiroku Photo Industry Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 62168149	A2	19870724	JP 1986-11748	19860120
PRAI JP 1986-11748		19860120		

AB A nonpolluting-type Ag halide color photog. material, having improved

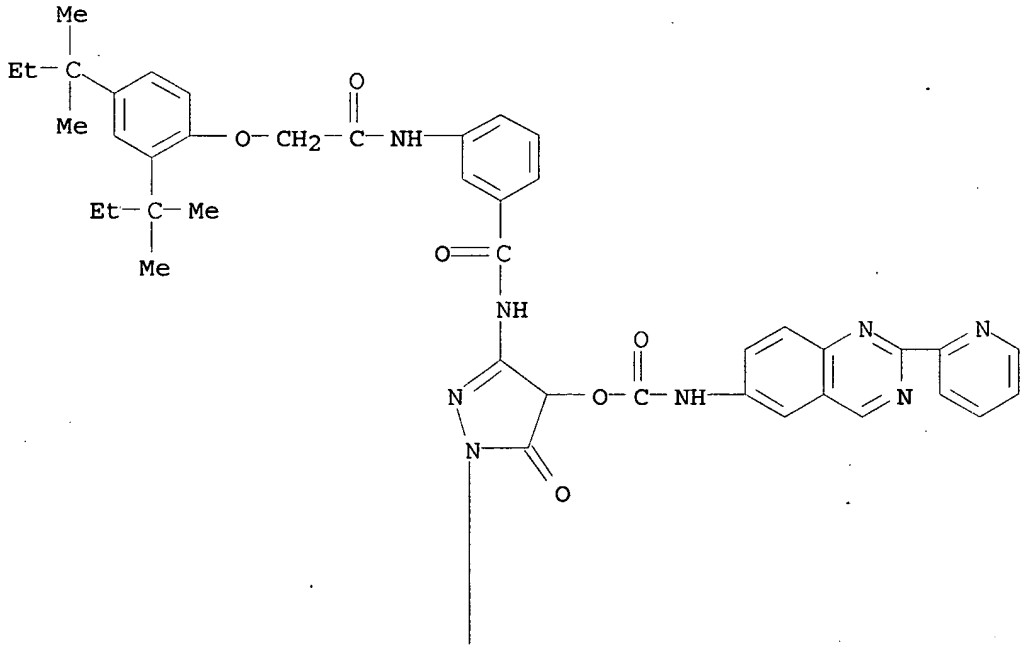
tolerance to fluctuations in the development conditions, comprising on a support ≥1 Ag halide emulsion, is claimed, which contains a compound capable of releasing a development inhibitor through a coupling reaction with an oxidized developing agent, but substantially incapable of development inhibition after being released into the developer solution, and a compound represented by LIG-X [X = a group capable of releasing LIG upon Ag halide development; LIG = a metal ion-complexing ligand capable of forming a metal-complexed dye in the color photog. material after release from X; LIG-X itself is substantially colorless and nondiffusing].

IT 113131-60-5
RL: USES (Uses)
(photog. color masking dye-releasing compound)

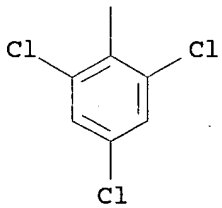
RN 113131-60-5 CAPLUS

CN Carbamic acid, [2-(2-pyridinyl)-6-quinazolinyl]-, 3-[[3-[[[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]benzoyl]amino]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



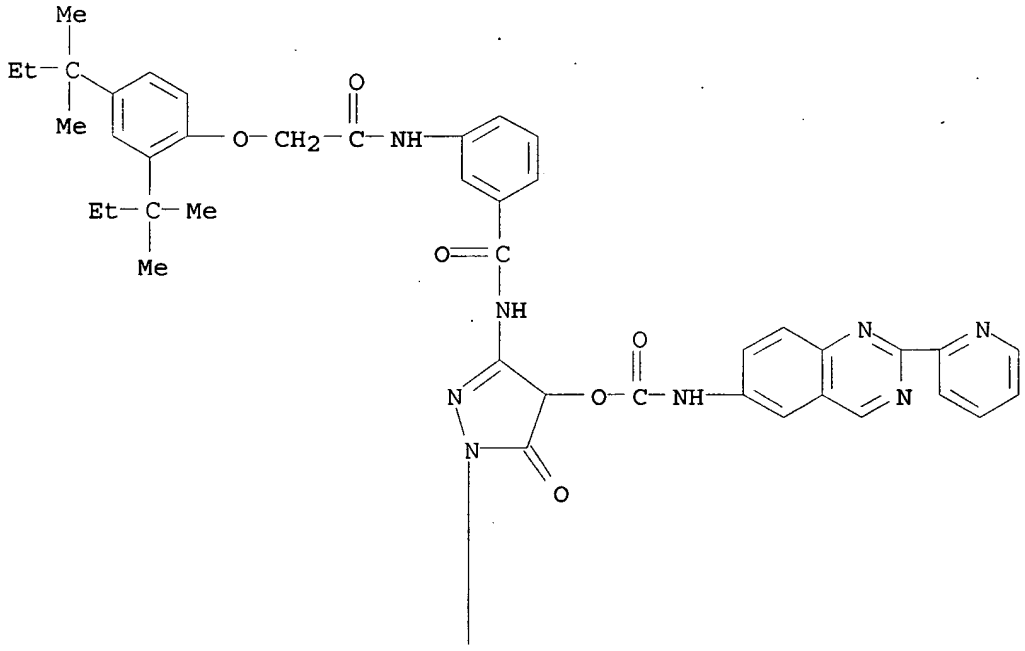
L12 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1988:104012 CAPLUS
DN 108:104012
TI Silver halide color photographic photosensitive materials
IN Kato, Kazuo; Yamada, Yoshitaka
PA Konishiroku Photo Industry Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 62168141	A2	19870724	JP 1986-11760	19860120
PRAI JP 1986-11760		19860120		

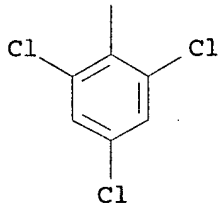
AB The claimed Ag halide photog. materials contain tabular Ag halide emulsions with aspect ratio ≥5:1 and/or colorless, nondiffusible compds. of the formula LIG-X (X is a group which releases LIG during Ag halide development, LIG = ligand moiety which is capable of forming a metal complex dye when LIG is bonded to X). The photog. materials show high sensitivity and good processing stability and give high-quality color images.

IT 113131-60-5
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. material containing)
RN 113131-60-5 CAPLUS
CN Carbamic acid, [2-(2-pyridinyl)-6-quinazolinyl]-, 3-[[3-[[[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]benzoyl]amino]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

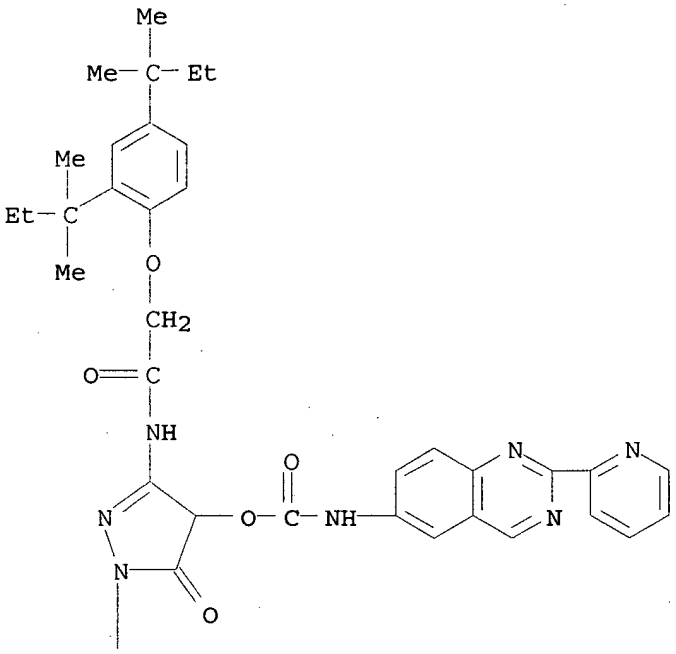


L12 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1988:13822 CAPLUS
DN 108:13822
TI Silver halide color photographic photosensitive materials
IN Yamashita, Kiyoshi; Kunieda, Sunao
PA Konishiroku Photo Industry Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

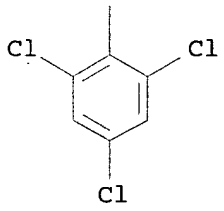
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62147458	A2	19870701	JP 1985-289083	19851220
	JP 06060996	B4	19940810		
PRAI	JP 1985-289083		19851220		

AB The title color photog. materials contain a development inhibitor releasing compound and a colorless nondiffusible compound of the formula LIG-X (X = moiety which releases the LIG during Ag halide development; LIG = ligand moiety) which is capable of forming a metal complex dye. The photog. materials show high sensitivity and excellent image quality.
IT 111887-16-2
RL: USES (Uses)
(ligand-releasing photog. coupler, for masking image formation)
RN 111887-16-2 CAPLUS
CN Carbamic acid, [2-(2-pyridinyl)-6-quinazolinyl]-, 3-[[[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]amino]-4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

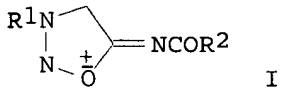


L12 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:144012 CAPLUS
DN 106:144012
TI Preparation of 3-aminosydnonimines as cardiovascular agents
IN Schoenafinger, Karl; Beyerle, Rudi; Bohn, Helmut; Just, Melitta; Martorana, Piero; Nitz, Rolf Eberhard
PA Cassella A.-G., Fed. Rep. Ger.
SO Ger. Offen., 9 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3526068	A1	19870122	DE 1985-3526068	19850720
	EP 210474	A1	19870204	EP 1986-109211	19860705

	EP 210474	B1	19900829		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	AT 56000	E	19900915	AT 1986-109211	19860705
	US 4845091	A	19890704	US 1986-885081	19860714
	FI 8602963	A	19870121	FI 1986-2963	19860716
	DK 8603431	A	19870121	DK 1986-3431	19860718
	AU 8660308	A1	19870122	AU 1986-60308	19860718
	JP 62022775	A2	19870130	JP 1986-168194	19860718
	ZA 8605370	A	19870225	ZA 1986-5370	19860718
	HU 41751	A2	19870528	HU 1986-2966	19860718
	HU 195199	B	19880428		
	ES 2000359	A6	19880216	ES 1986-397	19860718
PRAI	DE 1985-3526068	A	19850720		
	EP 1986-109211	A	19860705		

GI



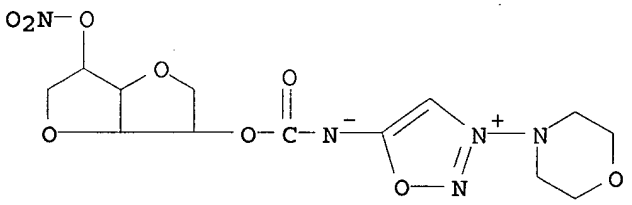
I

AB 3-Aminosydnonimines I [R1 = dialkylamino, pyrrolidino, piperidino, (un)substituted piperazino, etc.; R2 = CHMeOMe, CHPhOCOMe, OCHMeCO2Et, 3-p-menthyloxy, etc.] are prepared as cardiovascular agents (no data). 3-(4-Methylsulfonylpiperazin-1-yl)sydnonimine-HCl in water was treated, at 5°, with NaHCO3 and 2-methylbutyl-(S)-chloroformate (preparation given) in CH2Cl2, to yield (S)-(+)-N-(2-methylbutoxycarbonyl)-3-(4-methylsulfonylpiperazin-1-yl)sydnonimine. Tablets contained I 20, lactose 60, corn starch 30, soluble starch 5, and Mg stearate 5 mg/tablet.

IT 107533-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 107533-66-4 CAPLUS

CN D-Glucitol, 1,4:3,6-dianhydro-, 2-ester with 5-(carboxyamino)-3-(4-morpholinyl)-1,2,3-oxadiazolium inner salt, 5-nitrate (9CI) (CA INDEX NAME)



O,OO-1
N,NO-2

Node 3: Limited
O,OO-1
N,NO-2

L1 STRUCTURE UPLOADED

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FULL SCREEN SEARCH COMPLETED - 767160 TO ITERATE

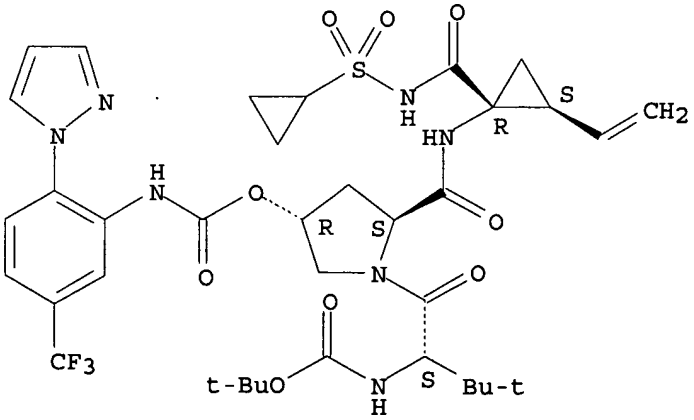
100.0% PROCESSED 767160 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.08

L2 26 SEA SSS FUL L1

=> d 1-10

L2 ANSWER 1 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-96-9 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[2-(1H-pyrazol-1-yl)-5-(trifluoromethyl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H46 F3 N7 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

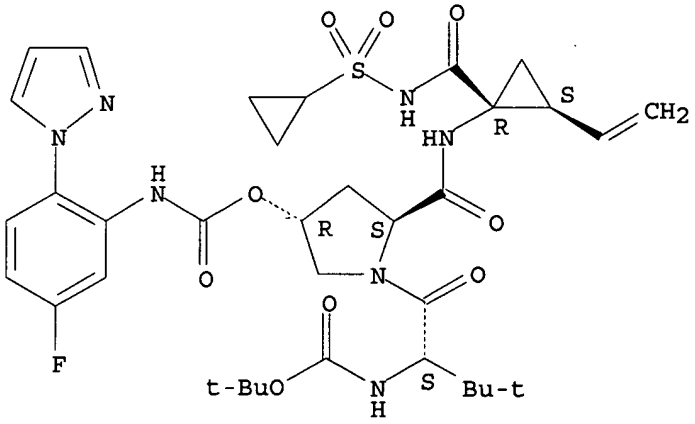


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-95-8 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-fluoro-2-(1H-pyrazol-1-yl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H46 F N7 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

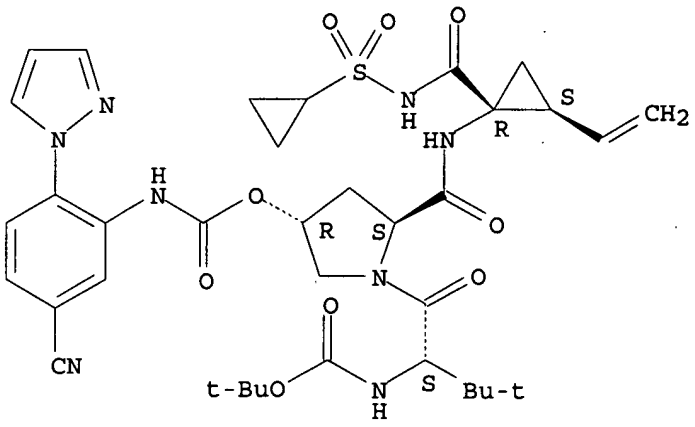


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-94-7 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-cyano-2-(1H-pyrazol-1-yl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H46 N8 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

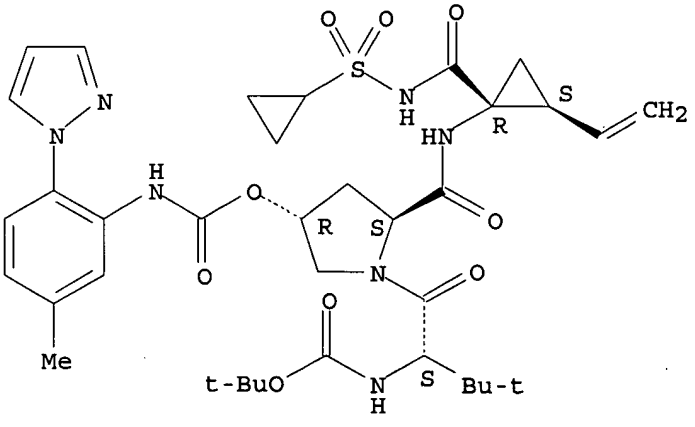


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-92-5 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-methyl-2-(1H-pyrazol-1-yl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H49 N7 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

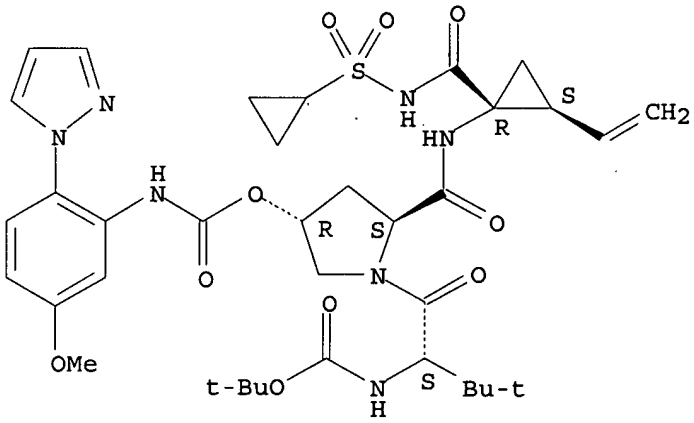


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-91-4 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-methoxy-2-(1H-pyrazol-1-yl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H49 N7 O10 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

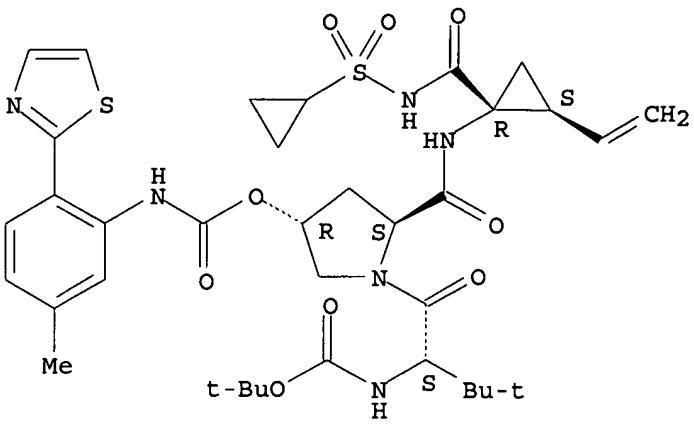


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-90-3 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-methyl-2-(2-thiazolyl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H48 N6 O9 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

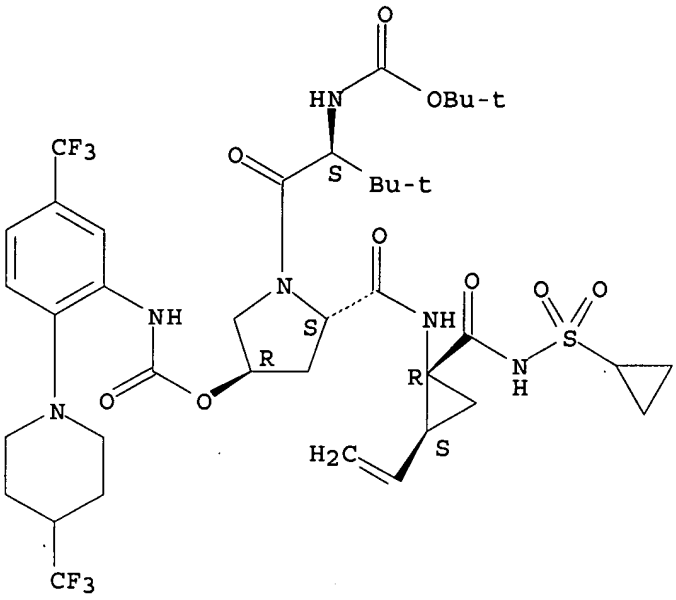


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 7 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-88-9 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-(trifluoromethyl)-2-[4-(trifluoromethyl)-1-piperidinyl]phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H52 F6 N6 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

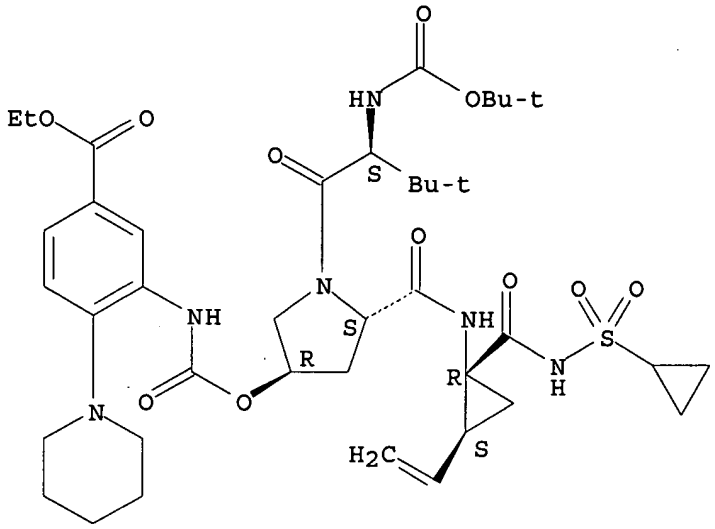


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 8 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-87-8 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[5-(ethoxycarbonyl)-2-(1-piperidinyl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C40 H58 N6 O11 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

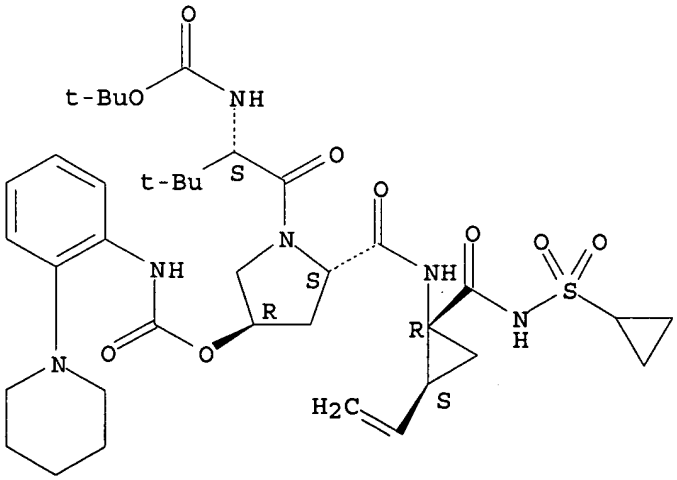


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 9 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-86-7 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[2-(1-piperidinyl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C37 H54 N6 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

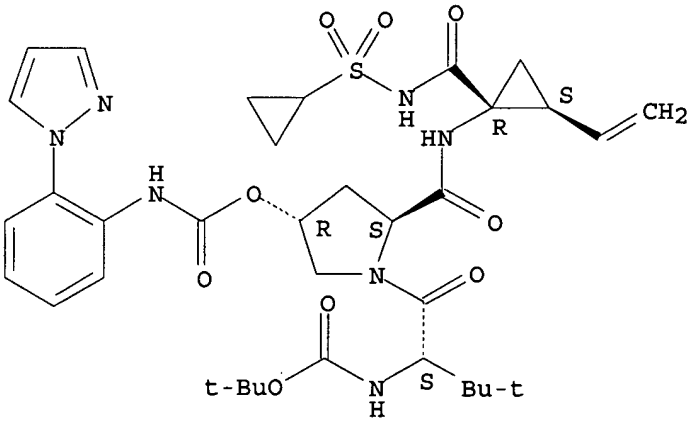


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 26 REGISTRY COPYRIGHT 2005 ACS on STN
RN 669007-85-6 REGISTRY
ED Entered STN: 30 Mar 2004
CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[[2-(1H-pyrazol-1-yl)phenyl]amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H47 N7 O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	179.73	179.94

FILE 'CAPLUS' ENTERED AT 20:15:06 ON 27 NOV 2005
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FILE COVERS 1907 - 27 Nov 2005 VOL 143 ISS 23
FILE LAST UPDATED: 25 Nov 2005 (20051125/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l2
L3 2 L2

=> d fbib abs fhitstr

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:203541 CAPLUS
DN 140:253912
TI Preparation of hydroxyprolinamide peptides as hepatitis C virus inhibitors
IN Ripka, Amy; Campbell, Jeffrey Allen; Good, Andrew Charles; Scola, Paul Michael; Sin, Ny; Venables, Brian
PA USA
SO U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2004048802	A1	20040311	US 2003-441827		20030520
				US 2002-382156P	P	20020520
	WO 2004032827	A2	20040422	WO 2003-US15856		20030520
	WO 2004032827	A3	20041014			
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
				US 2002-382156P	P	20020520
	EP 1506172	A2	20050216	EP 2003-799806		20030520
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
				US 2002-382156P	P	20020520
				WO 2003-US15856	W	20030520
	NO 2004004897	A	20050218	NO 2004-4897		20041110
				US 2002-382156P	P	20020520
				WO 2003-US15856	W	20030520

OS MARPAT 140:253912
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

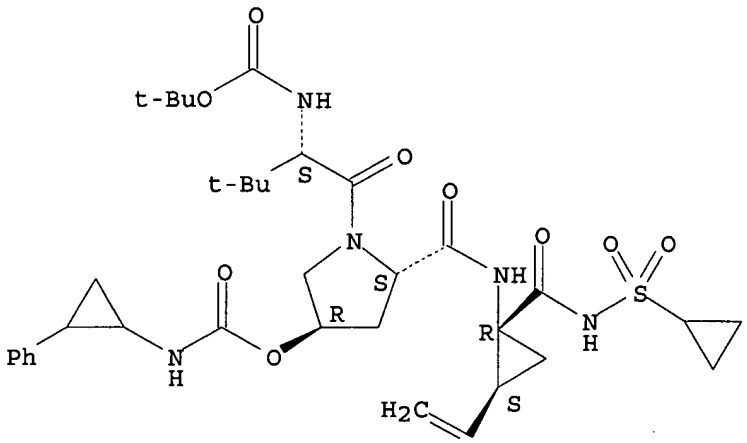
AB The invention relates to tripeptide compds. I [R1 is H or (un)substituted alk(en)yl or aryl; R2 is (un)substituted alk(en)yl, aryl, cycloalkyl, or heterocyclyl; or R1R2N is (fused) heterocyclyl; R3 is (un)substituted alk(en)yl or cycloalkyl or R3CH is a ring; R4 is H or any group given for R3; A is OH, alkoxy, sulfinyl- or sulfonyl-substituted amino; B is H, alkyl, acyl, (thio)carbamoyl, sulfonyl, or sulfamoyl groups; Y is H, nitrophenyl or -pyridyl, cyano-, hydroxy-, or cycloalkylalkyl (with provisos)] or their pharmaceutically-acceptable salts or prodrugs for the treatment of hepatitis C virus (HCV) infection. Thus, tripeptide II (Boc = tert-butoxycarbonyl) was prepared by esterification of the hydroxyproline moiety with o-carbethoxyphenyl isocyanate and assayed for inhibition of HCV NS3/4A protease (IC50 and EC50 < 0.1 μM).

IT 669006-99-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyprolinamide peptides as hepatitis C virus inhibitors)

RN 669006-99-9 CAPLUS

CN Cyclopropanecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[[(2-phenylcyclopropyl)amino]carbonyl]oxy]-L-prolyl-1-amino-N-(cyclopropylsulfonyl)-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:268513 CAPLUS

DN 128:321945

TI Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease

IN Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.;

Bhisetti, Govinda Rao; Farmer, Luc J.
PA Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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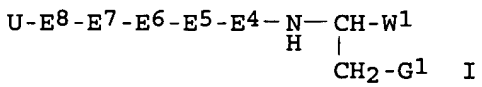

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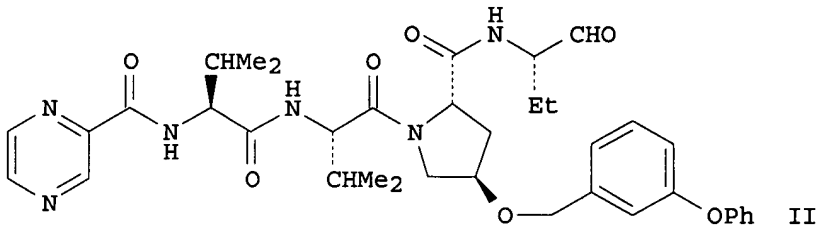

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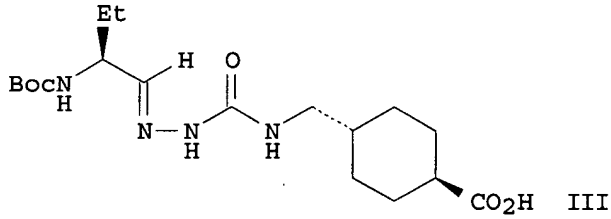


III

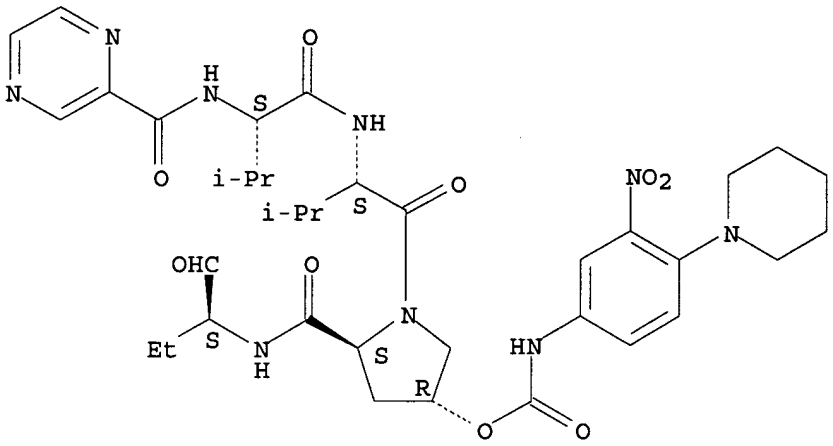
AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-17-0 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[[3-nitro-4-(1-piperidinyl)phenyl]amino]carbonyl]oxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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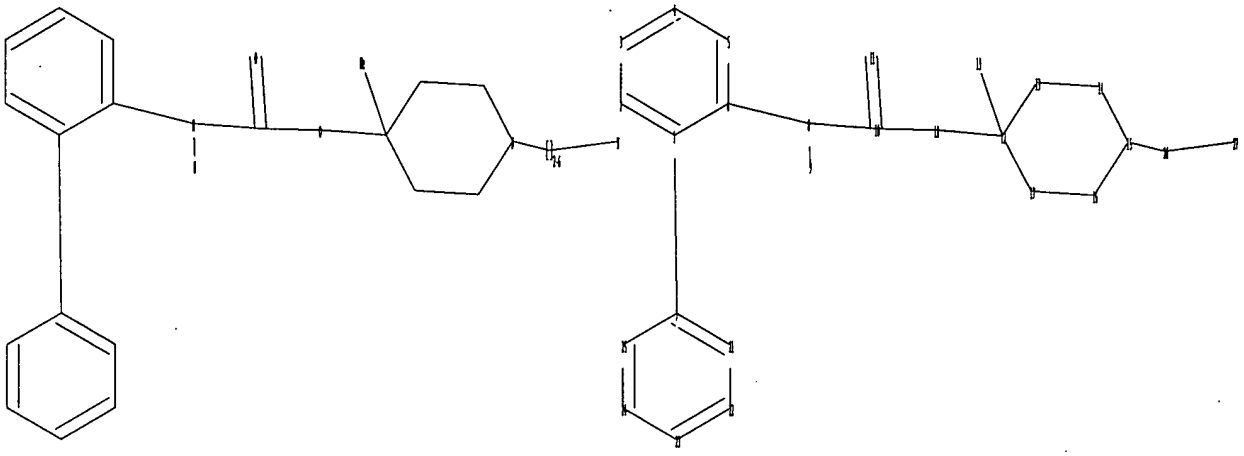

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ring bonds :
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26-27
exact bonds :
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Match level :
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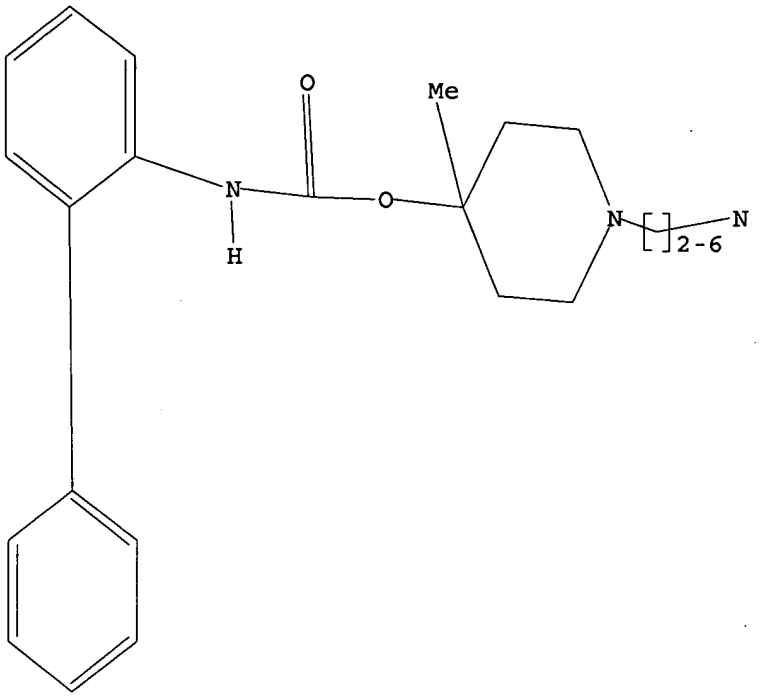

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ED   Entered STN:  13 Sep 2004
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     dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]methyl]-5-
     methoxyphenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI)  (CA
     INDEX NAME)
OTHER NAMES:
CN   Biphenyl-2-ylcarbamic acid 1-[2-[[2-chloro-4-[[[(R)-2-hydroxy-2-(8-hydroxy-
     2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]-5-
     methoxyphenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS   STEREOSEARCH
MF   C41 H44 Cl N5 O7
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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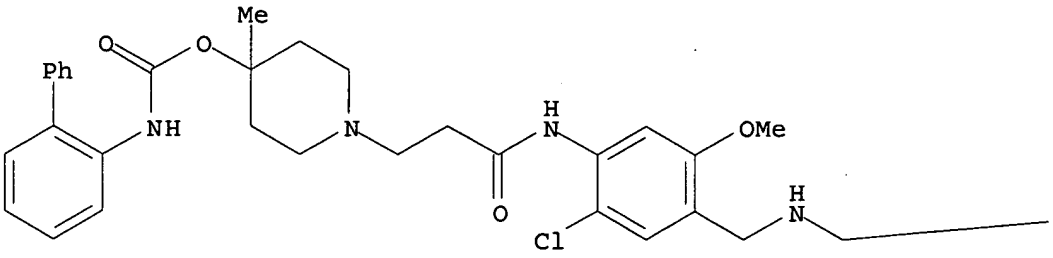
Absolute stereochemistry.

PAGE 1-A



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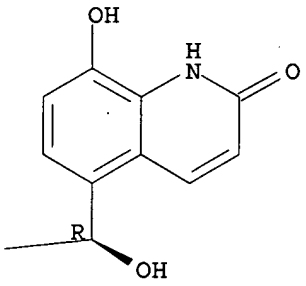

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L2 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743463-05-0 REGISTRY
ED Entered STN: 13 Sep 2004
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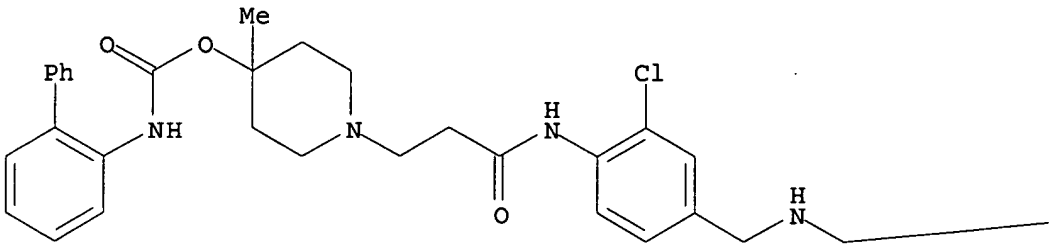
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[2-chloro-4-[[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C40 H42 Cl N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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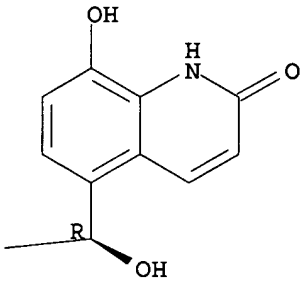

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ED Entered STN: 13 Sep 2004
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OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[6-[[(R)-2-(3-formylamino-4-hydroxyphenyl)-2-hydroxyethyl]amino]hexanoyl]amino]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C36 H47 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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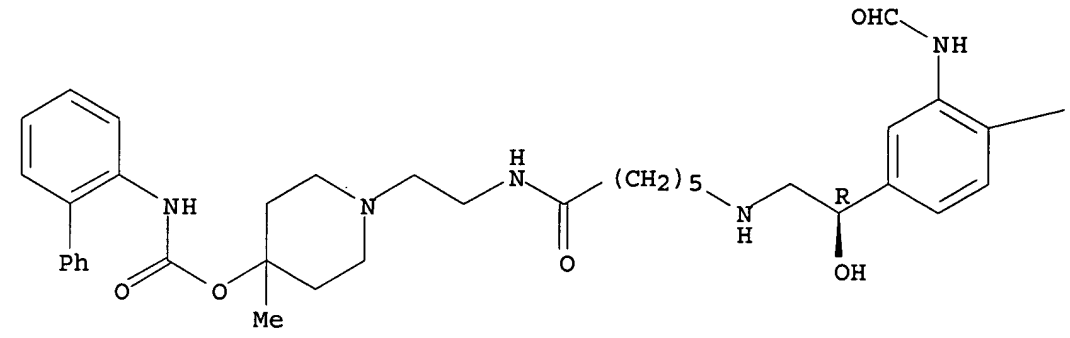

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ED Entered STN: 13 Sep 2004
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OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[6-[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]hexanoyl]amino]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
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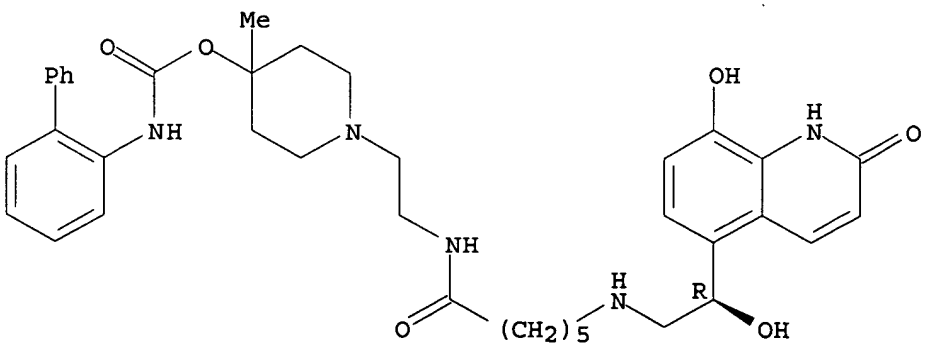
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[5-[[(R)-2-(3-formylamino-4-hydroxyphenyl)-2-hydroxyethyl]amino]pentyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C36 H47 N5 O6
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LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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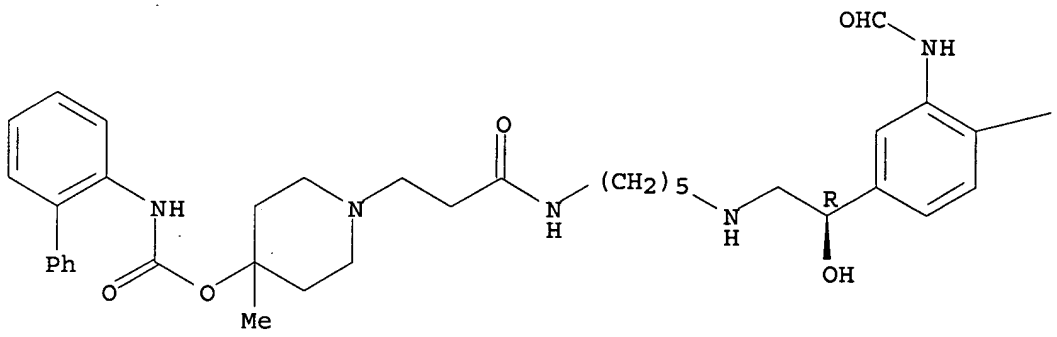

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OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[5-[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]pentyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester

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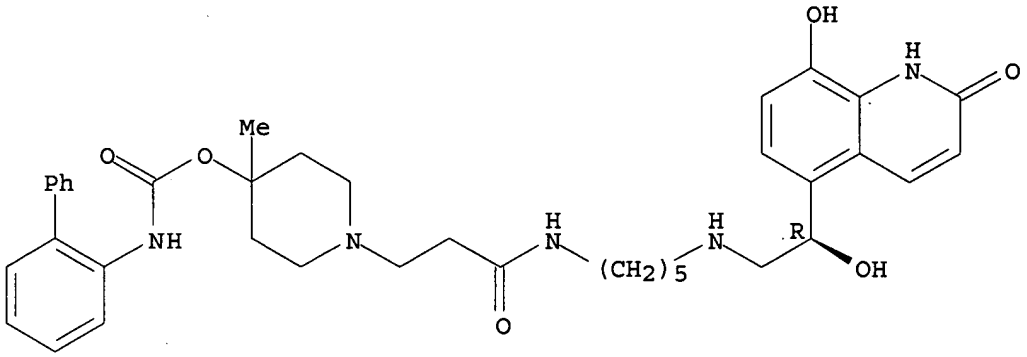
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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FS STEREOSEARCH
MF C38 H43 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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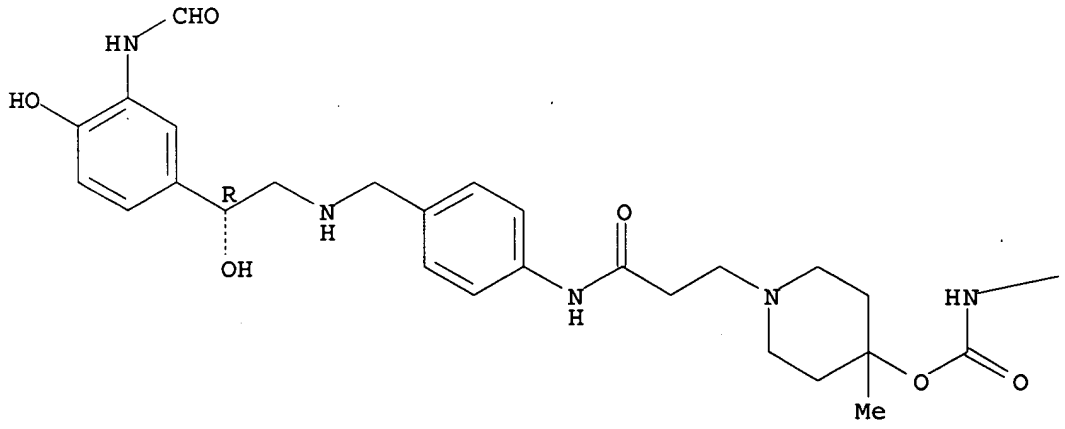

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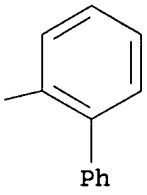

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Absolute stereochemistry.

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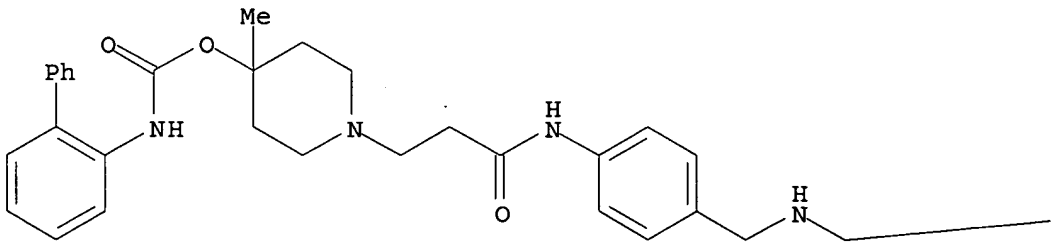

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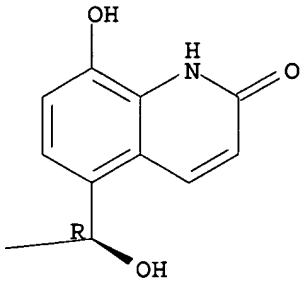

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LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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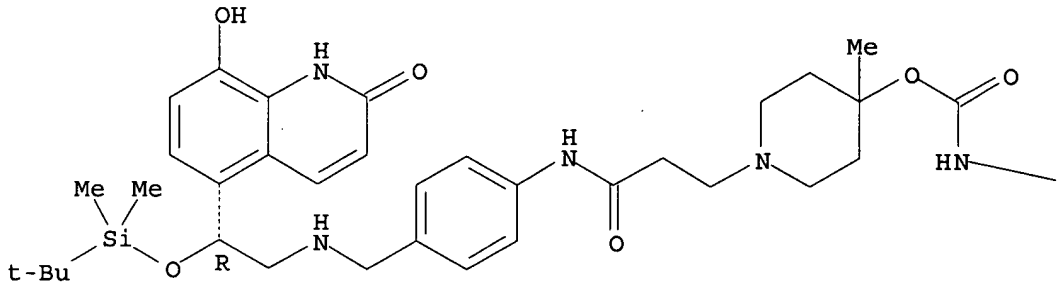

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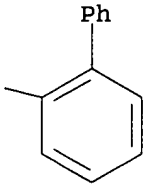

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ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[(4-formylphenyl)amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[(4-Formylphenyl)carbamoyl]ethyl]-4-methylpiperidin-4-yl Ester
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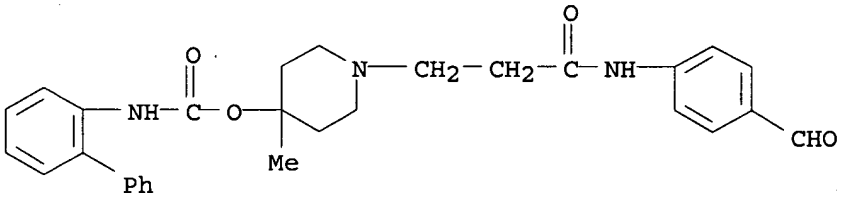
MF C29 H31 N3 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743462-92-2 REGISTRY
ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-(1,3-dioxolan-2-yl)phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[4-([1,3]dioxolan-2-yl)phenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl Ester
FS 3D CONCORD
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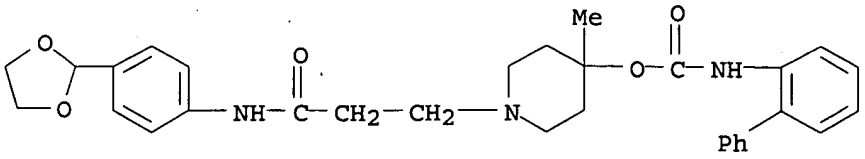

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FILE COVERS 1907 - 20 Mar 2006  VOL 144 ISS 13
FILE LAST UPDATED: 19 Mar 2006  (20060319/ED)

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DN   143:7702
TI   Preparation of biphenyl benzothiazole compounds having beta2 adrenergic
     receptor agonist and muscarinic receptor antagonist activity for treating
     pulmonary disorders
IN   Mammen, Mathai; Dunham, Sarah
PA   USA
SO   U.S. Pat. Appl. Publ., 63 pp.
     CODEN: USXXCO
DT   Patent
LA   English
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FAN.CNT 1

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PI	US 2005113417	A1	20050526	US 2004-992927		20041119
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	WO 2005051946	A3	20050714			

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US 2003-524234P P 20031121

OS MARPAT 143:7702

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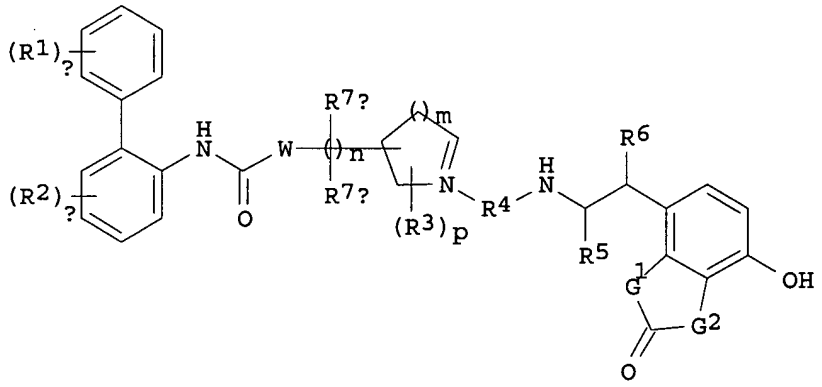


I

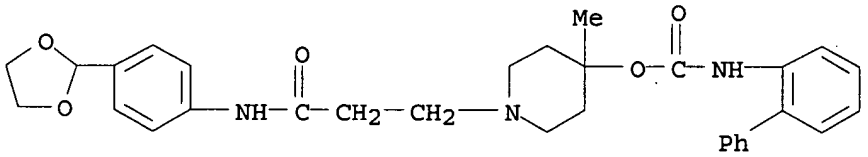
AB The invention is directed to compds. of formula I, wherein R1, R2, R3, R4, R5, R6, R7a, R7b, W, G1, G2, a, b, c, d and m are as defined below, or a pharmaceutically acceptable salt or solvate or stereoisomer thereof. The invention is also directed to pharmaceutical compns. comprising such compds.; methods of using such compds.; and process and intermediates for preparing such compds. The compds. of the invention possess both β2 adrenergic receptor agonist and muscarinic receptor antagonist activity. Such compds. are expected to be useful as therapeutic agents for treating pulmonary disorders. Certain compds. of this invention have also been found to possess affinity for dopamine D2 receptors. For I: one of G1 and G2 = NH and the other represents S, NH, O or CH2; W = O or NWa; where Wa = H or (1-4C)alkyl; each R1 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR1a, -C(O)OR1b, -SR1c, -S(O)R1d, -S(O)2R1e or -NR1fR1g; where each of R1a, R1b, R1c, R1d, R1e, R1f and R1g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R2 = (1-4C)alkyl,

(2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR2a, -C(O)OR2b, -SR2c, -S(O)R2d, -S(O)2R2e or -NR2fR2g; where each of R2a, R2b, R2c, R2d, R2e, R2f and R2g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R3 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR3a, -C(O)OR3b, -SR3c, -S(O)R3d, -S(O)2R3e or -NR3fR3g; or two R3 groups are joined to form (1-3C)alkylene, (2-3C)alkenylene or oxiran-2,3-diyl; where each of R3a, R3b, R3c, R3d, R3e, R3f and R3g = H or (1-4C)alkyl; R4 represents a divalent hydrocarbon group containing from 4 to 28 carbon atoms and optionally containing from 1 to 10 heteroatoms selected independently from halo, O, N, and S, provided that the number of contiguous atoms in the shortest chain between the two nitrogen atoms to which R4 is attached is in the range of from 4 to 16; R5 = H or (1-4C)alkyl; R6 = H or OH; each R7a and R7b = H, (1-4C)alkyl, OH and F; a = 0-3; b = 0-3; c = 0-4; d = 0-5; and m = 0-3.

IT 743462-92-2P, Biphenyl-2-ylcarbamic acid 1-[2-(4-[1,3]dioxolan-2-ylphenylcarbamoyl)ethyl]-4-methylpiperidin-4-yl Ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl benzothiazole compds. having beta2 adrenergic receptor agonist and muscarinic receptor antagonist activity for treating pulmonary disorders)

RN 743462-92-2 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-(1,3-dioxolan-2-yl)phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:703125 CAPLUS

DN 141:225161

TI Preparation of biphenyl derivatives as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders.

IN Mammen, Mathai; Dunham, Sarah; Hughes, Adam; Lee, Tae Weon; Husfeld, Cralg; Stangeland, Eric

PA USA

SO U.S. Pat. Appl. Publ., 85 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

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				US 2003-467035P	P	20030501
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US 2003-467035P P 20030501

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OS MARPAT 141:225161
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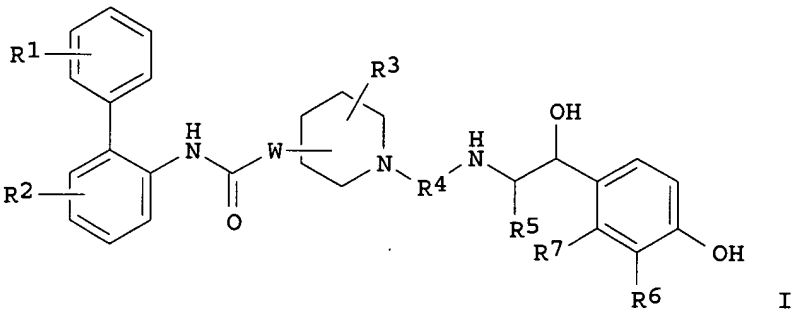

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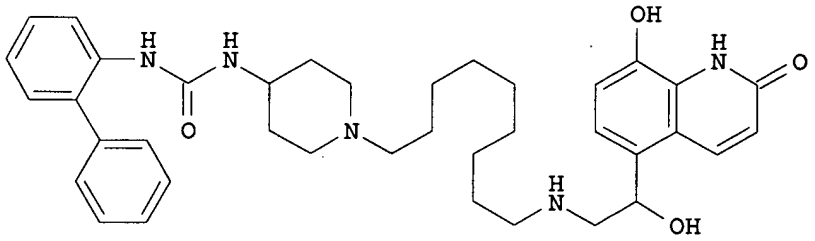


II

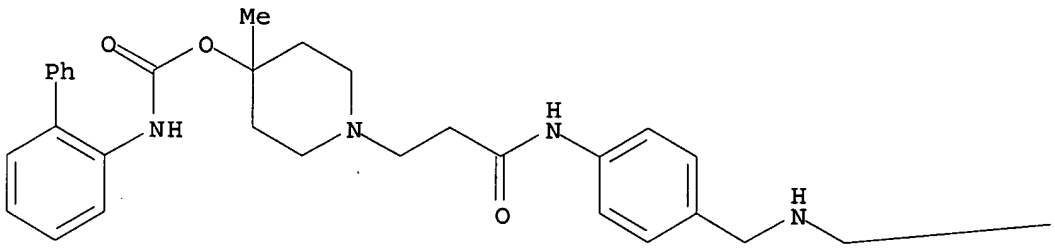
AB Title compds. I [R1 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, etc.; R2 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, CN, etc.; W = O, substituted N; R3 (taken 0-4 times) = alk(en/yn)yl, cycloalkyl, etc.; R4 = divalent group; R5 = H, alkyl; R6 = amino, alkoxy, etc.; R7 = H, etc.] are prepared For instance, N-[1,1'-Biphenyl-2-yl]-N'-[1-(9-aminononyl)piperidin-4-yl]urea (preparation given) is combined with 8-Benzyloxy-5-(2,2-dihydroxyacetyl)-1H-quinolin-2-one (CH2Cl2, NaHB(OAc)3) and the product reduced (MeOH, H2-Pd/C) to give II. Selected example compds. have Ki < 10 nM for the β2 and muscarinic receptor. I are useful in the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and asthma.

IT 743462-96-6P, Biphenyl-2-ylcarbamic Acid 1-[2-[[4-[[[(R)-2-Hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]4-methylpiperidin-4-yl Ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl derivs. as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders)

RN 743462-96-6 CAPLUS
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-[[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]methyl]phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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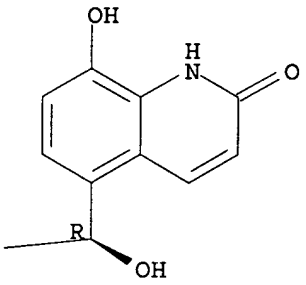

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FILE 'CAPLUS' ENTERED AT 11:13:43 ON 20 MAR 2006
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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DICTIONARY FILE UPDATES:  19 MAR 2006  HIGHEST RN 877207-02-8

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* The CA roles and document type information have been removed from *
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* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
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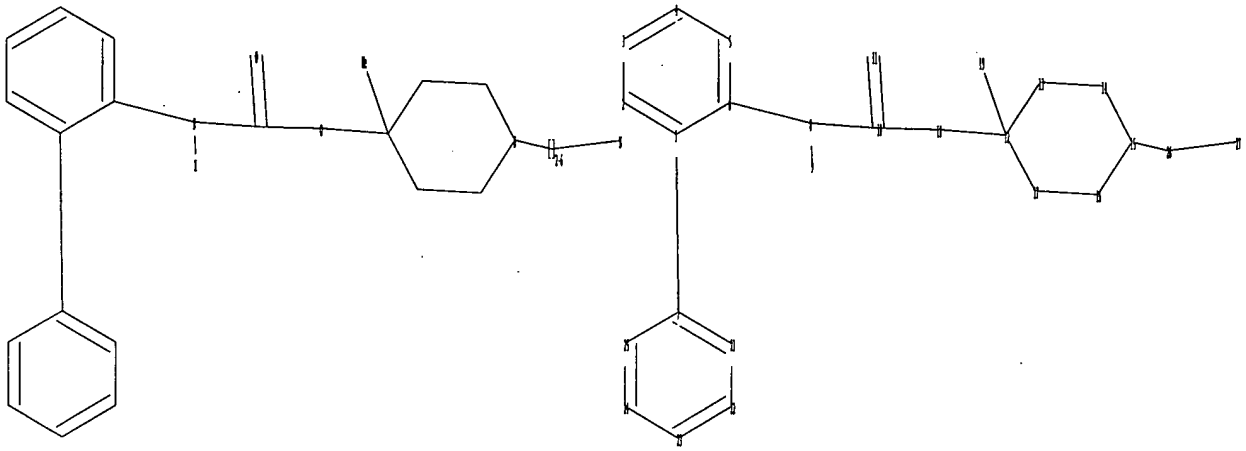

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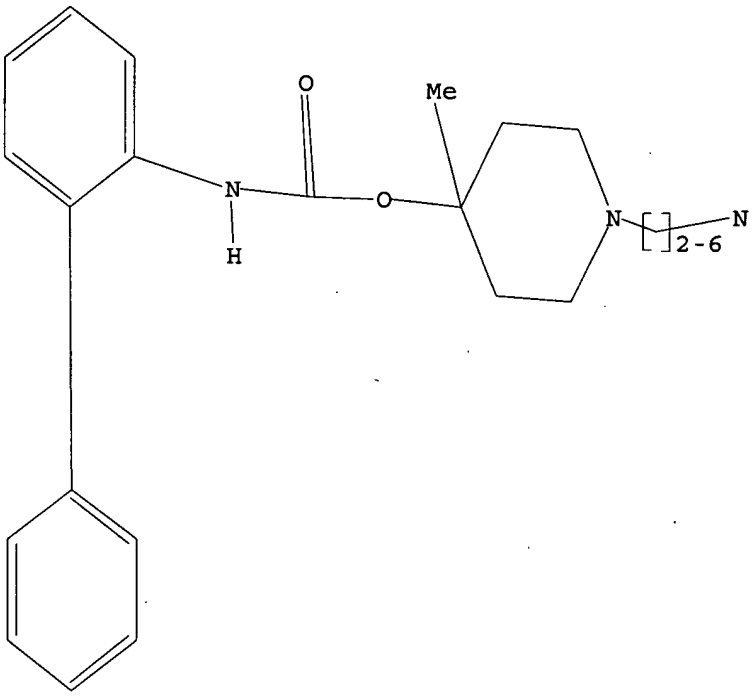

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L5 ANSWER 1 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743463-06-1 REGISTRY
ED Entered STN: 13 Sep 2004
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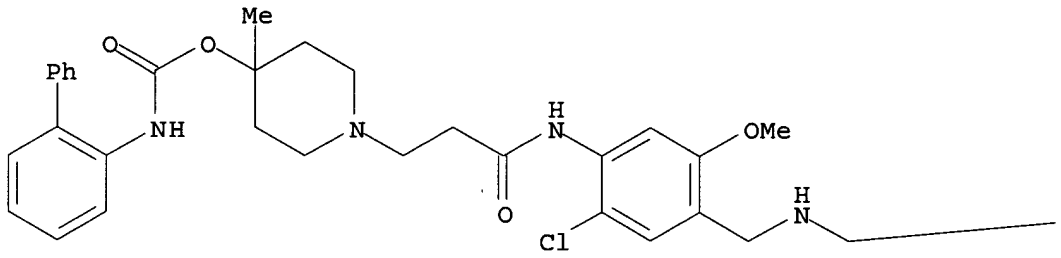
OTHER NAMES:

CN Biphenyl-2-ylcarbamic acid 1-[2-[[2-chloro-4-[[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]-5-methoxyphenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C41 H44 Cl N5 O7
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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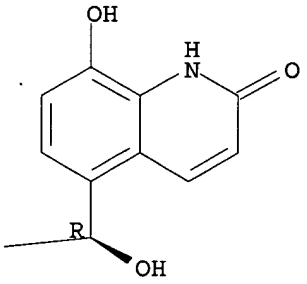
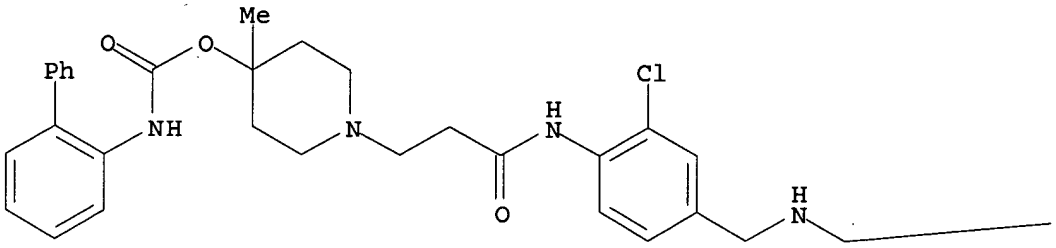


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743463-05-0 REGISTRY
ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[2-chloro-4-[[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]methyl]phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[2-chloro-4-[[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C40 H42 Cl N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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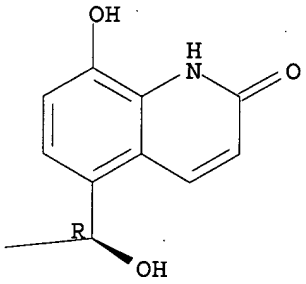

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743463-03-8 REGISTRY
ED Entered STN: 13 Sep 2004
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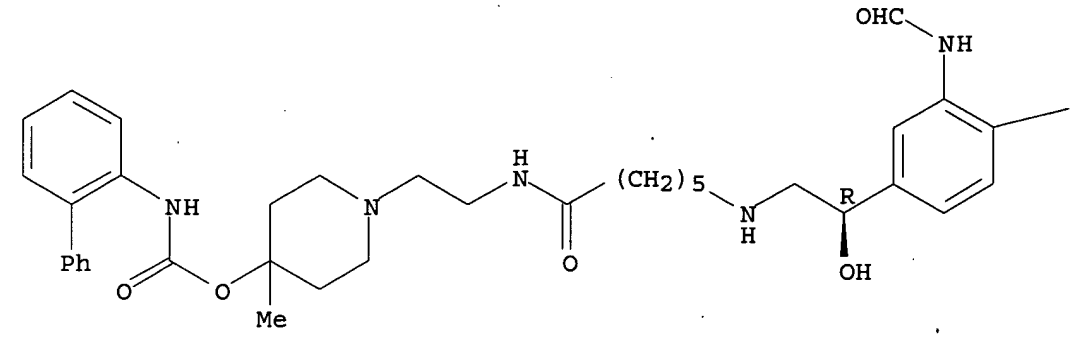
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[6-[[(R)-2-(3-formylamino-4-hydroxyphenyl)-2-hydroxyethyl]amino]hexanoyl]amino]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C36 H47 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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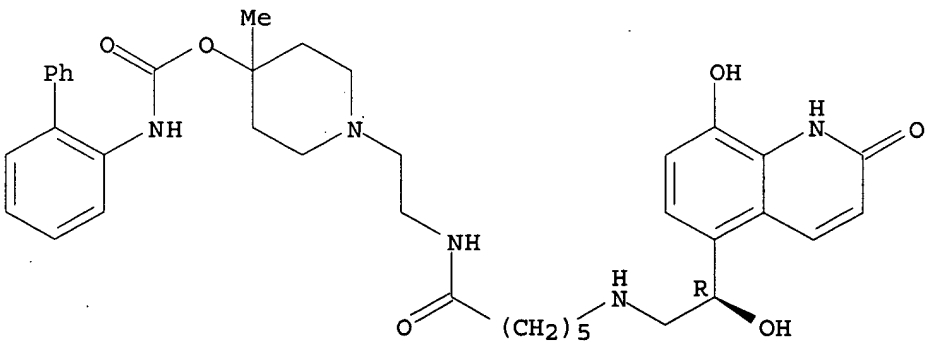
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743463-02-7 REGISTRY
ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[2-[[6-[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-1-oxohexyl]amino]ethyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[6-[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]hexanoyl]amino]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C38 H47 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743463-01-6 REGISTRY
ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[5-[[(2R)-2-[3-(formylamino)-4-hydroxyphenyl]-2-hydroxyethyl]amino]pentyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[5-[[(R)-2-(3-formylamino-4-hydroxyphenyl)-2-hydroxyethyl]amino]pentyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
MF C36 H47 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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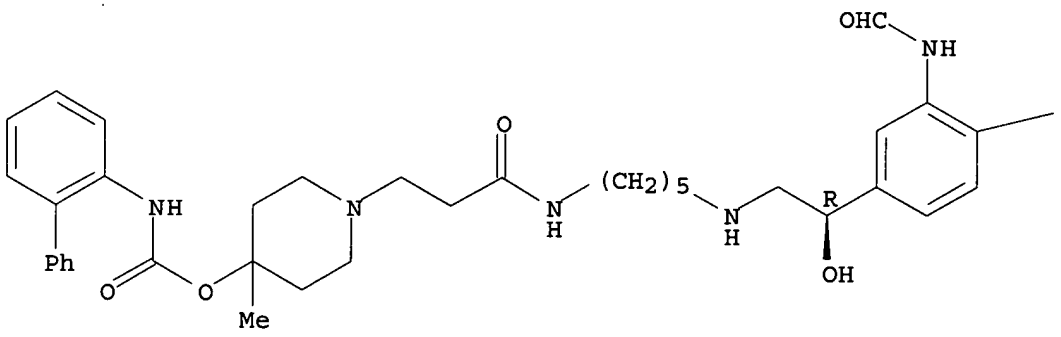

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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RN 743463-00-5 REGISTRY
ED Entered STN: 13 Sep 2004
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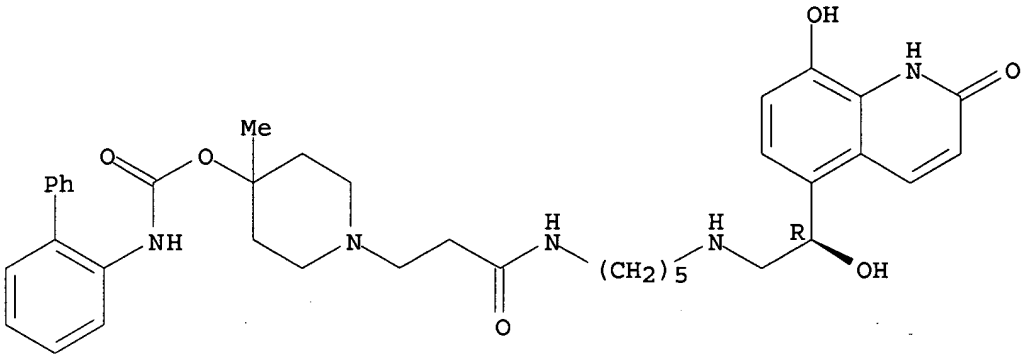
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[5-[[(R)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]pentyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester

FS STEREOSEARCH
MF C38 H47 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
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L5 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743462-97-7 REGISTRY
ED Entered STN: 13 Sep 2004
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OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[4-[[[(R)-2-(3-formylamino-4-hydroxyphenyl)-2-hydroxyethyl]amino]methyl]phenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl ester
FS STEREOSEARCH
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SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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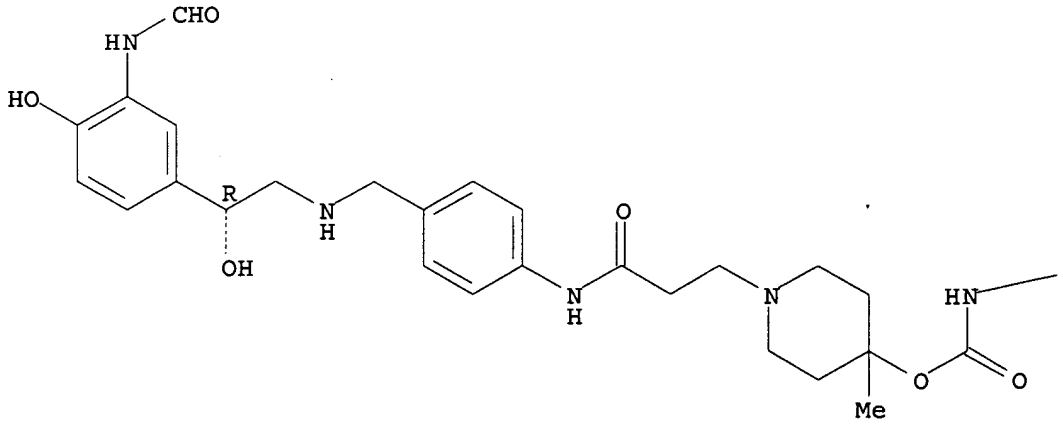

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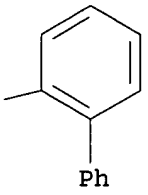

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 8 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
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ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-[[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]methyl]phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic Acid 1-[2-[[4-[[[(R)-2-Hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]4-methylpiperidin-4-yl Ester
FS STEREOSEARCH
MF C40 H43 N5 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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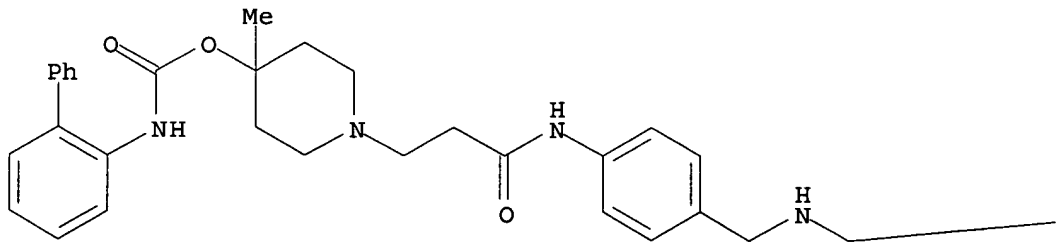

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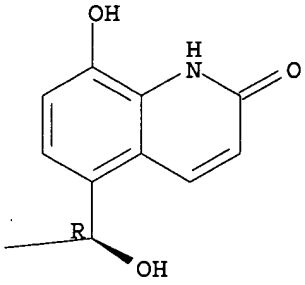

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 9 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
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ED Entered STN: 13 Sep 2004
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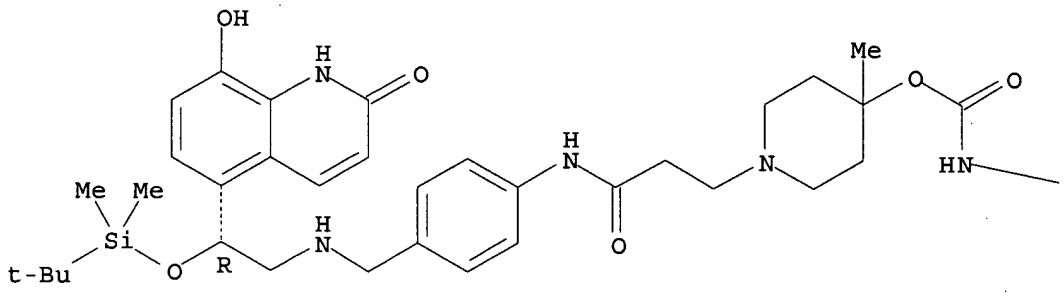
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[4-[[[(R)-2-[(tert-butyldimethylsilyl)oxy]-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl Ester
FS STEREOSEARCH
MF C46 H57 N5 O6 Si
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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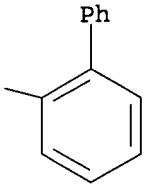
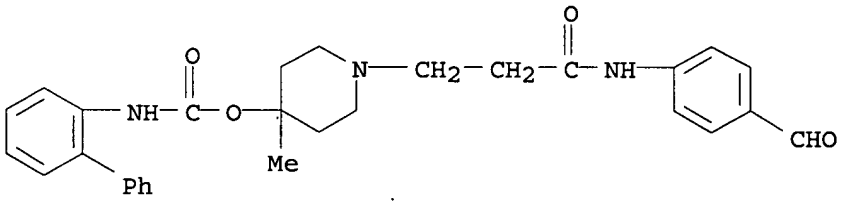


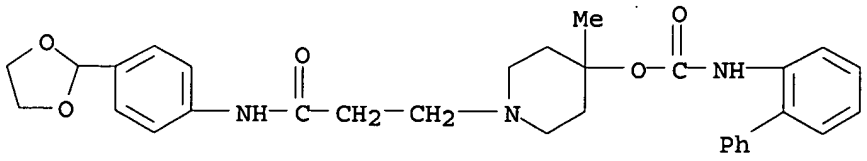
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743462-94-4 REGISTRY
ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[(4-formylphenyl)amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[(4-Formylphenyl)carbamoyl]ethyl]-4-methylpiperidin-4-yl Ester
FS 3D CONCORD
MF C29 H31 N3 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2006 ACS on STN
RN 743462-92-2 REGISTRY
ED Entered STN: 13 Sep 2004
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-(1,3-dioxolan-2-yl)phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Biphenyl-2-ylcarbamic acid 1-[2-[[4-([1,3]dioxolan-2-yl)phenyl]carbamoyl]ethyl]-4-methylpiperidin-4-yl Ester
FS 3D CONCORD
MF C31 H35 N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FULL ESTIMATED COST                                   187.84     395.23

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FILE COVERS 1907 - 20 Mar 2006  VOL 144 ISS 13
FILE LAST UPDATED: 19 Mar 2006  (20060319/ED)

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=> s l5
L6            2 L5

=> d 1-2 fbib abs fhitstr

L6   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:453812  CAPLUS
DN   143:7702
TI   Preparation of biphenyl benzothiazole compounds having beta2 adrenergic
     receptor agonist and muscarinic receptor antagonist activity for treating
     pulmonary disorders
IN   Mammen, Mathai; Dunham, Sarah
PA   USA
```

SO U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005113417	A1	20050526	US 2004-992927	20041119
			US 2003-524234P P	20031121
WO 2005051946	A2	20050609	WO 2004-US38975	20041119
WO 2005051946	A3	20050714		

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US 2003-524234P P 20031121

OS MARPAT 143:7702
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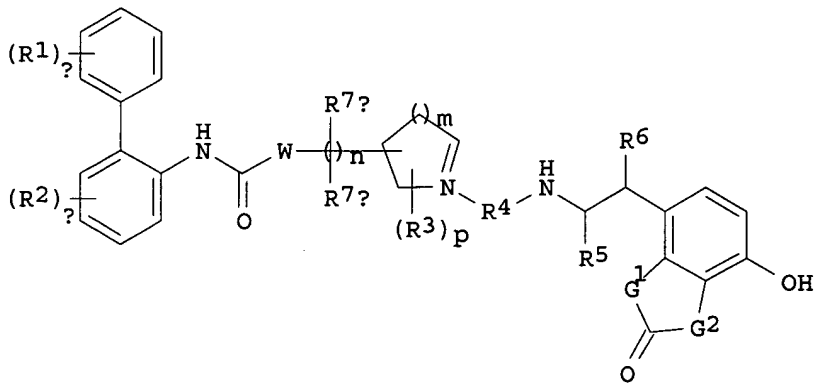


I

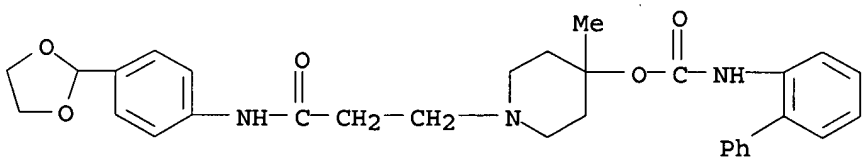
AB The invention is directed to compds. of formula I, wherein R1, R2, R3, R4, R5, R6, R7a, R7b, W, G1, G2, a, b, c, d and m are as defined below, or a pharmaceutically acceptable salt or solvate or stereoisomer thereof. The invention is also directed to pharmaceutical compns. comprising such compds.; methods of using such compds.; and process and intermediates for preparing such compds. The compds. of the invention possess both β2 adrenergic receptor agonist and muscarinic receptor antagonist activity. Such compds. are expected to be useful as therapeutic agents for treating pulmonary disorders. Certain compds. of this invention have also been found to possess affinity for dopamine D2 receptors. For I: one of G1 and G2 = NH and the other represents S, NH, O or CH2; W = O or NWa; where Wa =

H or (1-4C)alkyl; each R1 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR1a, -C(O)OR1b, -SR1c, -S(O)R1d, -S(O)2R1e or -NR1fR1g; where each of R1a, R1b, R1c, R1d, R1e, R1f and R1g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R2 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR2a, -C(O)OR2b, -SR2c, -S(O)R2d, -S(O)2R2e or -NR2fR2g; where each of R2a, R2b, R2c, R2d, R2e, R2f and R2g = H, (1-4C)alkyl or phenyl(1-4C)alkyl; each R3 = (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, cyano, halo, -OR3a, -C(O)OR3b, -SR3c, -S(O)R3d, -S(O)2R3e or -NR3fR3g; or two R3 groups are joined to form (1-3C)alkylene, (2-3C)alkenylene or oxiran-2,3-diyl; where each of R3a, R3b, R3c, R3d, R3e, R3f and R3g = H or (1-4C)alkyl; R4 represents a divalent hydrocarbon group containing from 4 to 28 carbon atoms and optionally containing from 1 to 10 heteroatoms selected independently from halo, O, N, and S, provided that the number of contiguous atoms in the shortest chain between the two nitrogen atoms to which R4 is attached is in the range of from 4 to 16; R5 = H or (1-4C)alkyl; R6 = H or OH; each R7a and R7b = H, (1-4C)alkyl, OH and F; a = 0-3; b = 0-3; c = 0-4; d = 0-5; and m = 0-3.

IT 743462-92-2P, Biphenyl-2-ylcarbamic acid 1-[2-(4-[1,3]dioxolan-2-ylphenylcarbamoyl)ethyl]-4-methylpiperidin-4-yl Ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl benzothiazole compds. having beta2 adrenergic receptor agonist and muscarinic receptor antagonist activity for treating pulmonary disorders)

RN 743462-92-2 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-(1,3-dioxolan-2-yl)phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:703125 CAPLUS

DN 141:225161

TI Preparation of biphenyl derivatives as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders.

IN Mammen, Mathai; Dunham, Sarah; Hughes, Adam; Lee, Tae Weon; Husfeld, Cralg; Stangeland, Eric

PA USA

SO U.S. Pat. Appl. Publ., 85 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2004167167	A1	20040826	US 2004-779157		20040213
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				US 2003-467035P	P	20030501

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                                      WO 2004-US4449      W  20040213
WO 2004074276       A1    20040902    WO 2004-US4224         20040213
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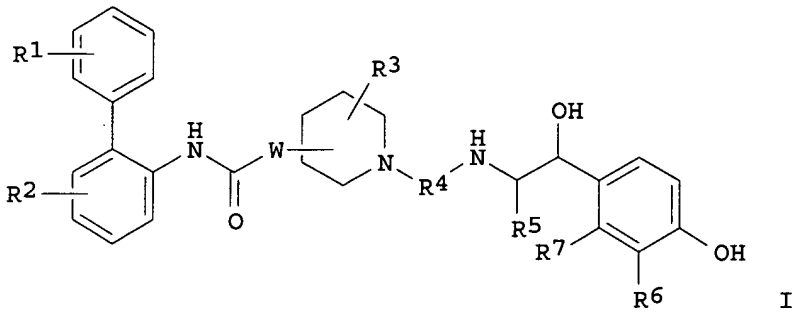

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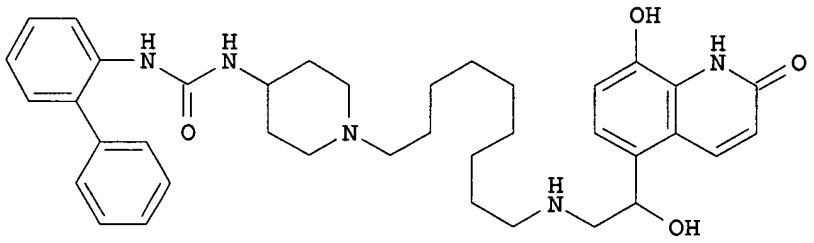


II

AB Title compds. I [R1 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, etc.; R2 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, CN, etc.; W = O, substituted N; R3 (taken 0-4 times) = alk(en/yn)yl, cycloalkyl, etc.; R4 = divalent group; R5 = H, alkyl; R6 = amino, alkoxy, etc.; R7 = H, etc.] are prepared For instance, N-[1,1'-Biphenyl-2-yl]-N'-[1-(9-aminononyl)piperidin-4-yl]urea (preparation given) is combined with 8-Benzyloxy-5-(2,2-dihydroxyacetyl)-1H-quinolin-2-one (CH2Cl2, NaHB(OAc)3) and the product reduced (MeOH, H2-Pd/C) to give II. Selected example compds. have Ki < 10 nM for the β2 and muscarinic receptor. I are useful in the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and asthma.

IT 743462-96-6P, Biphenyl-2-ylcarbamic Acid 1-[2-[[4-[[[(R)-2-Hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethyl]amino]methyl]phenyl]carbamoyl]ethyl]4-methylpiperidin-4-yl Ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

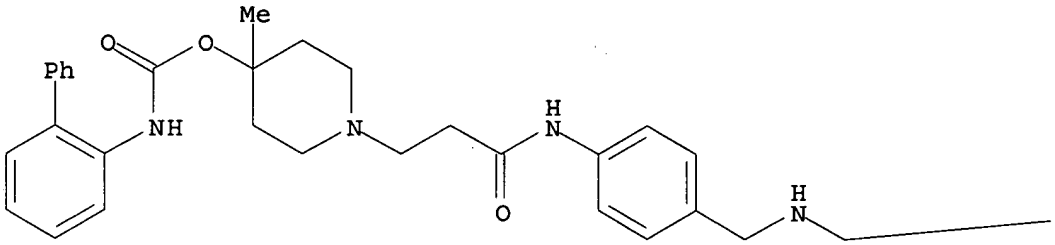
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl derivs. as β2-adrenergic agonists and muscarinic antagonists for pulmonary disorders)

RN 743462-96-6 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-yl-, 1-[3-[[4-[[[(2R)-2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]methyl]phenyl]amino]-3-oxopropyl]-4-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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